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L17 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:376271 HCAPLUS

TITLE: Methods for the detection, analysis and isolation of nascent proteins by labeling with reporter dyes using an aminoacyl-tRNA charged with a dye-conjugated amino acid

INVENTOR(S): Rothschild, Kenneth J.; Gite, Sadanand; Olejnik, Jerzy

PATENT ASSIGNEE(S): Ambergen, Inc. USA

SOURCE: U.S. Pat. Appl. Publ., 76 pp., Cont.-in-part of U.S. Ser. No. 49,332.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003092031	A1	20030515	US 2002-174368	20020618
US 6306628	B1	20011023	US 1999-382736	19990825
WO 2001014578	A1	20010301	WO 2000-US23233	20000823

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
 US 1999-382736 A1 19990825
 WO 2000-US23233 W 20000823
 US 2002-49332 A2 20020621
 US 1999-382950 A 19990825

AB A non-radioactive method of detection and anal. of nascent proteins translated within cellular or cell-free translation systems by labeling the nascent protein with a reporter dye is described. The core method involves charging a tRNA with an amino acid conjugated with a powerful fluorescent, preferably a deriv. of BODIPY (4,4-difluoro-4-bora-3a,4a-diaza-s-indacene). Alternatively, protein synthesis can be monitored by incorporating a dye-binding peptide into a protein. Binding of the dye to the protein, with a change in its spectral properties, can be used to monitor protein synthesis. Nascent proteins contg. these markers can be rapidly and efficiently detected, isolated and analyzed without the handling and disposal problems assocd. with radioactive reagents. Chem. synthesis of misaminoacylated tRNA-Lys by partial degrdn. of the 3'-end and resynthesis is demonstrated. The amino acid was also labeled with a photolabile biotin that allowed rapid recovery of the protein from cell-free translation with immobilized streptavidin. Lower limits of detection were in the range 0.3-10 ng protein.

IT 524698-42-8

RL: ANT (Analyte); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(detection in nascent proteins of; methods for detection, anal. and isolation of nascent proteins by labeling with reporter dyes using aminoacyl-tRNA charged with dye-conjugated amino acid)

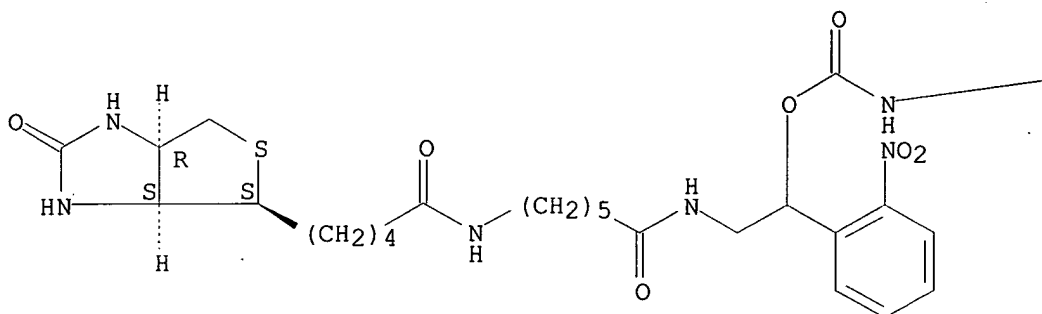
RN 524698-42-8 HCAPLUS

CN 16-Oxa-6,13,18-triazatetracosan-24-oic acid, 23-amino-1-[(3aS,4S,6aR)-

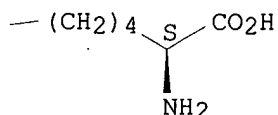
hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-15-(2-nitrophenyl)-5,12,17-trioxo-, (23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



L17 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:77534 HCAPLUS

DOCUMENT NUMBER: 138:142467

TITLE: Compositions and methods for enhancing drug delivery across and into ocular tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): Cellgate, Inc., A Delaware Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 64 pp., Cont.-in-part of U.S. Ser. No. 792,480.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003022831	A1	20030130	US 2002-83960	20020225
US 2002127198	A1	20020912	US 2001-792480	20010223
PRIORITY APPLN. INFO.:			US 1999-150510P	P 19990824
			US 2000-648400	A2 20000824
			US 2001-792480	A2 20010223

OTHER SOURCE(S): MARPAT 138:142467

AB This invention provides compsns. and methods for enhancing delivery of drugs and other agents across epithelial tissues, including into and across ocular tissues and the like. The compsns. and methods are also useful for delivery across endothelial tissues, including the blood brain

barrier. The compns. and methods employ a delivery-enhancing transporter that has sufficient guanidino or amidino side chain moieties to enhance delivery of a compd. conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compd. The delivery-enhancing polymers include, for example, polyarginine mols. that are preferably between about 6 and 25 residues in length.

IT 455282-37-8P 455282-38-9P 455282-39-0P

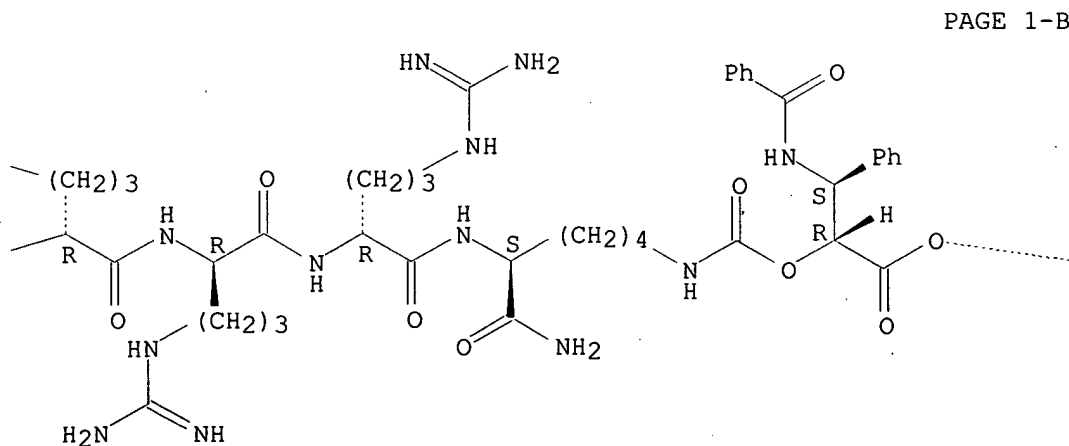
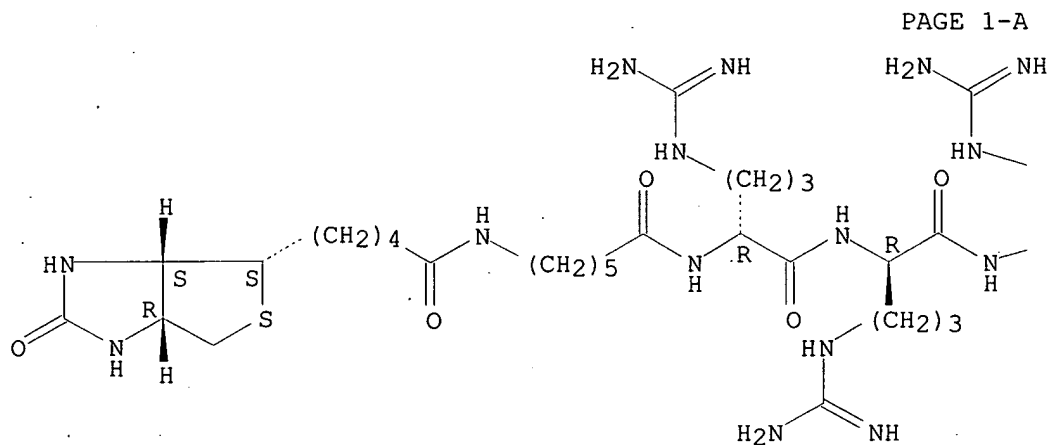
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(delivery-enhancing transporters for drug delivery across and into ocular tissues)

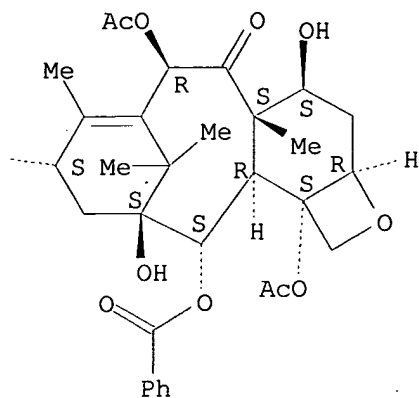
RN 455282-37-8 HCAPLUS

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Absolute stereochemistry.



PAGE 1-C

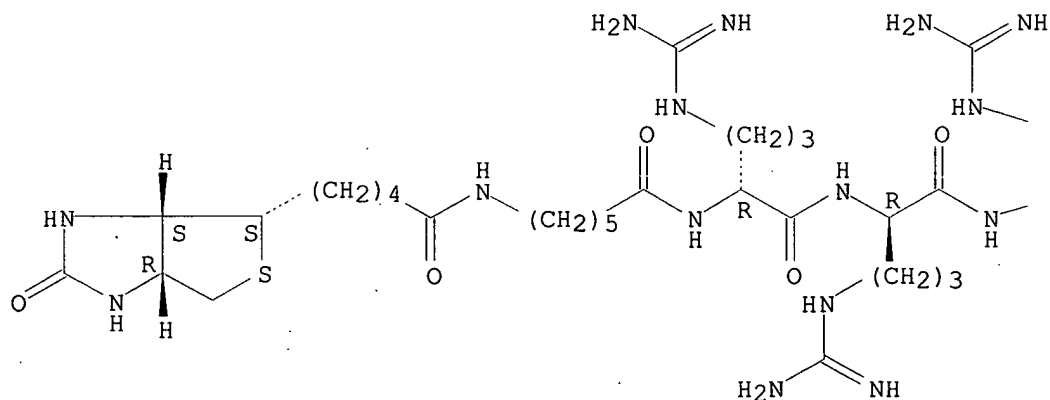


RN 455282-38-9 HCAPLUS

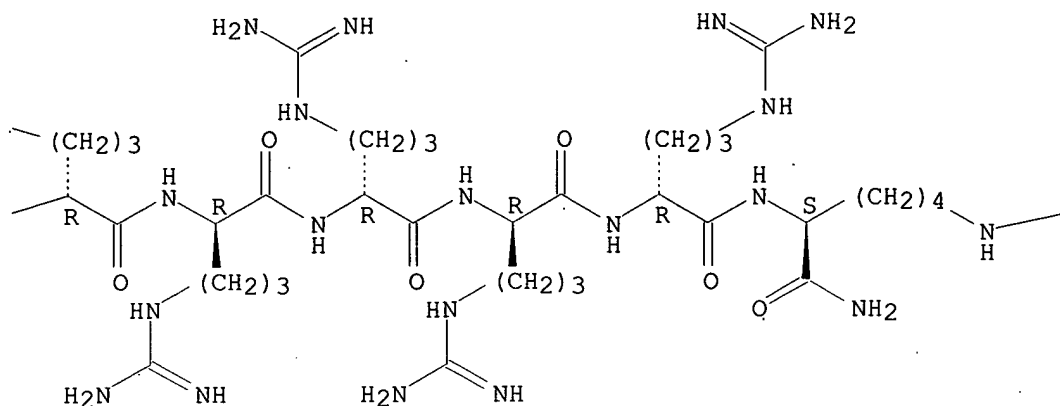
CN L-Lysinamide, N2-[6-[5-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

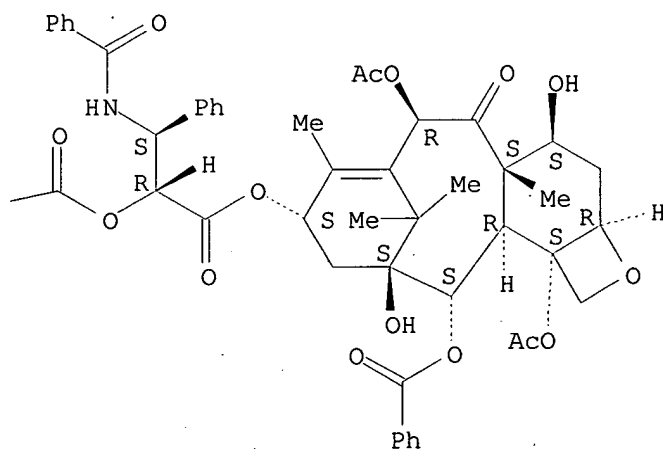
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PAGE 1-B



PAGE 1-C



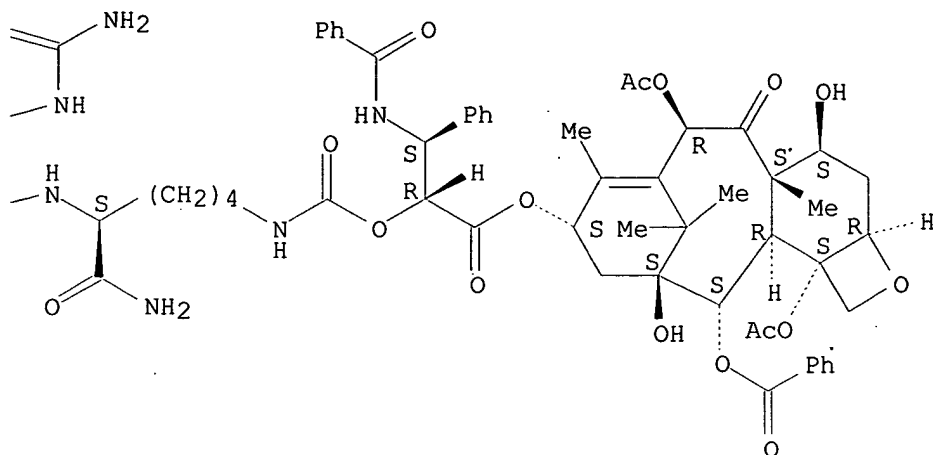
RN 455282-39-0 HCAPLUS

L-Lysinamide, N2-[6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-
 d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-
 arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-
 [(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-
 bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-
 dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cycloheca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-
 oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

The chemical structure represents a poly(amide-urea) polymer. It features a repeating unit where a central amide bond ($\text{NH}-\text{C}(=\text{O})$) connects to a chiral center (R). This chiral center is part of a 1,3-bis(urea)propane derivative, which also includes a $(\text{CH}_2)_3$ chain. The structure shows multiple repeating units connected by amide bonds, with urea groups ($\text{H}_2\text{N}-\text{C}(=\text{NH})-\text{NH}-$) attached to the $(\text{CH}_2)_3$ chains.

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L17 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:696457 HCAPLUS

DOCUMENT NUMBER: 137:237728

TITLE: Peptide conjugates for enhancing drug delivery across and into epithelial tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U.S. Ser. No. 648,400.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002127198	A1	20020912	US 2001-792480	20010223
WO 2002067917	A1	20020906	WO 2002-US5804	20020225
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002069930	A1	20020912	WO 2002-US5829	20020225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2003022831 A1 20030130 US 2002-83960 20020225
 US 2003083256 A1 20030501 US 2002-209421 20020730
 PRIORITY APPLN. INFO.: US 1999-150510P P 19990824
 US 2000-648400 A2 20000824
 US 2001-792480 A 20010223

OTHER SOURCE(S): MARPAT 137:237728

AB This invention provides compns. and methods for enhancing delivery of drugs and other agents across epithelial tissues, including the skin, gastrointestinal tract, pulmonary epithelium, ocular tissues and the like. The compns. and methods are also useful for delivery across endothelial tissues, including the blood brain barrier. The compns. and methods employ a delivery enhancing transporter that has sufficient guanidino or amidino side-chain moieties to enhance delivery of a compd. conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compd. The delivery-enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length. E.g., biotinylated polymers of D-arginine were prepd. and their penetration into the skin of nude mice studied.

IT 455282-37-8P

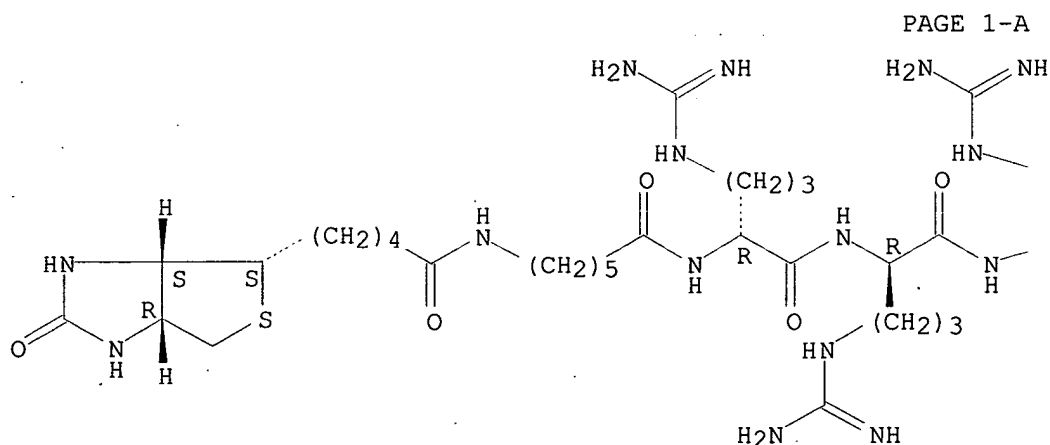
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(peptide conjugates for enhancing drug delivery across and into epithelial tissues)

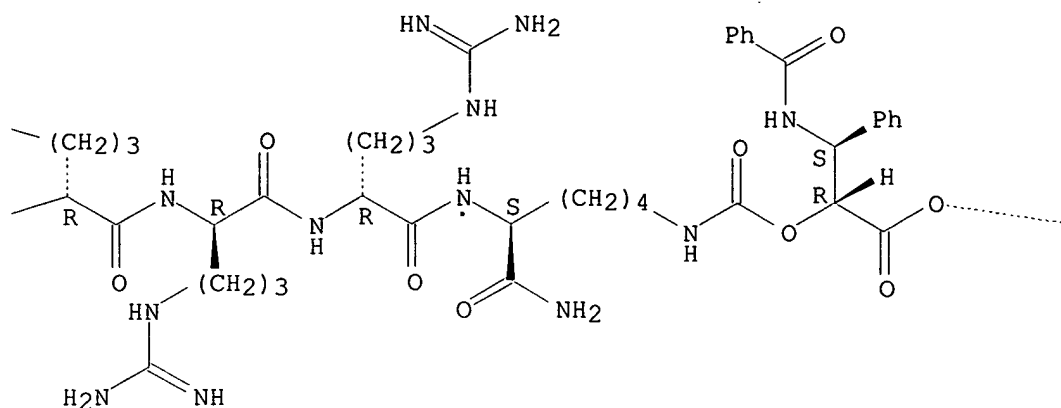
RN 455282-37-8 HCAPLUS

CN L-Lysinamide, N2-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

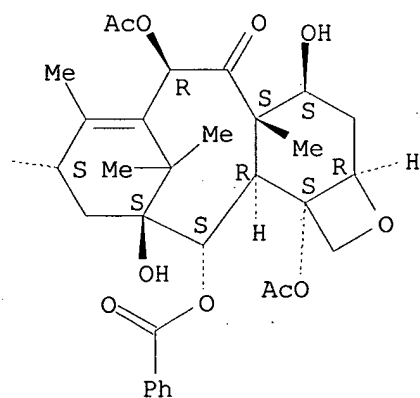
Absolute stereochemistry.



PAGE 1-B



PAGE 1-C



IT 455282-38-9P 455282-39-0P

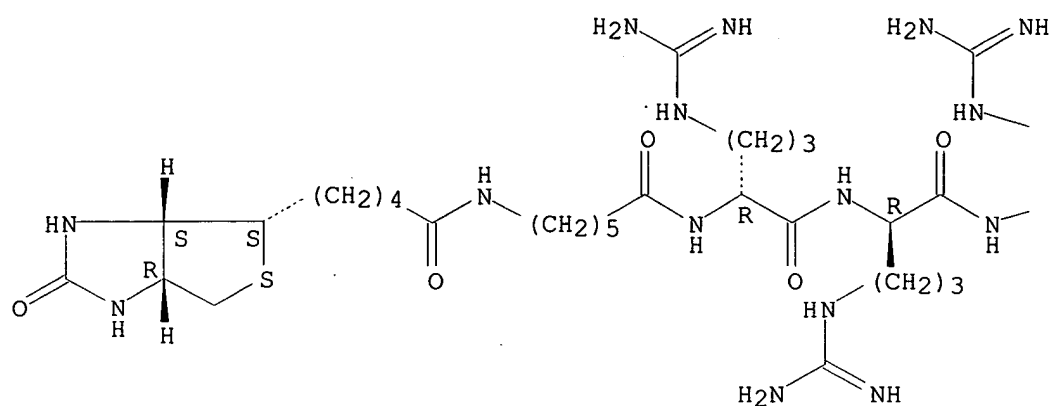
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide conjugates for enhancing drug delivery across and into epithelial tissues)

RN 455282-38-9 HCAPLUS

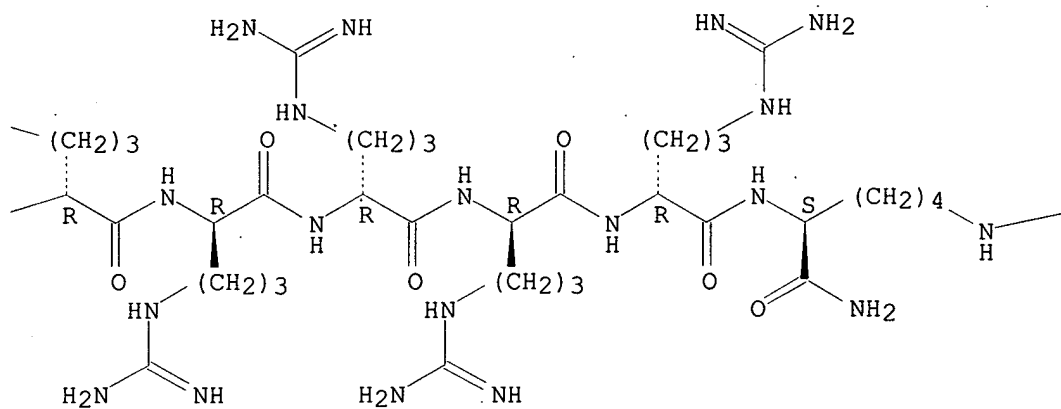
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Absolute stereochemistry.

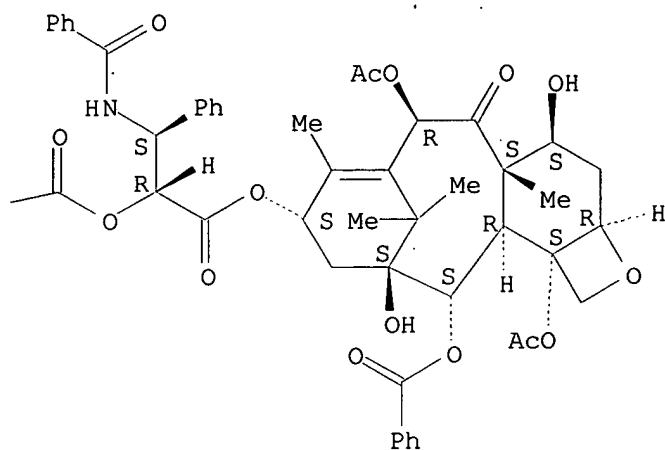
PAGE 1-A



PAGE 1-B



PAGE 1-C

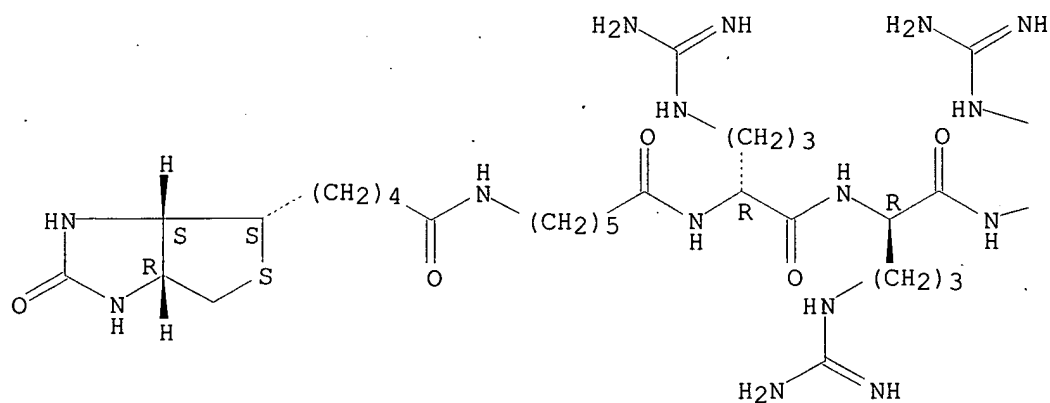


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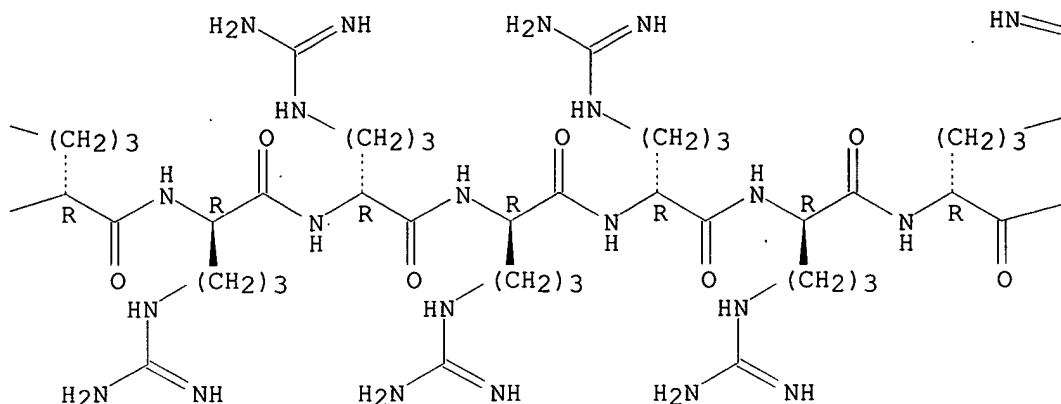
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Absolute stereochemistry.

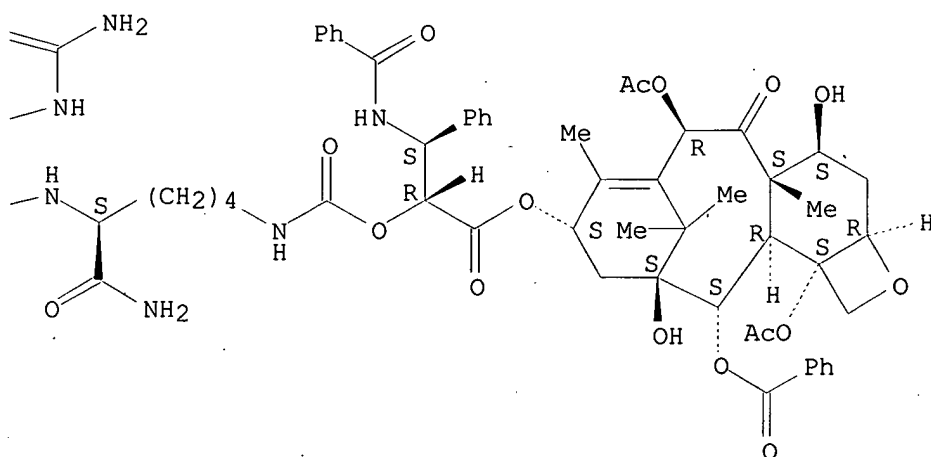
PAGE 1-A



PAGE 1-B



PAGE 1-C



L17 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:675821 HCAPLUS

DOCUMENT NUMBER: 137:222033

TITLE: Compositions and methods for enhancing drug delivery across and into ocular tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Lee, Sista, Lalitha Vs; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): Cellgate, Inc., USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002067917 A1 20020906 WO 2002-US5804 20020225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 2002127198 A1 20020912 US 2001-792480 20010223

PRIORITY APPLN. INFO.:

US 2001-792480 A 20010223

US 1999-150510P P 19990824

US 2000-648400 A2 20000824

OTHER SOURCE(S): MARPAT 137:222033

AB Comps. and methods for enhancing delivery of drugs, diagnostic and other agents across epithelial tissues, including into and across ocular tissues and blood-brain barrier are provided. The comps. and methods employ a delivery enhancing transporter that has sufficient guanidino or amidino side chain moieties to enhance delivery of a compd. conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compd. The delivery-enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length. For example, a series of structural characteristics including sequence length, amino acid compn., and chirality that influence the ability of Tat49-57 to enter cells is identified. These characteristics provided the blueprint for the design of a series of novel peptoids, of which 17 members were synthesized and assayed for cellular uptake. This research established that the peptide backbone and hydrogen bonding along that backbone are not required for cellular uptake, that the guanidino head group is superior to other cationic subunits, and most significantly, that an extension of the alkyl chain between the backbone and the head group provides superior transporters. In addn. to better uptake performance, these novel peptoids offer several advantages over Tat49-57 including cost-effectiveness, ease of synthesis of analogs, and protease stability. ~~These features along with their significant water soly. (>100 mg/mL) indicate that these novel peptoids could serve as effective transporters for the mol. delivery of drugs, drug candidates, and other agents into cells.~~

IT 455282-37-8P 455282-38-9P 455282-39-0P

455282-40-3P 455282-41-4P 455282-42-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

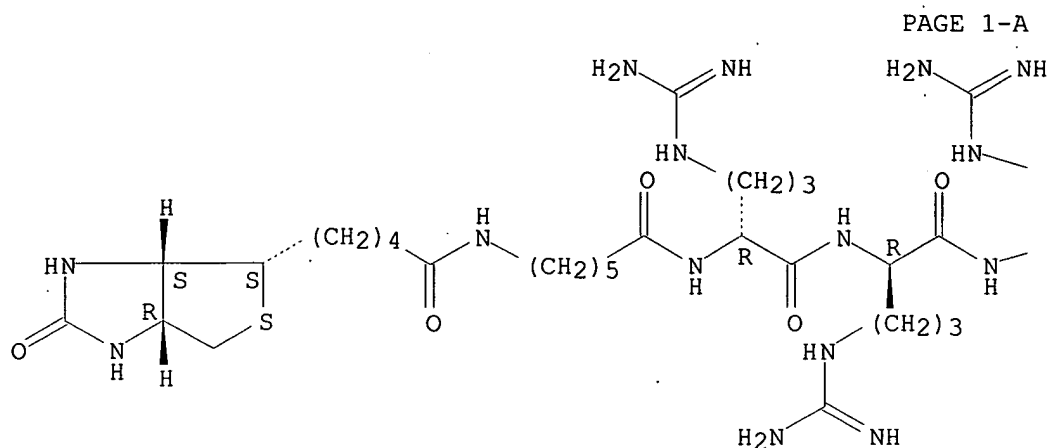
(drug conjugates with peptide transporter contg. amidino or guanidino moieties for enhanced delivery across epithelium)

RN 455282-37-8 HCAPLUS

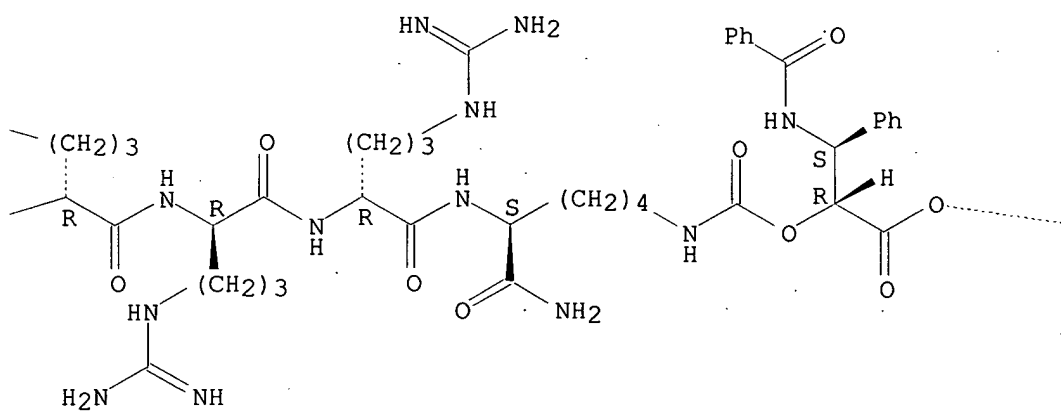
CN L-Lysinamide, N2-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

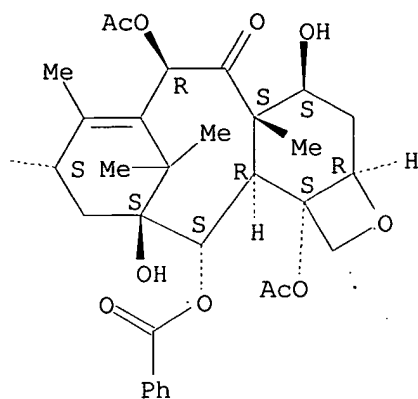
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PAGE 1-C

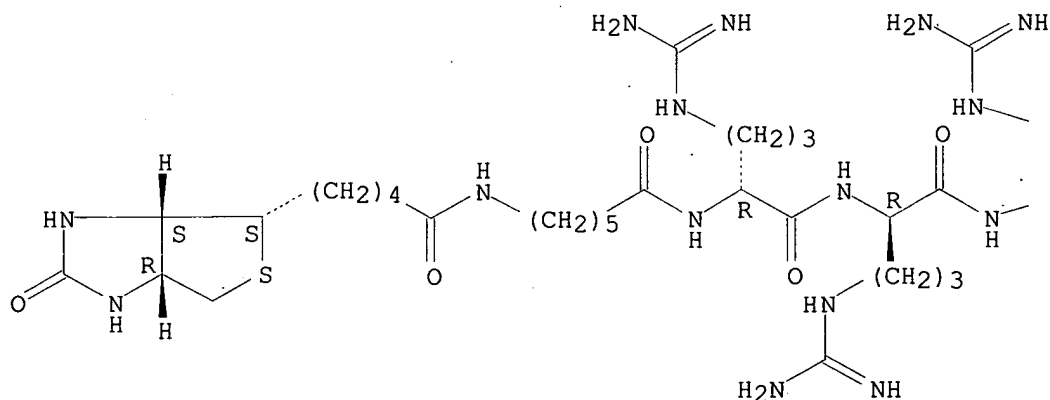


RN 455282-38-9 HCAPLUS

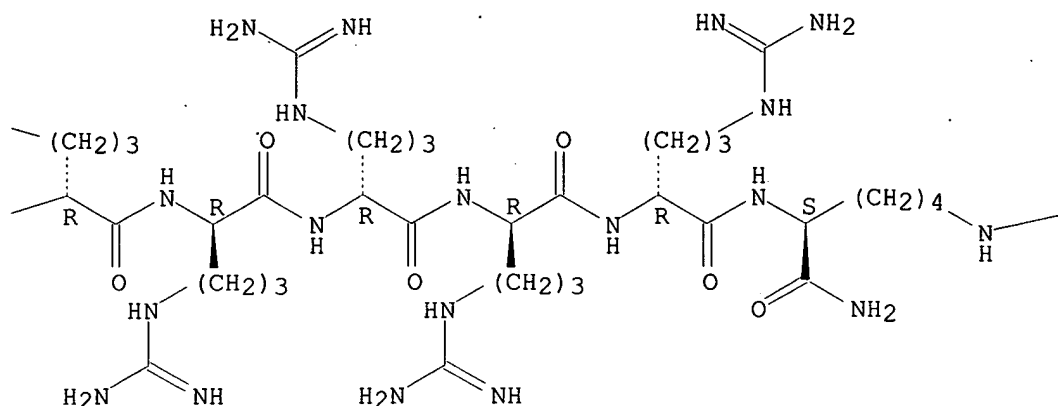
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Absolute stereochemistry.

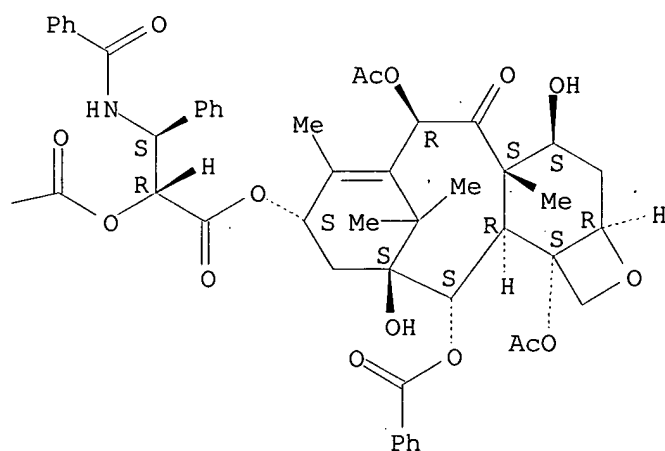
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PAGE 1-C

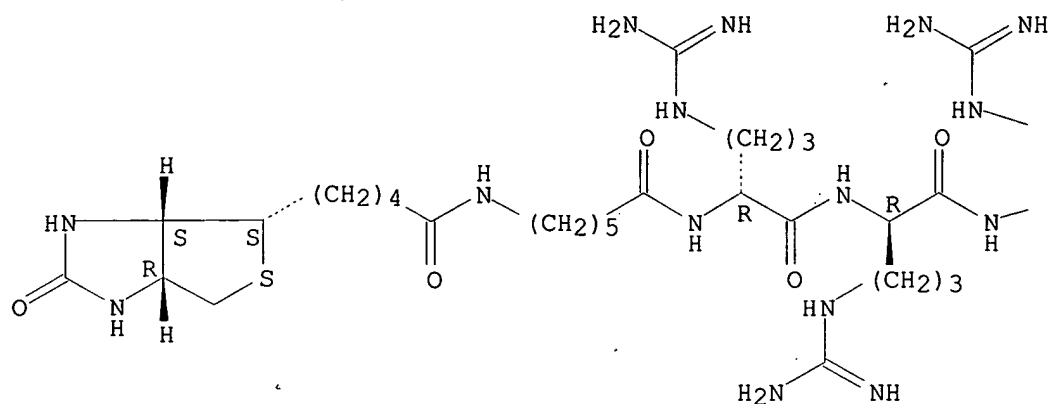


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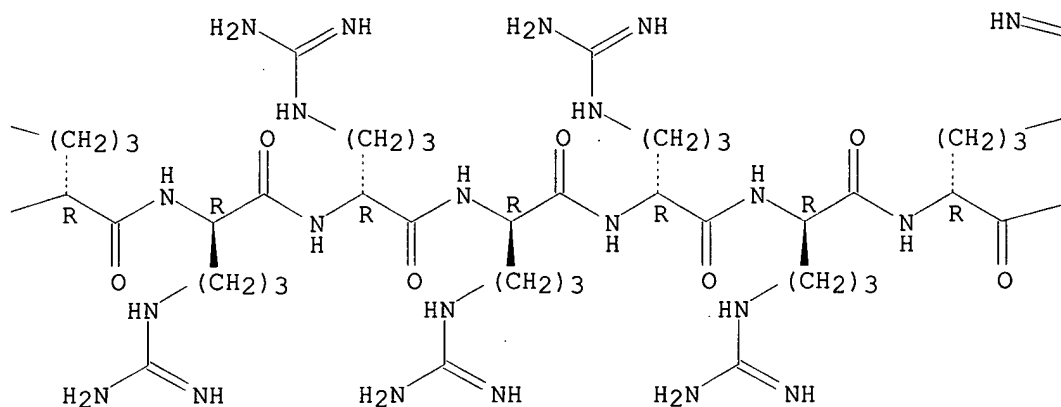
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Absolute stereochemistry.

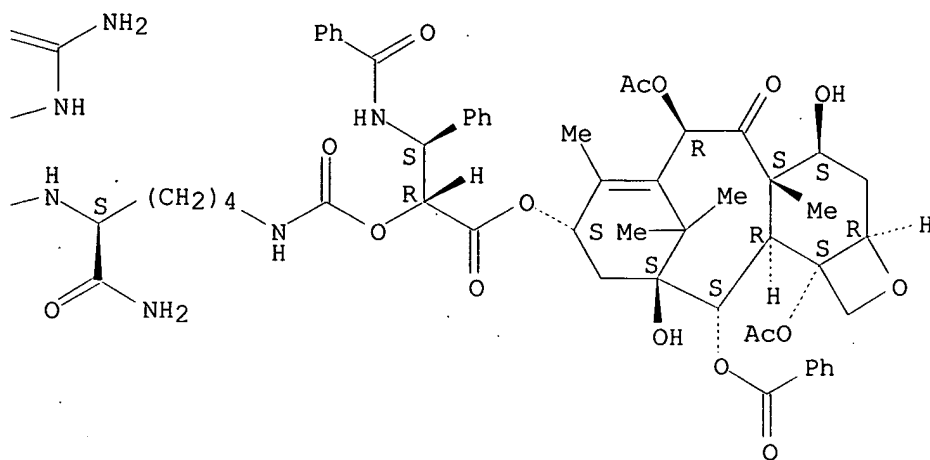
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PAGE 1-C

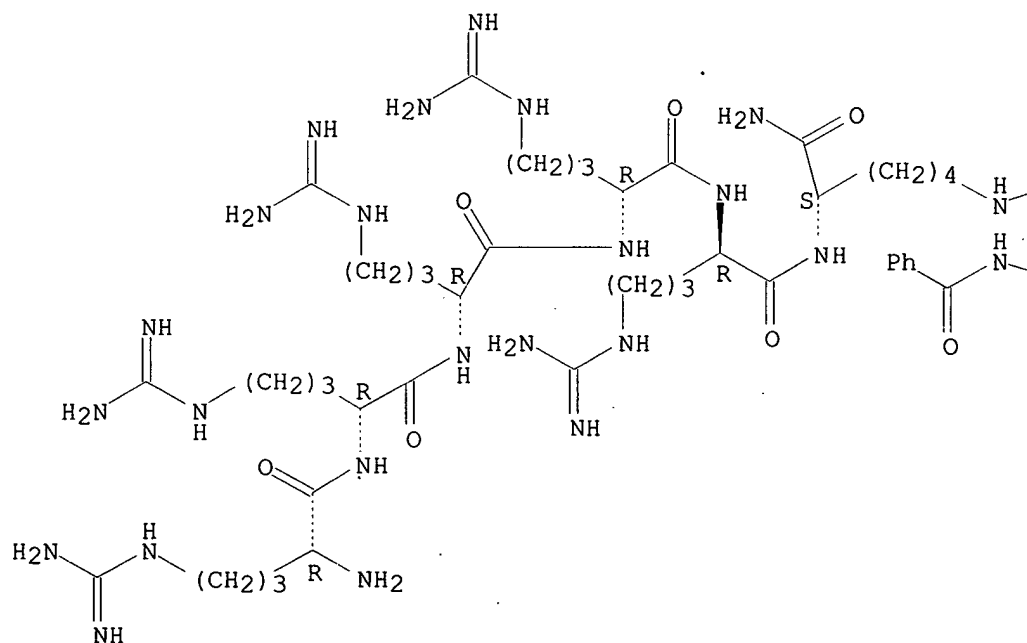


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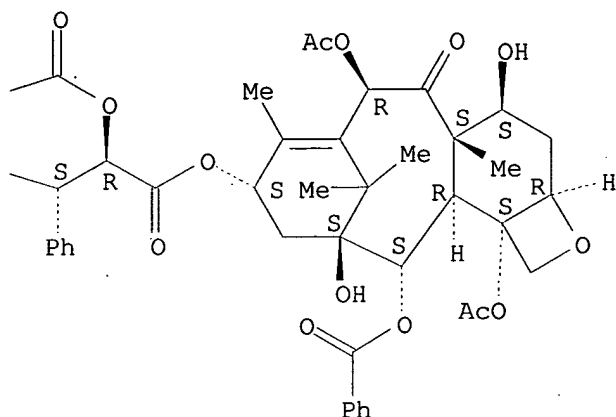
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 dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-
 oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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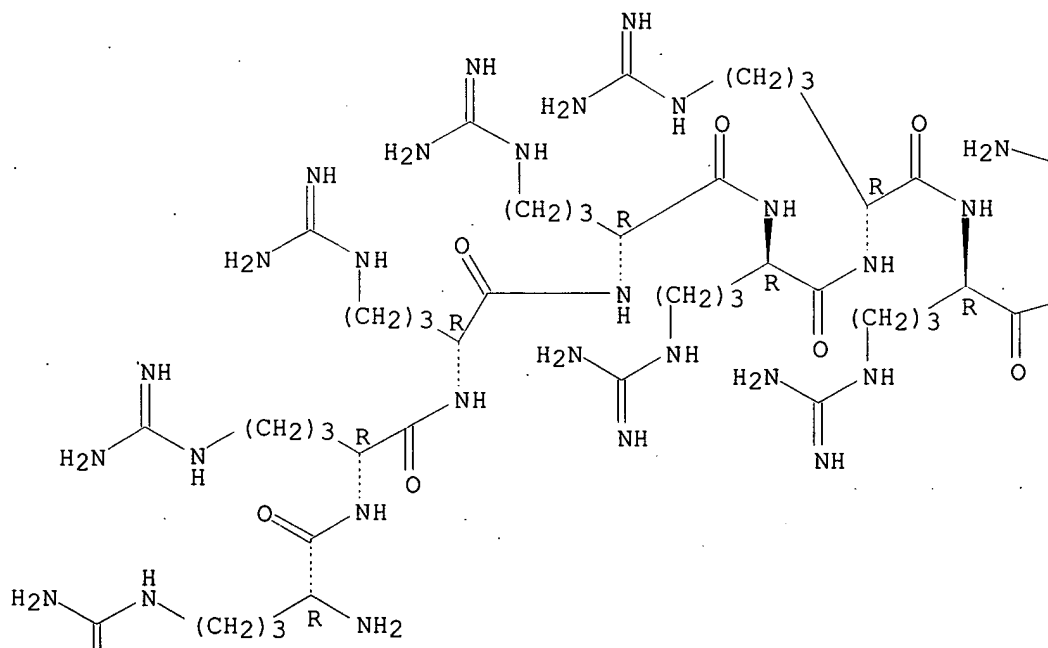


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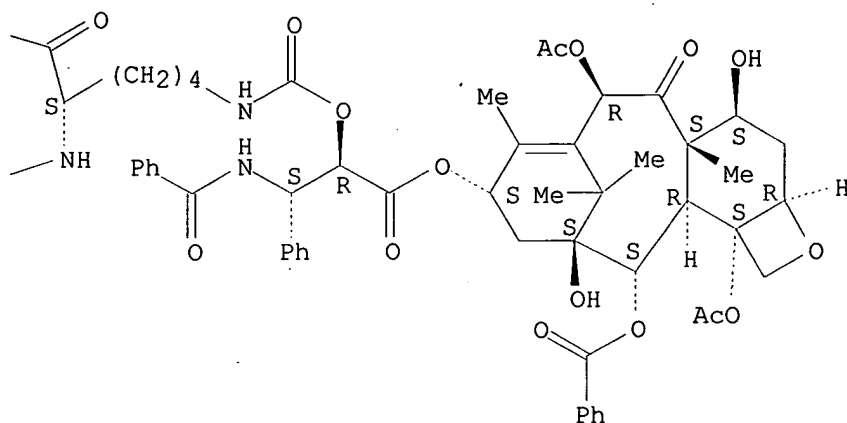
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Absolute stereochemistry.

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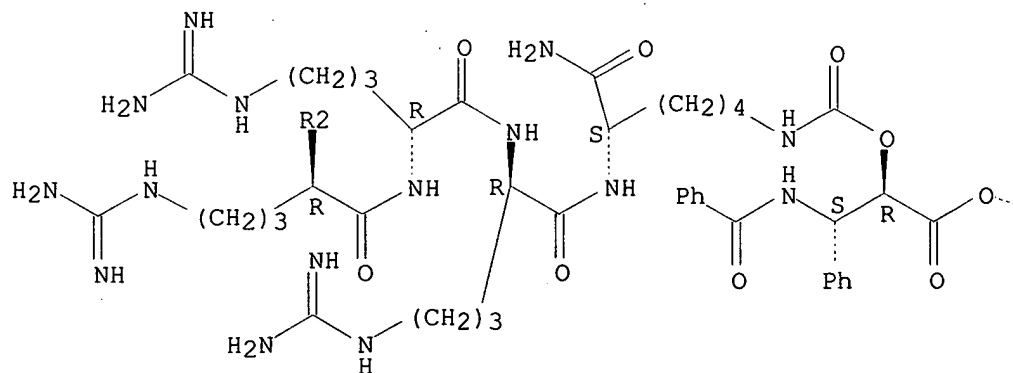
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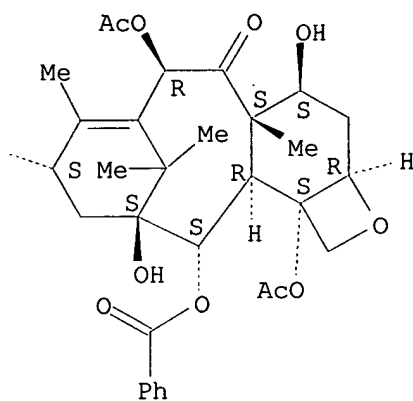
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Absolute stereochemistry.

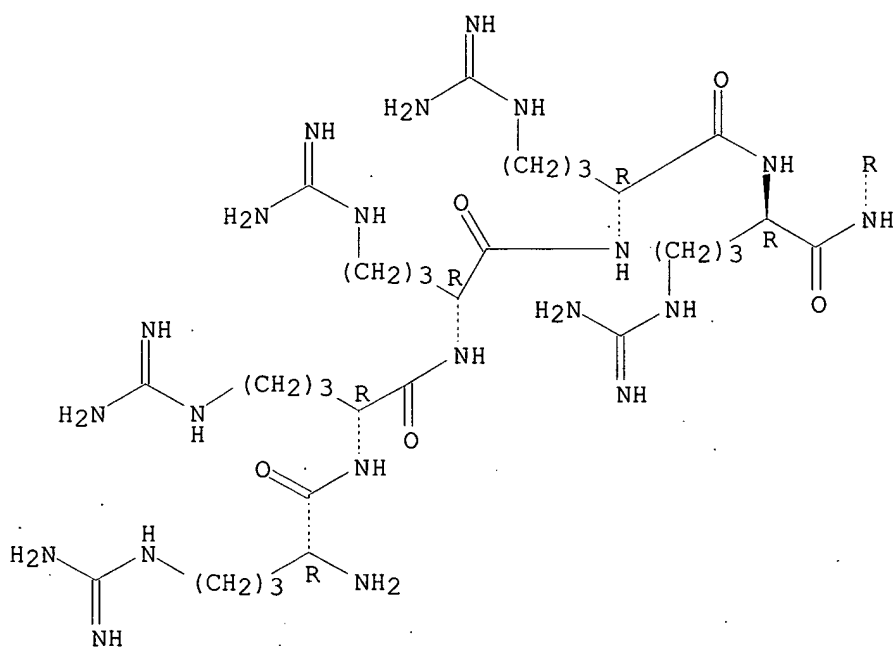
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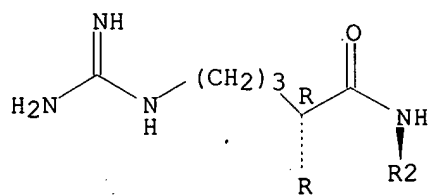
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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:265375 HCAPLUS

DOCUMENT NUMBER: 134:311431

TITLE: Preparation of novel amino acid-related carbamates and ureas

INVENTOR(S): Rana, Tariq M.; Hwang, Seongwoo; Tamilarasu, Natarajan
PATENT ASSIGNEE(S): University of Medicine and Dentistry of New Jersey, USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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PRIORITY APPLN. INFO.:			US 1999-157646P	P 19991004
			WO 2000-US27398	W 20001004

OTHER SOURCE(S): MARPAT 134:311431

AB Novel carbamates and ureas H-Y-Y-Y-NH₂ [each Y is independently a radical NHC*H[(CH₂)_mR1]CO, N[(CH₂)_mR1]CH₂CO, or NHC*H[(CH₂)_mR1]CH₂O₂C (Q), where each R1 is independently selected from -NH₂, -NHC(:NH)NH₂, and -CH₂C(:NH)NH₂; each m is independently an integer 3-7; each * is an (R) or (S) chiral center; and with the proviso that at least one Y is a radical having the structure of Q] and their pharmaceutically acceptable salts were prepd. for treating or preventing cancer, inflammation, or a viral infection. Thus, H₂NCONHCH[(CH₂)₃NHC(:NH)NH₂]CH₂NHCONHCH[(CH₂)₄NH₂]CH₂NHC ONHCH[(CH₂)₄NH₂]CH₂NH₂, with the chirality of arginine and lysine, was prepd. and showed K_i = 50 nM for binding to HIV TAR RNA.

IT 334000-12-3P 334000-13-4P 334000-14-5P
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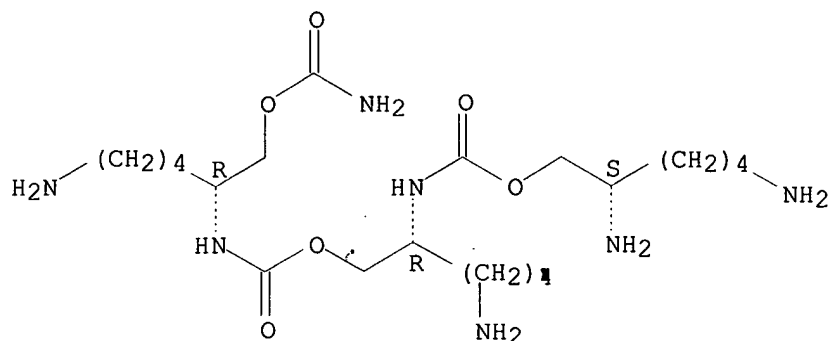
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid-related carbamates and ureas)

RN 334000-12-3 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2,6-diaminohexyl ester, (3R,8R)- (9CI) (CA INDEX NAME)

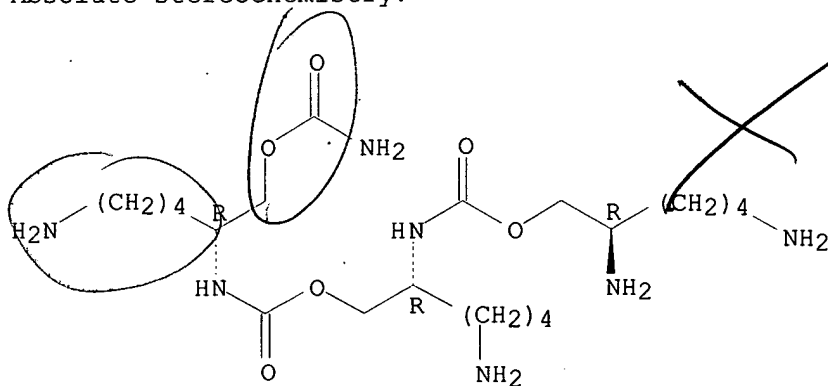
Absolute stereochemistry.



RN 334000-13-4 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,6-diaminohexyl ester, (3R,8R)- (9CI) (CA INDEX NAME)

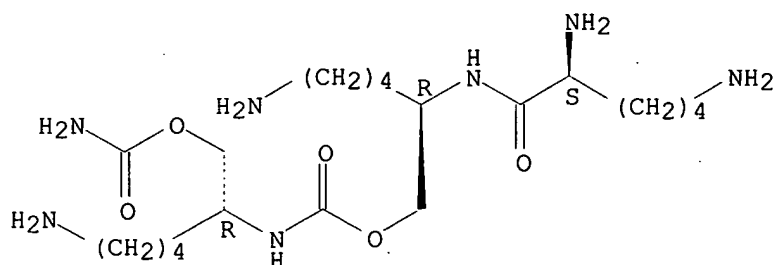
Absolute stereochemistry.



RN 334000-14-5 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(2S)-2,6-diamino-1-oxohexyl]amino]hexyl ester (9CI) (CA INDEX NAME)

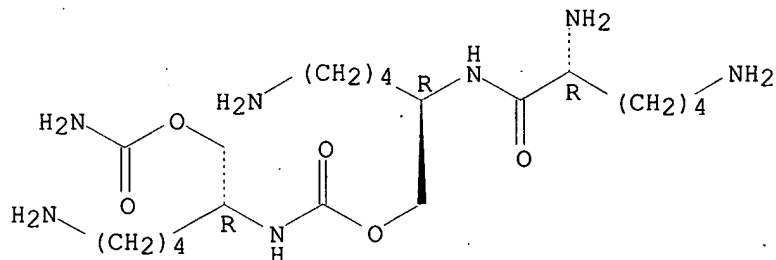
Absolute stereochemistry.



RN 334000-15-6 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(2R)-2,6-diamino-1-oxohexyl]amino]hexyl ester (9CI) (CA INDEX NAME)

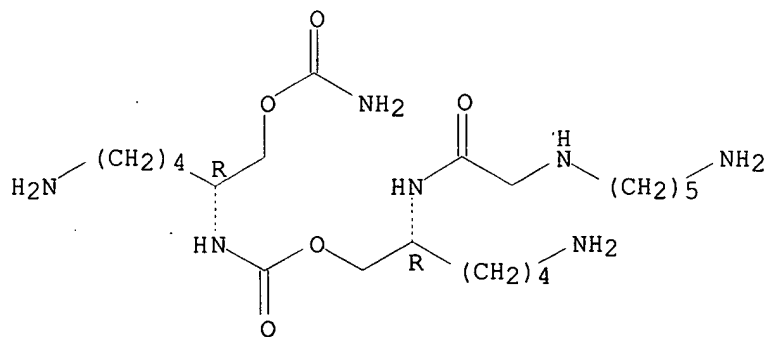
Absolute stereochemistry.



RN 334000-16-7 HCAPLUS

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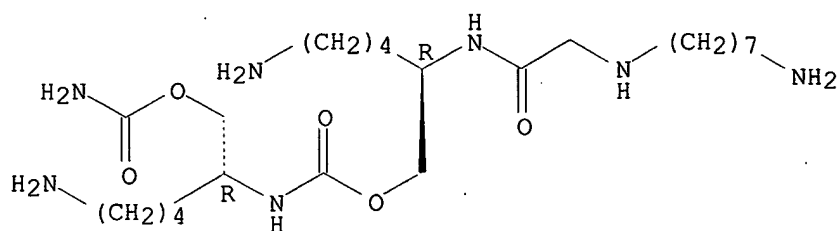
Absolute stereochemistry.



RN 334000-17-8 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(7-aminoheptyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

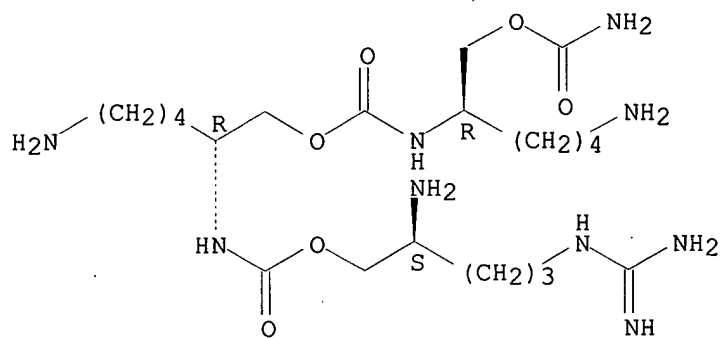
Absolute stereochemistry.



RN 334000-18-9 HCAPLUS

CN 2,7-Dioxo-5,10-diazaundecan-11-oic acid, 1-amino-4,9-bis(4-aminobutyl)-1,6-dioxo-, (2S)-2-amino-5-[(aminoiminomethyl)amino]pentyl ester, (4R,9R)- (9CI) (CA INDEX NAME)

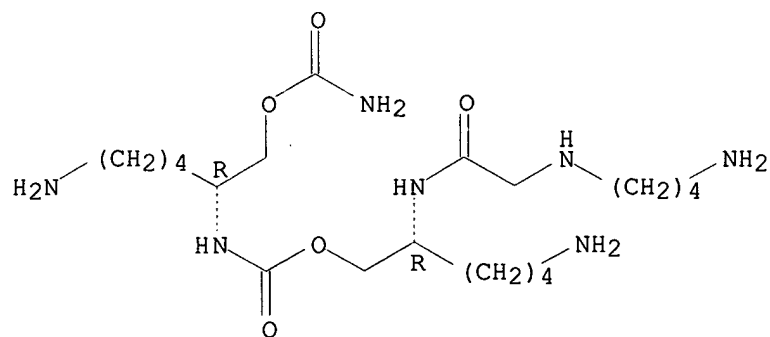
Absolute stereochemistry.



RN 334000-19-0 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(4-aminobutyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

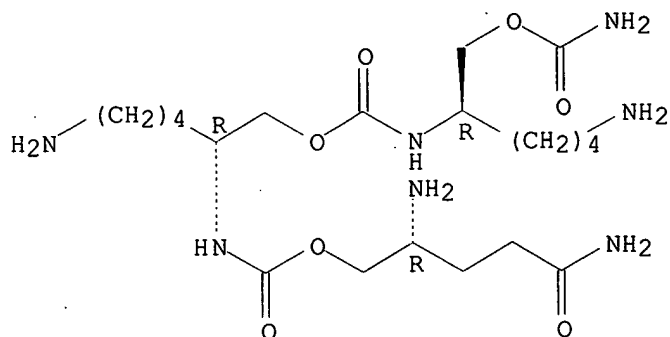
Absolute stereochemistry.



RN 334000-20-3 HCAPLUS

CN 5,10-Dioxo-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,5-diamino-5-oxopentyl ester, (3R,8R)- (9CI) (CA INDEX NAME)

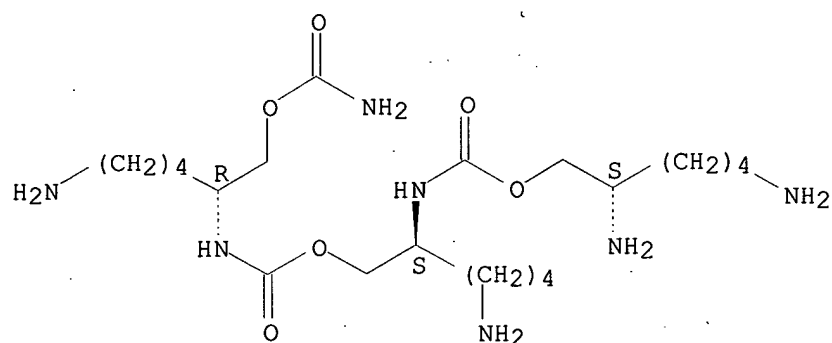
Absolute stereochemistry.



RN 334000-21-4 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2,6-diaminohexyl ester, (3S,8R)- (9CI) (CA INDEX NAME)

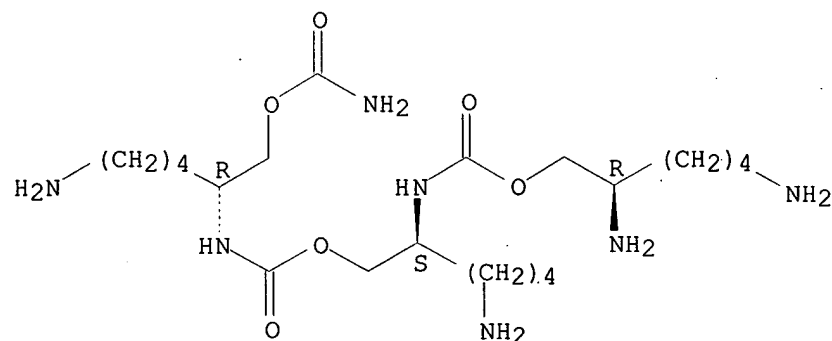
Absolute stereochemistry.



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CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,6-diaminohexyl ester, (3S,8R)- (9CI) (CA INDEX NAME)

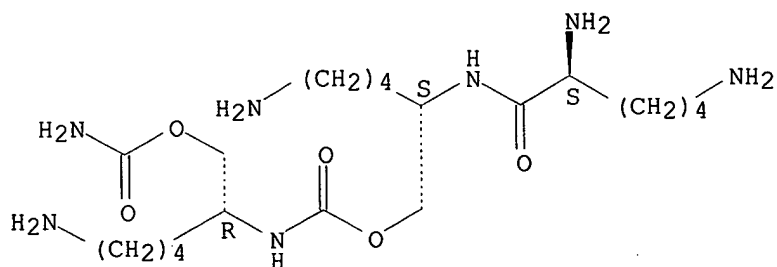
Absolute stereochemistry.



RN 334000-23-6 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[aminocarbonyl]oxy]methyl]pentyl]-, (2S)-6-amino-2-[[2,6-diamino-1-oxohexyl]amino]hexyl ester (9CI) (CA INDEX NAME)

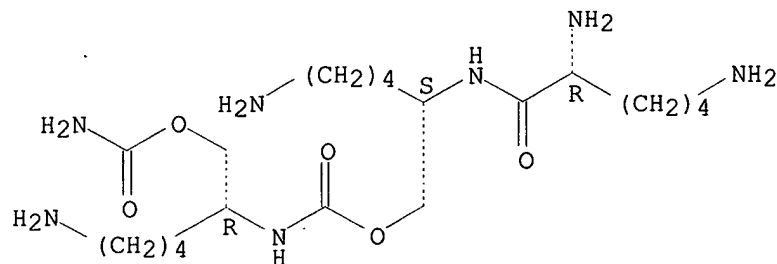
Absolute stereochemistry.



RN 334000-24-7 HCAPLUS

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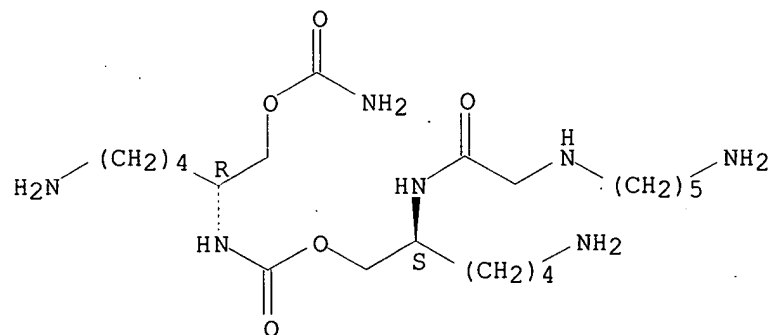
Absolute stereochemistry.



RN 334000-25-8 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(5-aminopentyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

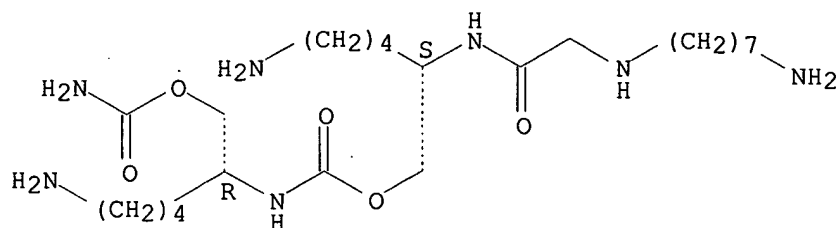
Absolute stereochemistry.



RN 334000-26-9 HCAPLUS

CN Carbamic acid, [(1R)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(7-aminoheptyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

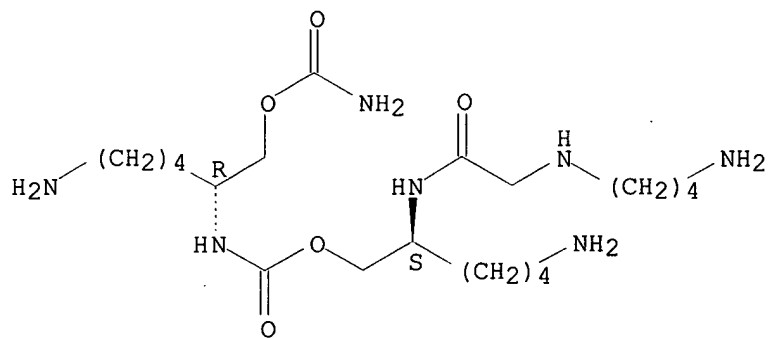
Absolute stereochemistry.



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CN Carbamic acid, [(1R)-5-amino-1-[[aminocarbonyl]oxy]methyl]pentyl-, (2S)-6-amino-2-[[[(4-aminobutyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

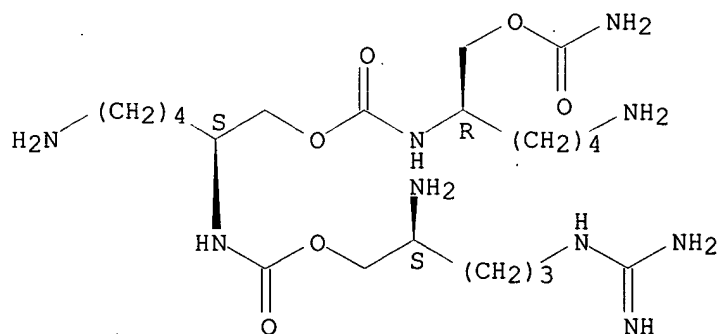
Absolute stereochemistry.



RN 334000-28-1 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2-amino-5-[(aminoiminomethyl)amino]pentyl ester, (3S,8R)- (9CI) (CA INDEX NAME)

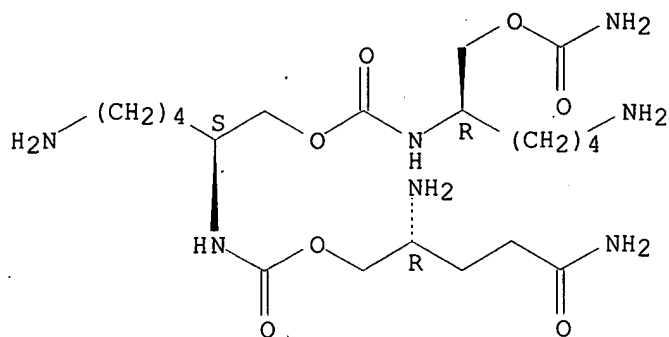
Absolute stereochemistry.



RN 334000-29-2 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,5-diamino-5-oxopentyl ester, (3S,8R)- (9CI) (CA INDEX NAME)

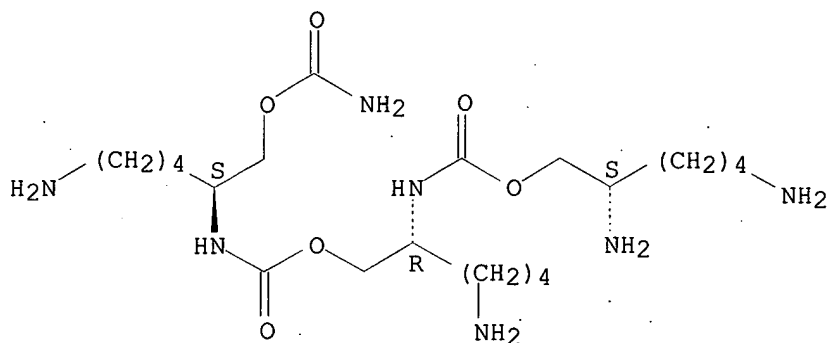
Absolute stereochemistry.



RN 334000-64-5 HCAPLUS

CN 5,10-Dioxo-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2,6-diaminohexyl ester, (3R,8S)- (9CI) (CA INDEX NAME)

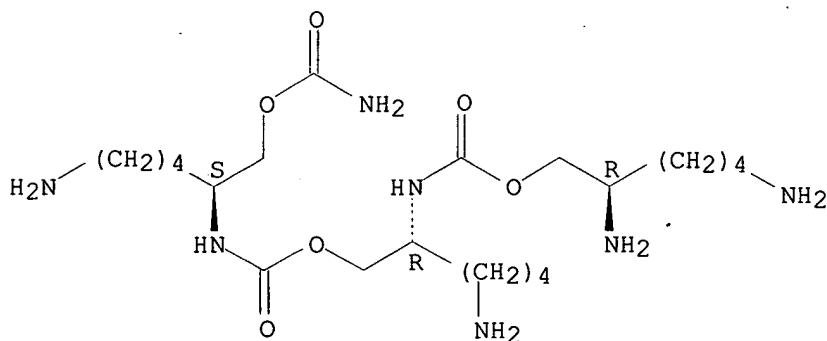
Absolute stereochemistry.



RN 334000-65-6 HCAPLUS

CN 5,10-Dioxo-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,6-diaminohexyl ester, (3R,8S)- (9CI) (CA INDEX NAME)

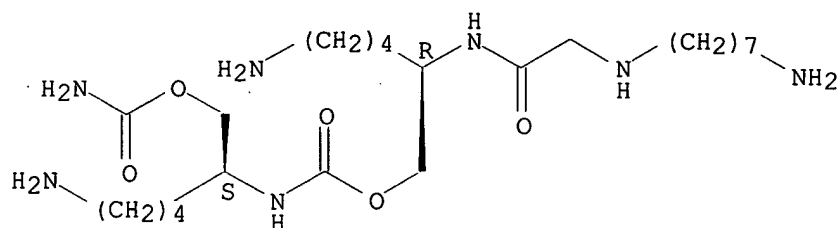
Absolute stereochemistry.



RN 334000-66-7 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(2S)-2,6-diamino-1-oxohexyl]amino]hexyl] ester (9CI) (CA INDEX NAME)

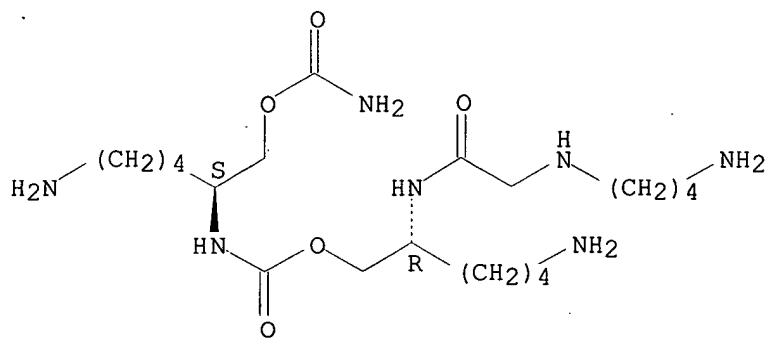
Absolute stereochemistry.



RN 334000-70-3 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2R)-6-amino-2-[[[(4-aminobutyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

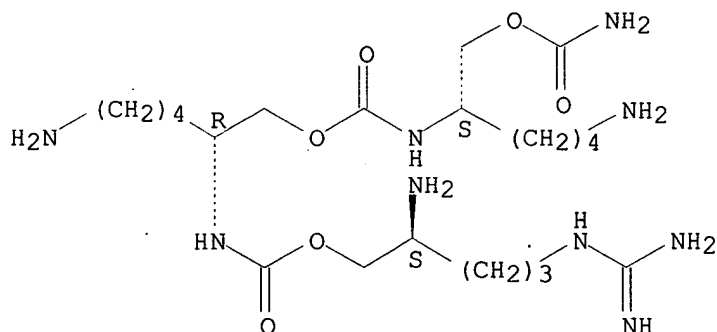
Absolute stereochemistry.



RN 334000-71-4 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2-amino-5-[(aminoiminomethyl)amino]pentyl ester, (3R,8S)- (9CI) (CA INDEX NAME)

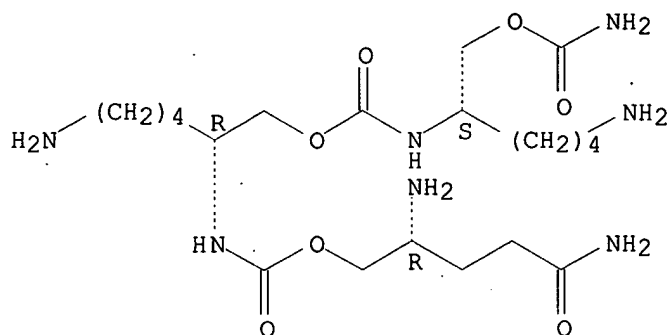
Absolute stereochemistry.



RN 334000-72-5 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,5-diamino-5-oxopentyl ester, (3R,8S)- (9CI) (CA INDEX NAME)

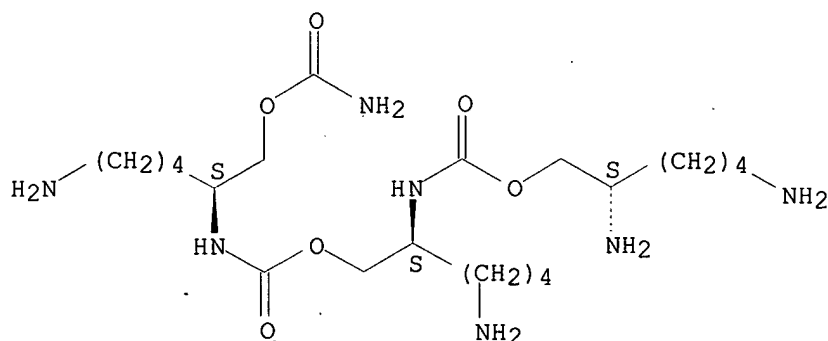
Absolute stereochemistry.



RN 334000-73-6 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2,6-diaminohexyl ester, (3S,8S)- (9CI) (CA INDEX NAME)

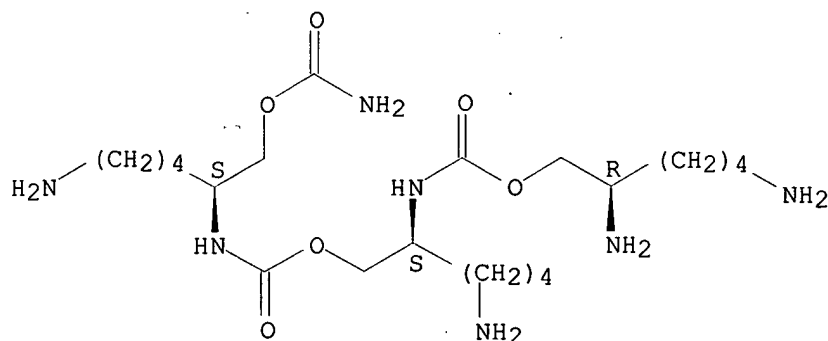
Absolute stereochemistry.



RN 334000-74-7 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,6-diaminohexyl ester, (3S,8S)- (9CI) (CA INDEX NAME)

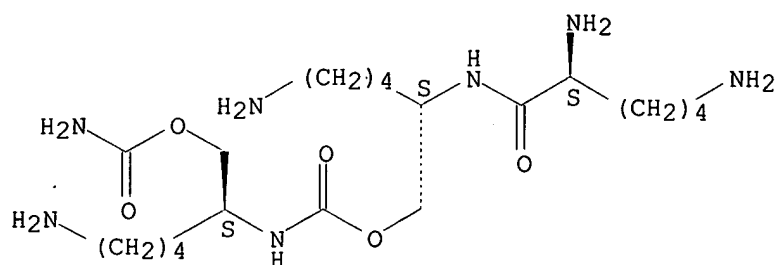
Absolute stereochemistry.



RN 334000-75-8 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(2S)-2,6-diamino-1-oxohexyl]amino]hexyl ester (9CI) (CA INDEX NAME)

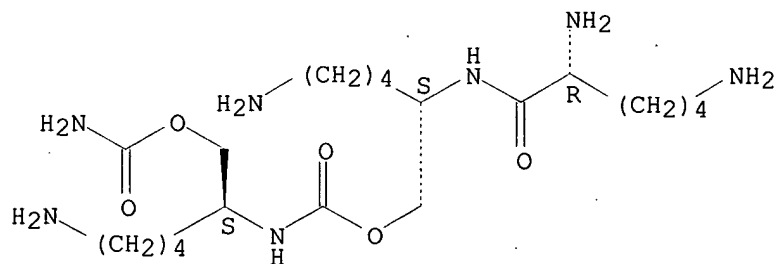
Absolute stereochemistry.



RN 334000-76-9 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(2R)-2,6-diamino-1-oxohexyl]amino]hexyl ester (9CI) (CA INDEX NAME)

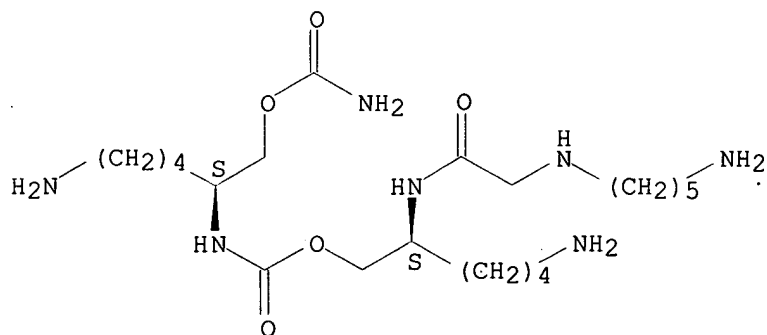
Absolute stereochemistry.



RN 334000-77-0 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(5-aminopentyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

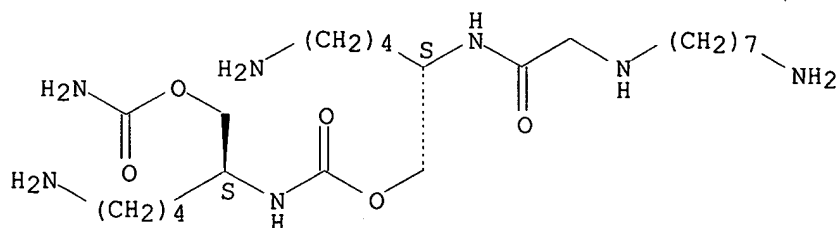
Absolute stereochemistry.



RN 334000-78-1 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(7-aminoheptyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

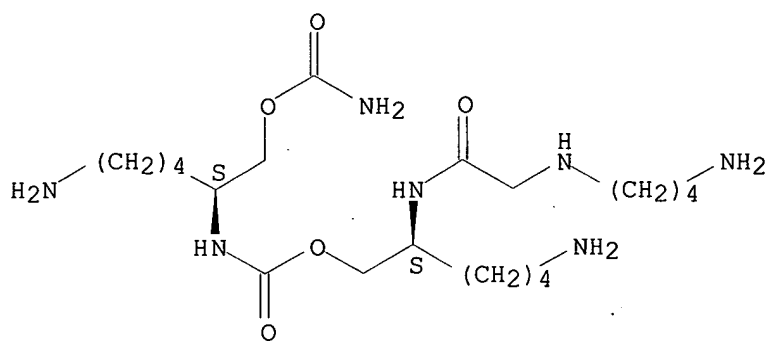
Absolute stereochemistry.



RN 334000-79-2 HCAPLUS

CN Carbamic acid, [(1S)-5-amino-1-[[[(aminocarbonyl)oxy]methyl]pentyl]-, (2S)-6-amino-2-[[[(4-aminobutyl)amino]acetyl]amino]hexyl ester (9CI) (CA INDEX NAME)

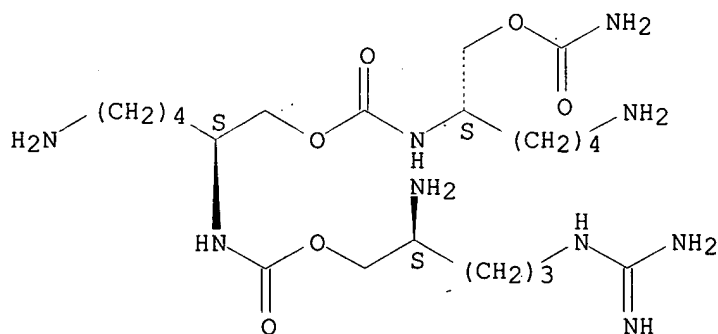
Absolute stereochemistry.



RN 334000-80-5 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2S)-2-amino-5-[(aminoiminomethyl)amino]pentyl ester, (3S,8S)- (9CI) (CA INDEX NAME)

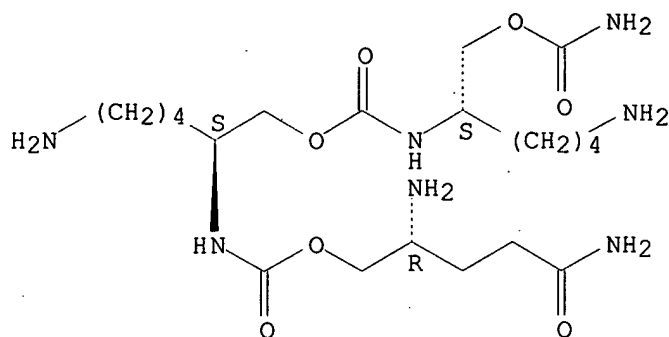
Absolute stereochemistry.



RN 334000-81-6 HCAPLUS

CN 5,10-Dioxa-2,7-diazaundecanoic acid, 11-amino-3,8-bis(4-aminobutyl)-6,11-dioxo-, (2R)-2,5-diamino-5-oxopentyl ester, (3S,8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208131 HCAPLUS

DOCUMENT NUMBER: 134:231861

TITLE: Method of potentiating chemotherapy and treating solid tumors

INVENTOR(S): Gibbons, James Joseph, Jr.; Dukart, Gary; Lucas, Judy; Speicher, Lisa Anne

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019399	A2	20010322	WO 2000-US25008	20000912
WO 2001019399	A3	20011213		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014001	A	20020521	BR 2000-14001	20000912
EP 1214092	A2	20020619	EP 2000-961841	20000912
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509383	T2	20030311	JP 2001-523030	20000912
PRIORITY APPLN. INFO.:			US 1999-396051 A	19990915
			WO 2000-US25008 W	20000912

OTHER SOURCE(S): MARPAT 134:231861

AB This invention provides a method of treating solid tumors which comprises administering an effective amt. of a combination of (1) a bioresponse modifier and (2) a chemotherapeutic agent. This invention also provides a method of potentiating the effects of a chemotherapeutic regimen in a mammal in need of treatment with such regimen which comprises administering a bioresponse modifier in addn. to a chemotherapeutic regimen. The potentiating effect of the bioresponse modifier

① same inventive entity
② (same)
Good data

[R-(R*,R*)]-N-[R-6-carboxy-N2-[[2-carboxy-1-methyl-2-[(1-oxoheptyl)amino]ethoxy]carbonyl]-L-lysyl]alanine and paclitaxel was demonstrated in mice.

IT 160705-84-0

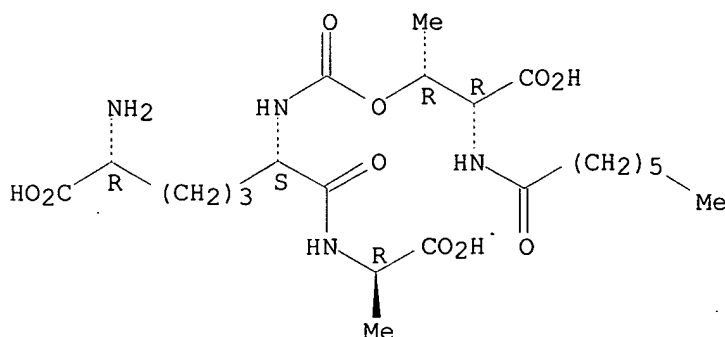
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potentiating chemotherapy and treating solid tumors)

RN 160705-84-0 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with N-(1-oxoheptyl)-D-allothreonine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 7 OF 15 HCAPLUS. COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:177403 HCAPLUS

DOCUMENT NUMBER: 135:28708

TITLE: Targeting RNA with peptidomimetic oligomers in human cells

AUTHOR(S): Tamilarasu, N.; Huq, I.; Rana, T. M.

CORPORATE SOURCE: Department of Pharmacology, Robert Wood Johnson Medical School, and Molecular Biosciences Graduate Program at Rutgers State University, Piscataway, NJ, 08854, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 505-507

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report that two TAR RNA-binding peptidomimetics, oligourea and oligocarbamate, inhibit transcriptional activation by Tat protein in human cells with an IC50 of .apprx.0.5 and 1 .mu.M, resp. Peptidomimetics that can target specific RNA structures provide novel mols. that can be used to control cellular processes involving protein-RNA interactions in vivo. Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a stem-loop structure located at the 5'-end of all HIV mRNAs. Here we report that two TAR RNA-binding peptidomimetics, oligourea and oligocarbamate, inhibit transcriptional activation by Tat protein in human cells with an IC50 of 0.5 and .apprx.1.0 .mu.M, resp. Peptidomimetics that can target specific

RNA structures provide novel mols. that can be used to control cellular processes involving protein-RNA interactions in vivo.

IT 343944-29-6

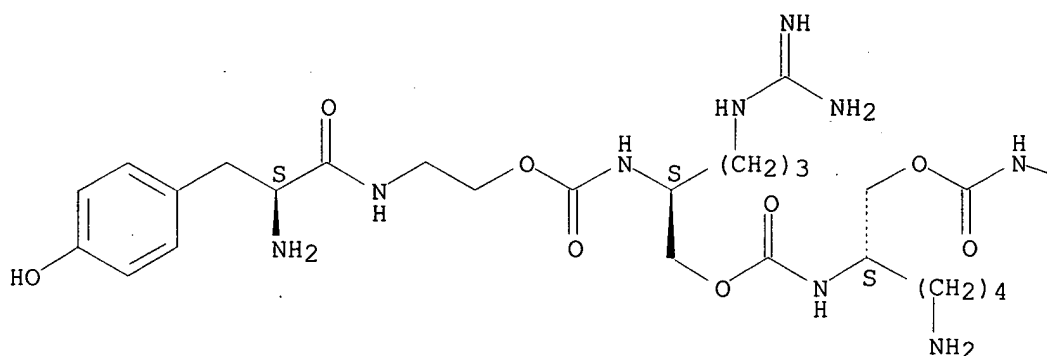
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(targeting RNA with peptidomimetic oligomers in human cells)

RN 343944-29-6 HCAPLUS

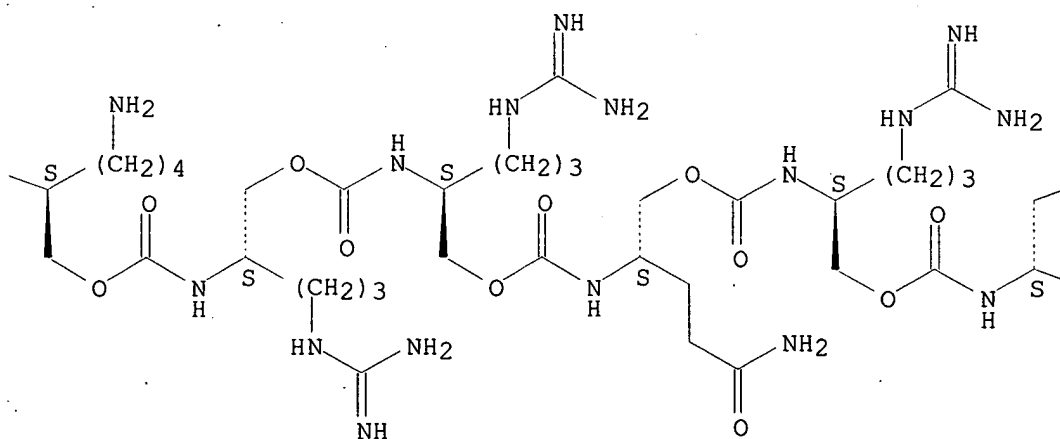
CN L-Arginine, N44-L-tyrosyl-(4S,9S,14S,19S,24S,29S,34S,39S)-44-amino-29,34-bis(4-aminobutyl)-4,9,19,24,39-pentakis[3-[(aminoiminomethyl)amino]propyl]-14-(3-amino-3-oxopropyl)-6,11,16,21,26,31,36,41-octa-oxo-2,7,12,17,22,27,32,37,42-nona-oxa-5,10,15,20,25,30,35,40-octaazatetratetracontanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

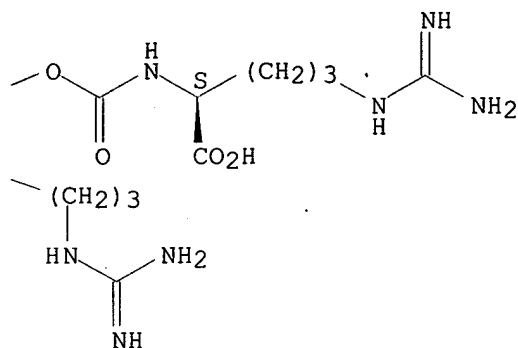
PAGE 1-A



PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:152863 HCAPLUS

DOCUMENT NUMBER: 134:204756

TITLE: Methods for the detection, analysis and isolation of nascent proteins

INVENTOR(S): Rothschild, Kenneth J.; Gite, Sadanand; Olejnik, Jerzy

PATENT ASSIGNEE(S): Ambergen, Inc. USA

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014578	A1	20010301	WO 2000-US23233	20000823
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6303337	B1	20011016	US 1999-382950	19990825
US 6306628	B1	20011023	US 1999-382736	19990825
EP 1210449	A1	20020605	EP 2000-957758	20000823
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2002132248	A1	20020919	US 2001-973145	20011009
US 2003092031	A1	20030515	US 2002-174368	20020618
PRIORITY APPLN. INFO.:			US 1999-382736	A 19990825
			US 1999-382950	A 19990825
			WO 2000-US23233	W 20000823
			US 2002-49332	A2 20020621

AB This invention relates to ~~non-radioactive markers~~ that facilitate the detection and anal. of nascent proteins translated within cellular or cell-free translation systems. Nascent proteins contg. these markers can be rapidly and efficiently detected, isolated and analyzed without the handling and disposal problems assocd. with radioactive reagents. Preferred markers are dipyrrometheneboron difluoride (4,4-difluoro-4-bora-3a,4a-diaza-s-indacene) dyes.

IT 328387-26-4P

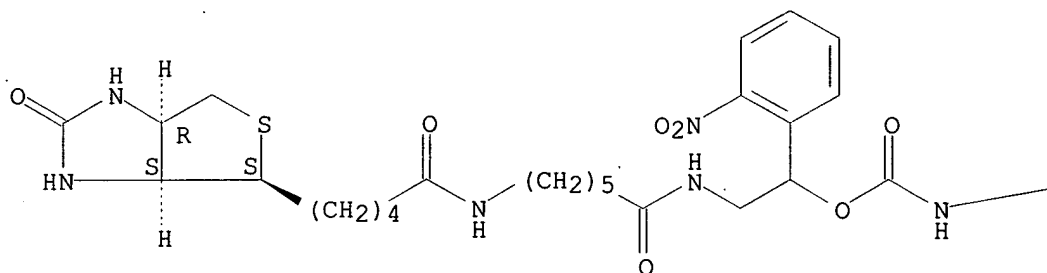
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for detection, anal. and isolation of nascent proteins)

RN 328387-26-4 HCAPLUS

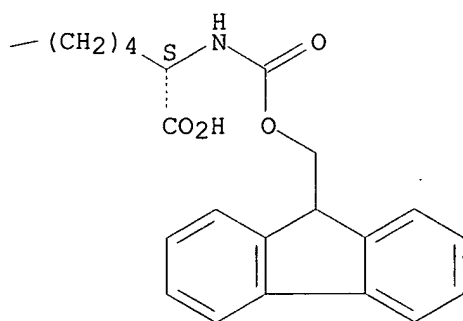
CN 10-Oxa-2,8,13,20-tetraazapentacosanoic acid, 3-carboxy-25-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-11-(2-nitrophenyl)-9,14,21-trioxo-, 1-(9H-fluoren-9-ylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:220895 HCAPLUS

DOCUMENT NUMBER: 133:120610

TITLE: Design and synthesis of novel antimicrobial

AUTHOR(S): Lee, K.-H.; Oh, J.-E.
 CORPORATE SOURCE: Protein Chemistry Laboratory, Mogam Biotechnology Research Institute, Kyonggi-Do, S. Korea
 SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(4), 833-839
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB By incorporating carbamate bond(s) into a cytolytic peptide, novel pseudopeptides with potent antibacterial activity and low hemolytic activity were synthesized. CD spectra suggested that the incorporation of carbamate bond(s) decrease the α -helical conformation of the peptide in lipid membrane circumstances, which must be regarded as a major factor for the sepn. of antibacterial activity from cytotoxic activity for mammalian cell. Expts. in which dye was released from vesicles indicated that the potent antibacterial activity and low hemolytic activity of the pseudopeptides must be due to their great lipid membrane selectivity.

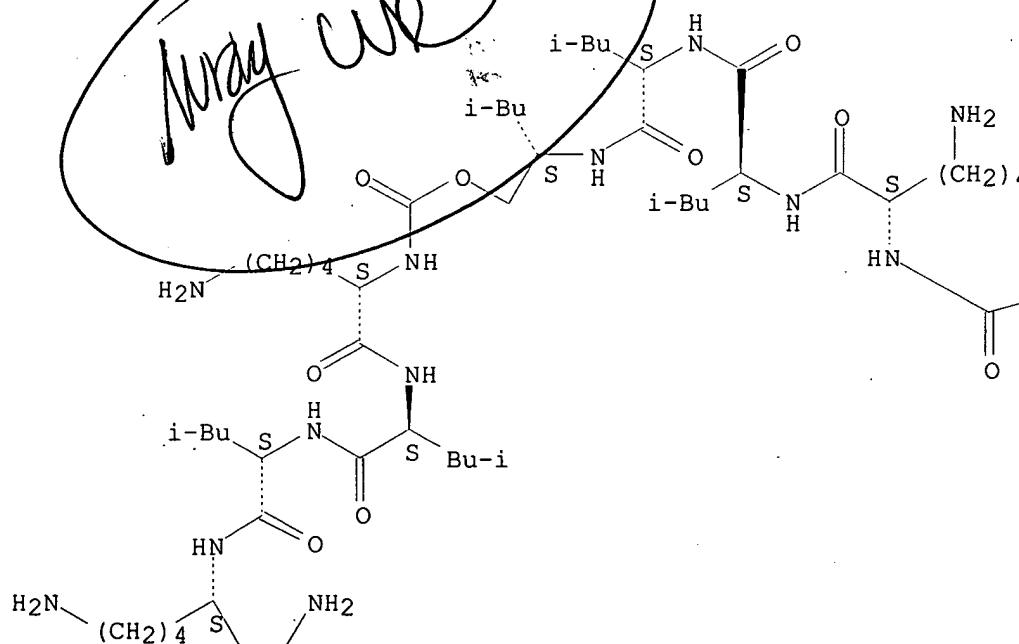
IT 284680-90-6P
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (design and synthesis of antimicrobial pseudopeptides with selective membrane-perturbation activity)

RN 284680-90-6 HCAPLUS

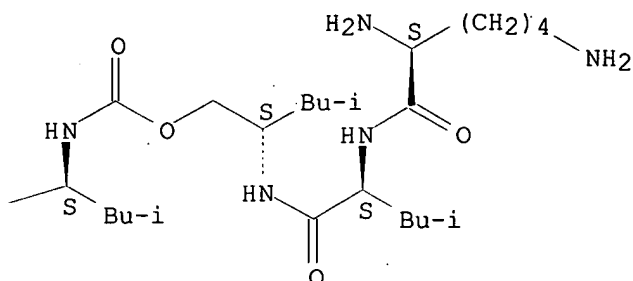
CN L-Lysinamide, N-[[[(2S)-2-[[N-[[[(2S)-2-[(L-lysyl-L-leucyl)amino]-4-methylpentyl]oxy]carbonyl]-L-leucyl-L-lysyl-L-leucyl-L-leucyl]amino]-4-methylpentyl]oxy]carbonyl]-L-lysyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry

PAGE 1-A



PAGE 1-B



PAGE 2-A



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:414234 HCAPLUS

DOCUMENT NUMBER: 131:193710

TITLE: Cyclic and linear oligocarbamate ligands for human thrombin

AUTHOR(S): Cho, Charles Y.; Liu, Corey W.; Wemmer, David E.; Schultz, Peter G.

CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA

SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(6), 1171-1179

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several classes of compds. have been tested as potential inhibitors of the serine protease thrombin, an important regulator of blood coagulation cascades. The authors describe here the discovery of a new class of thrombin inhibitors based on an unnatural carbamate biopolymer. Oligocarbamate thrombin inhibitors were identified through the screening of diverse cyclic trimer, cyclic tetramer, and linear tetramer libraries using the one bead, one peptide method. Whereas the cyclic trimer oligocarbamate ligands bound thrombin with modest affinity, a cyclic tetramer oligocarbamate inhibited thrombin with an apparent K_i of 31 nM. Linear oligocarbamate tetramers bound thrombin with inhibition consts. in the 100-nM range. These nonpeptidic, oligomeric mols. may provide the basis for further drug development and studies of thrombin-ligand interactions.

IT 213120-37-7 241496-02-6 241496-04-8

241496-06-0 241496-08-2 241496-09-3

241496-11-7

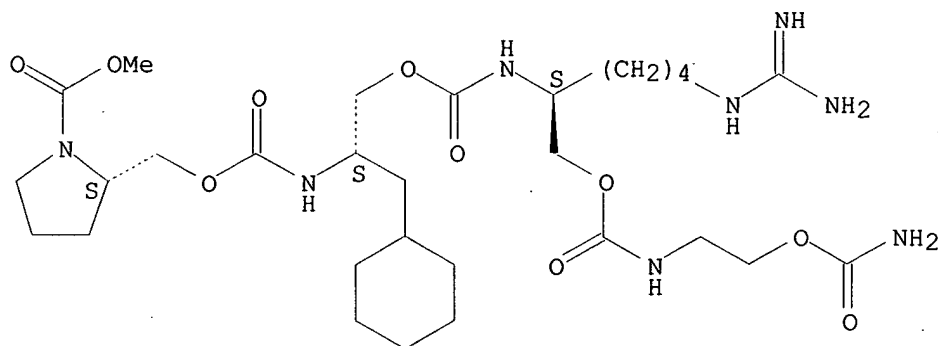
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic and linear peptide oligocarbamate ligands for human thrombin in relation to structure)

RN 213120-37-7 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(5S,10S)-18-amino-10-[4-[(aminoiminomethyl)amino]butyl]-5-(cyclohexylmethyl)-3,8,13,18-tetraoxo-2,7,12,17-tetraoxa-4,9,14-triazaoctadec-1-yl]-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

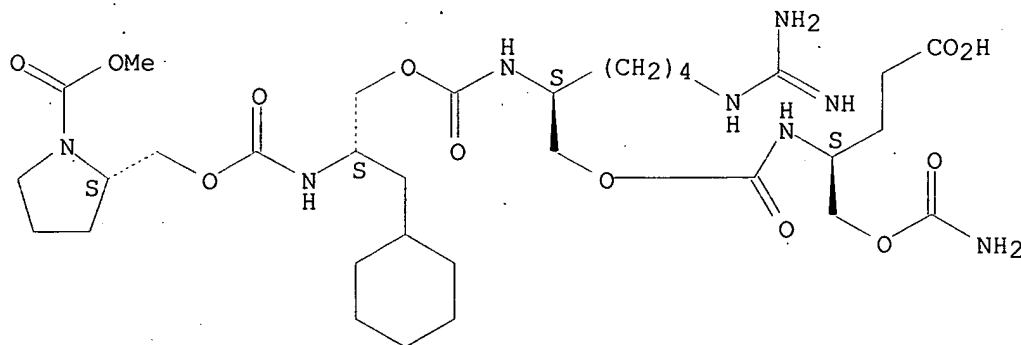
Absolute stereochemistry.



RN 241496-02-6 HCAPLUS

CN 5,10-Dioxo-2,7,12-triazahexadecanedioic acid, 13-[[[aminocarbonyl]oxy]methyl]-8-[4-[(aminoiminomethyl)amino]butyl]-3-(cyclohexylmethyl)-6,11-dioxo-, 1-[[[(2S)-1-(methoxycarbonyl)-2-pyrrolidinyl]methyl] ester, (3S,8S,13S)- (9CI) (CA INDEX NAME)

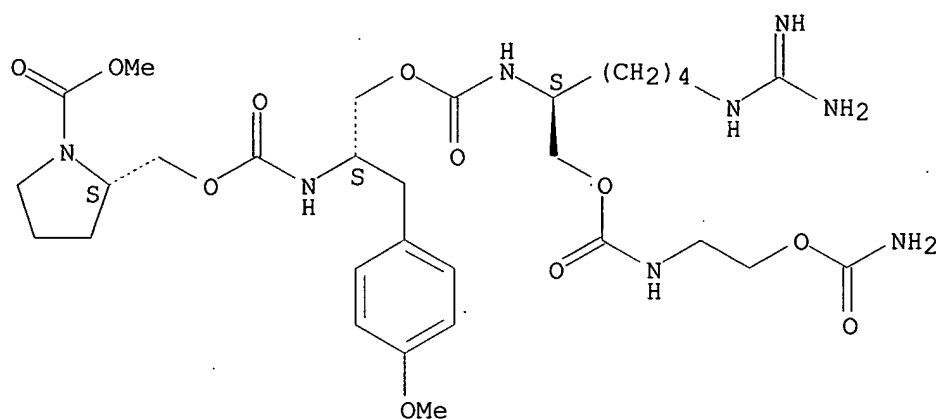
Absolute stereochemistry.



RN 241496-04-8 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(5S,10S)-18-amino-10-[4-[(aminoiminomethyl)amino]butyl]-5-[(4-methoxyphenyl)methyl]-3,8,13,18-tetraoxo-2,7,12,17-tetraoxa-4,9,14-triazaoctadec-1-yl]-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

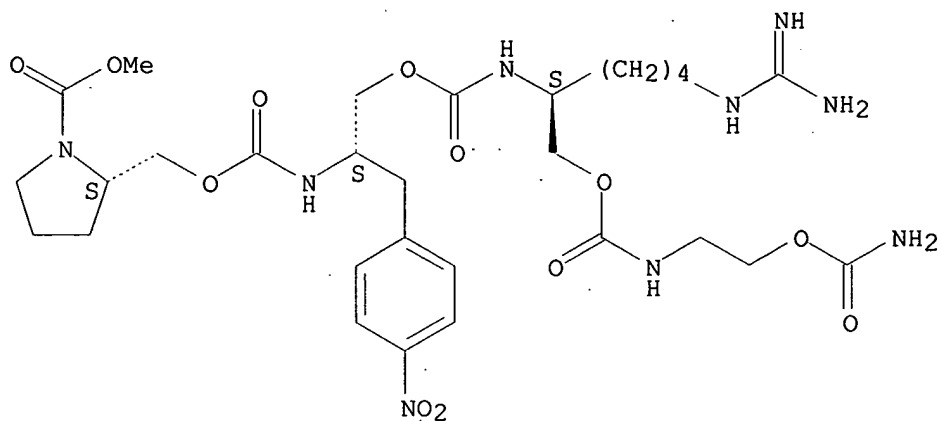


1-Pyrrolidinemethoxylic acid, 2-[(5S,10S)-18-amino-10-[4-
[(aminoiminomethyl)amino]butyl]-3,8,13,18-tetraoxo-5-(phenylmethyl)-
2,7,12,17-tetraoxa-4,9,14-triazaoctadec-1-yl]-, methyl ester, (2S)- (9CI)
(CA INDEX NAME)

COC(=O)N1CCCC1SCCOC(=O)N[C@H](Cc2ccccc2)SCCOC(=O)N[C@@H](CSCCOC(=O)NCCOC(=O)N)SCCCCCNC(=O)N

1-Pyrrolidininecarboxylic acid, 2-[(5S,10S)-18-amino-10-[4-
[(aminoiniminomethyl)amino]butyl]-5-[(4-nitrophenyl)methyl]-3,8,13,18-
tetraoxo-2,7,12,17-tetraoxa-4,9,14-triazaoctadec-1-yl]-, methyl ester,
(2S)- (9CI) (CA INDEX NAME)

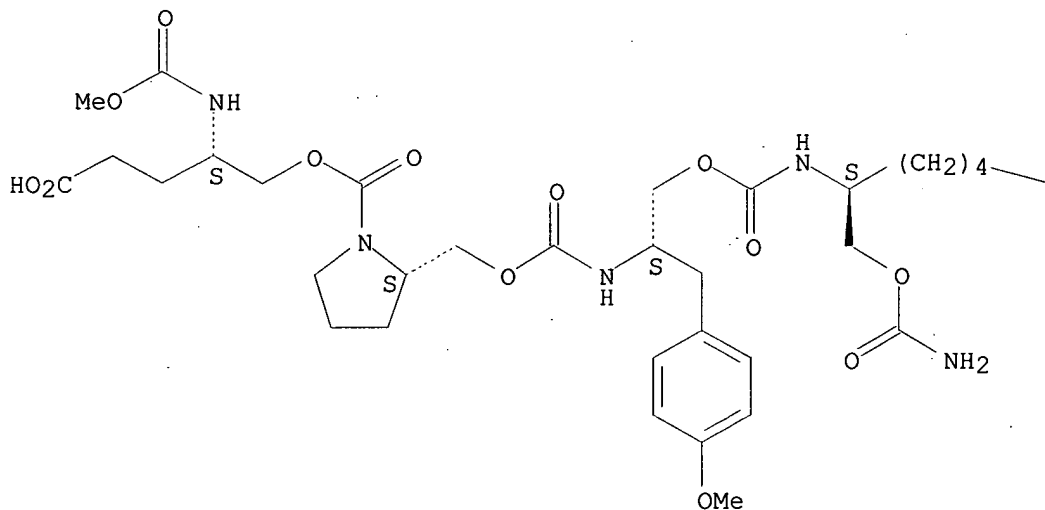
Page 43



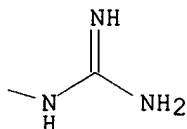
RN 241496-09-3 HCAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(5S,10S)-16-amino-10-
 [[(aminocarbonyl)oxy]methyl]-16-imino-5-[(4-methoxyphenyl)methyl]-3,8-
 dioxo-2,7-dioxo-4,9,15-triazahexadec-1-yl]-, (2S)-4-carboxy-2-
 [(methoxycarbonyl)amino]butyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



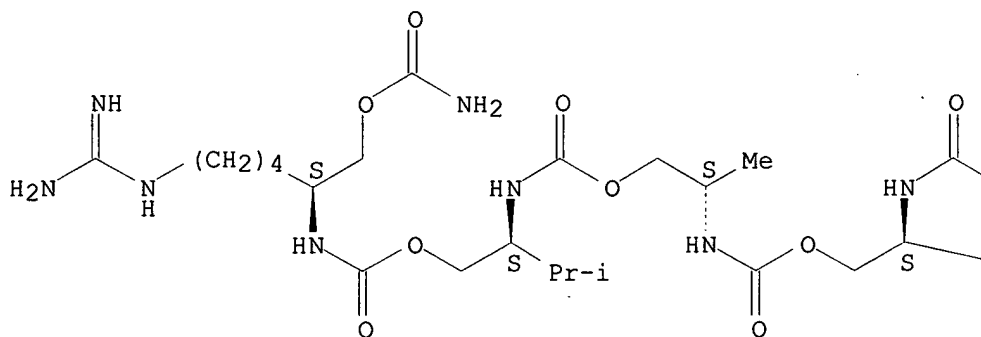
PAGE 1-B



RN 241496-11-7 HCAPLUS
 CN 5,10,15-Trioxa-2,7,12,17,23-pentaazatetracosanoic acid,
 24-amino-18-[[(aminocarbonyl)oxy]methyl]-3-[3-
 [(aminoiminomethyl)amino]propyl]-24-imino-8-methyl-13-(1-methylethyl)-
 6,11,16-trioxo-, methyl ester, (3S,8S,13S,18S)- (9CI) (CA INDEX NAME)

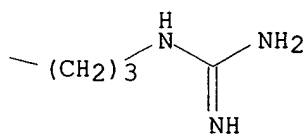
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OMe



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:507680 HCAPLUS
 DOCUMENT NUMBER: 129:245467

TITLE: Oligocarbamates as MHC class I ligands
 AUTHOR(S): Warrass, Ralf; Walden, Peter; Wiesmuller, Karl-Heinz; Jung, Gunther
 CORPORATE SOURCE: Institut fur Organische Chemie, Tubingen, D-72076, Germany
 SOURCE: Letters in Peptide Science (1998), 5(2-3), 125-128
 CODEN: LPSCEM; ISSN: 0929-5666
 PUBLISHER: Kluwer Academic Publishers
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB New ligands for major histocompatibility complex (MHC) class I mols. were prepd. using a flexible automated synthesis of oligocarbamates. An efficient soln.-phase synthesis was found for Fmoc-amino alcs. (Fmoc = 9-fluorenylmethoxycarbonyl) which are required as building blocks. The biol. activity of the oligomeric peptidomimetics H-[NHCH(R)CH₂OCO]₄NHCH(CH₃)CO₂H (R = amino acid side chain) was demonstrated in a stabilizing assay with MHC class I presenting cells.

IT 213336-26-6P

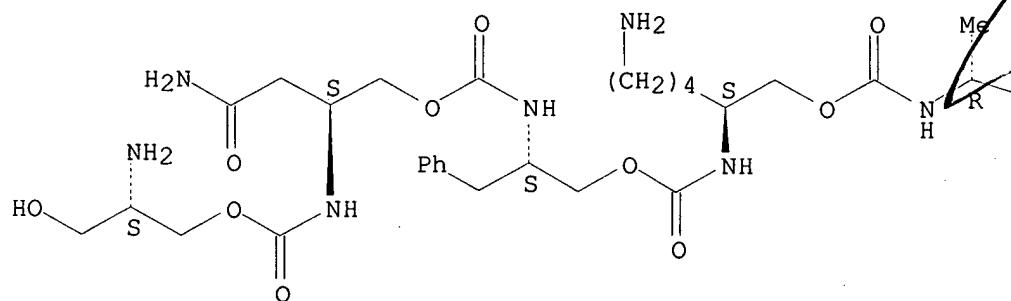
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of peptidomimetics in the form of oligocarbamates as MHC class I ligands)

RN 213336-26-6 HCAPLUS

CN 5,10,15-Trioxa-2,7,12,17-tetraazanonadecanedioic acid, 13-(4-aminobutyl)-3-(2-amino-2-oxoethyl)-18-methyl-6,11,16-trioxo-8-(phenylmethyl)-, 1-[(2S)-2-amino-3-hydroxypropyl] ester, (3S,8S,13S,18R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:496513 HCAPLUS
 DOCUMENT NUMBER: 129:245454

TITLE: Synthesis and Screening of Linear and Cyclic Oligocarbamate Libraries. Discovery of High Affinity Ligands for GPIIb/IIIa

AUTHOR(S): Cho, Charles Y.; Youngquist, R. Scott; Paikoff, Sari J.; Beresini, Maureen H.; Hebert, Andrea R.; Berleau, Lea T.; Liu, Corey W.; Wemmer, David E.; Keough, Thomas; Schultz, Peter G.

CORPORATE SOURCE: Department of Chemistry and Howard Hughes Medical Institute, University of California, Berkeley, CA, 94720-1460, USA

SOURCE: Journal of the American Chemical Society (1998), 120(31), 7706-7718
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Synthetic methodol. has been developed for the generation of large, diverse libraries of "unnatural" carbamate oligomers using the "one bead, one peptide" method. Using a pool of 27 structurally and functionally diverse monomers, one acyclic and two cyclic libraries were synthesized and screened for binding to the integrin GPIIb/IIIa. Several classes of oligocarbamate ligands for GPIIb/IIIa were discovered, and two cyclic ligands have activities that are within a factor of 3 of kistrin, a snake venom protein that effectively inhibits platelet aggregation. Preliminary pharmacokinetic characterization was performed on a linear oligocarbamate ligand, which was cleared from plasma with a half-life of 3.6 min.

IT 213120-28-6P 213120-29-7P 213120-32-2P
213120-33-3P 213120-35-5P 213120-36-6P
213120-37-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and screening of linear and cyclic oligocarbamate combinatorial libraries for discovery of high affinity ligands for GPIIb/IIIa)

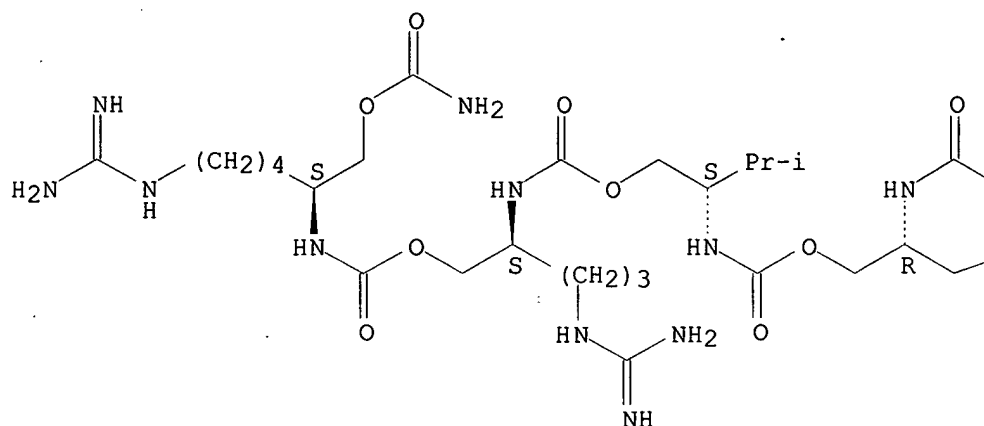
RN 213120-28-6 HCAPLUS

CN 5,10,15-Trioxa-2,7,12,17,23-pentaazatetracosanoic acid,
24-amino-18-[[(aminocarbonyl)oxy]methyl]-13-[3-
[(aminoiminomethyl)amino]propyl]-3-(carboxymethyl)-24-imino-8-(1-methylethyl)-6,11,16-trioxo-, 1-methyl ester, (3R,8S,13S,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Wrong

PAGE 1-A



PAGE 1-B

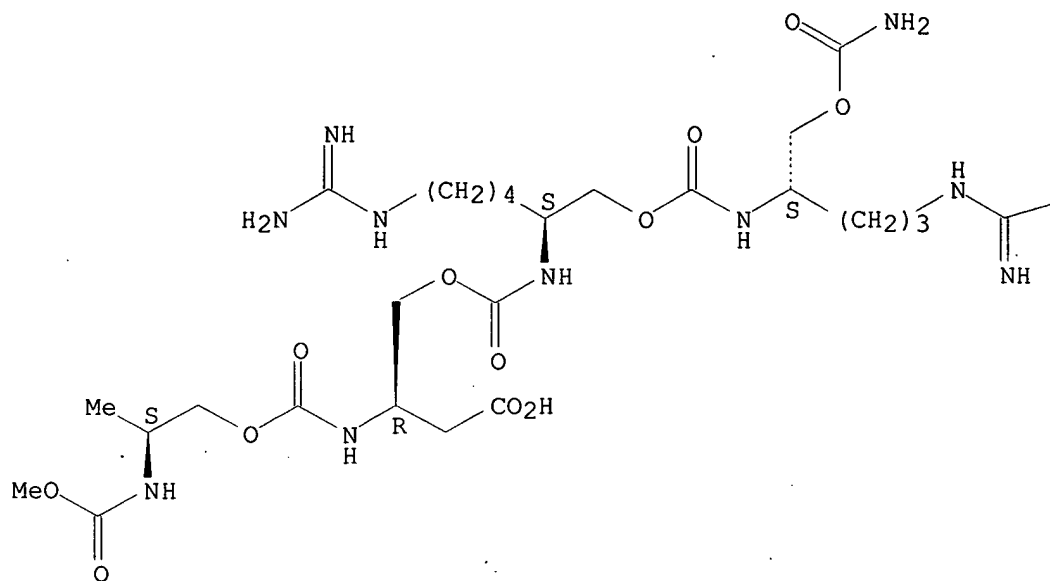
OMe

—CO₂H

RN 213120-29-7 HCAPLUS
 CN 5,10,15-Trioxa-2,7,12,17,22-pentaazatricosanoic acid, 23-amino-18-
 [[(aminocarbonyl)oxy]methyl]-13-[4-[(aminoiminomethyl)amino]butyl]-8-
 (carboxymethyl)-23-imino-3-methyl-6,11,16-trioxo-, 1-methyl ester,
 (3S,8R,13S,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

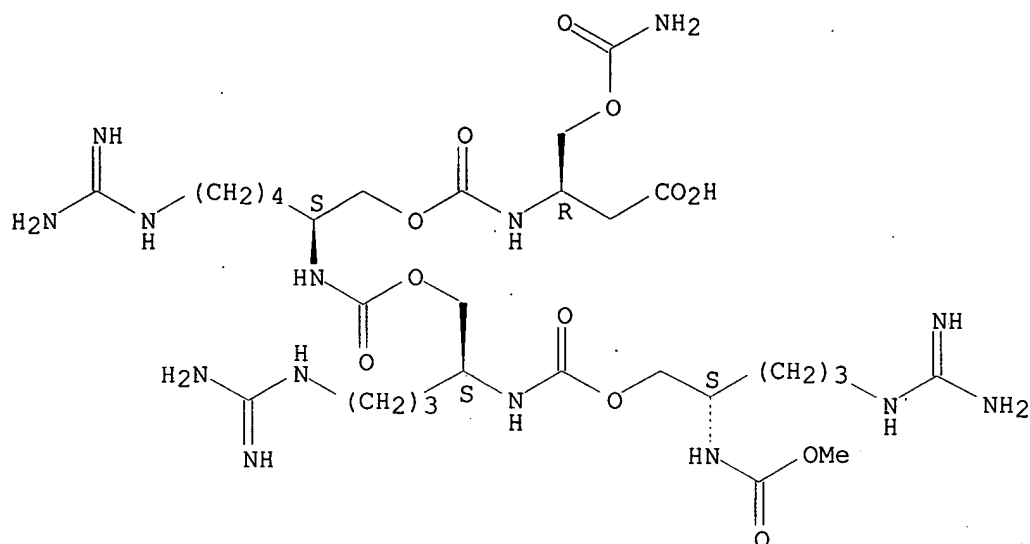


PAGE 1-B

—NH₂

RN 213120-32-2 HCAPLUS
 CN 5,10,15-Trioxa-2,7,12,17-tetrazaeicosanedioic acid, 18-
 [[(aminocarbonyl)oxy]methyl]-13-[4-[(aminoiminomethyl)amino]butyl]-3,8-
 bis[3-[(aminoiminomethyl)amino]propyl]-6,11,16-trioxo-, 1-methyl ester,
 (3S,8S,13S,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

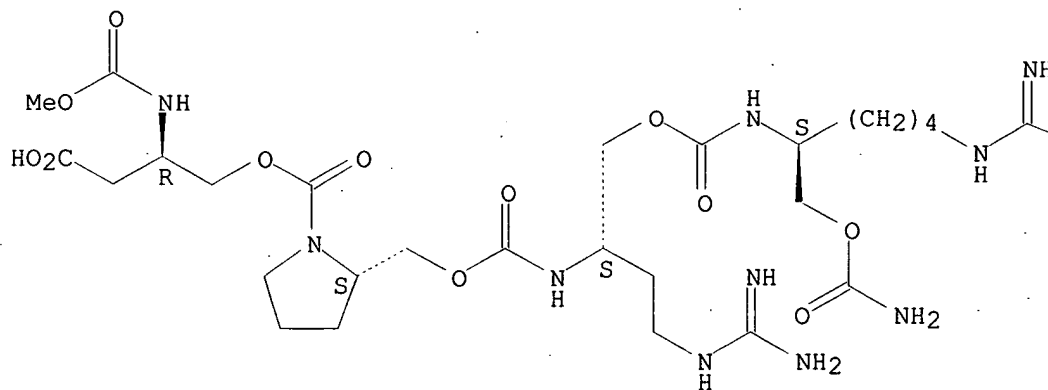


RN 213120-33-3 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(5S,10S)-16-amino-10-[[[(aminocarbonyl)oxy]methyl]-5-[2-[(aminoiminomethyl)amino]ethyl]-16-imino-3,8-dioxo-2,7-dioxa-4,9,15-triazahexadec-1-yl]-, (2R)-3-carboxy-2-[(methoxycarbonyl)amino]propyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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NH2

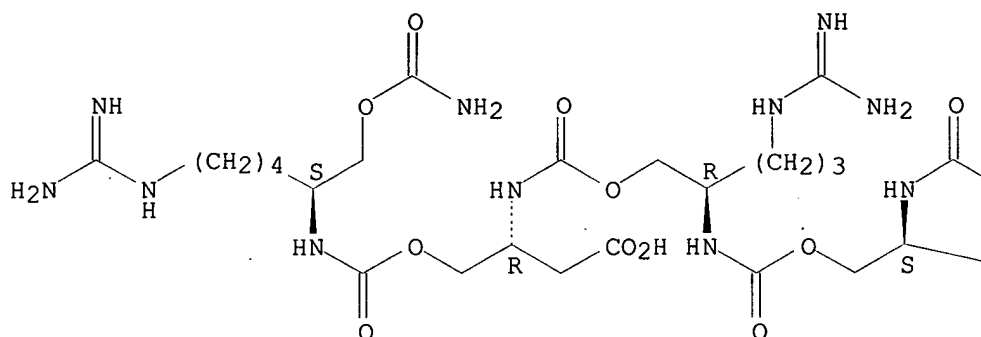
RN 213120-35-5 HCAPLUS

CN 5,10-Dioxa-2,7,12-triazapentadecanedioic acid, 13-[[[[(1S)-1-

[[(aminocarbonyl)oxy)methyl]-5-[(aminoiminomethyl)amino]pentyl]amino]carbo
nyl]oxy)methyl]-3,8-bis[3-[(aminoiminomethyl)amino]propyl]-6,11-dioxo-,
1-methyl ester, (3S,8R,13R)- (9CI) (CA INDEX NAME)

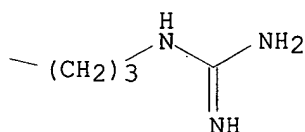
Absolute stereochemistry.

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OMe

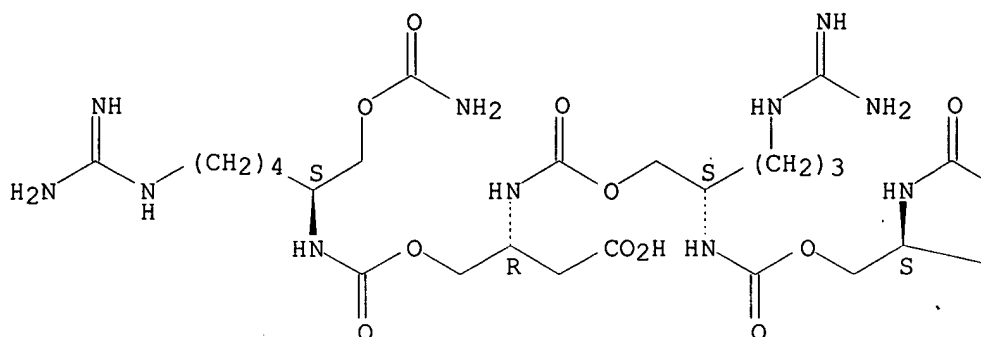


RN 213120-36-6 HCAPLUS

CN 5,10-Dioxo-2,7,12-triazapentadecanedioic acid, 13-[[[[(1S)-1-
[[(aminocarbonyl)oxy)methyl]-5-[(aminoiminomethyl)amino]pentyl]amino]carbo
nyl]oxy)methyl]-3,8-bis[3-[(aminoiminomethyl)amino]propyl]-6,11-dioxo-,
1-methyl ester, (3S,8S,13R)- (9CI) (CA INDEX NAME)

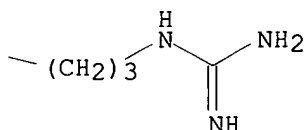
Absolute stereochemistry.

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PAGE 1-B

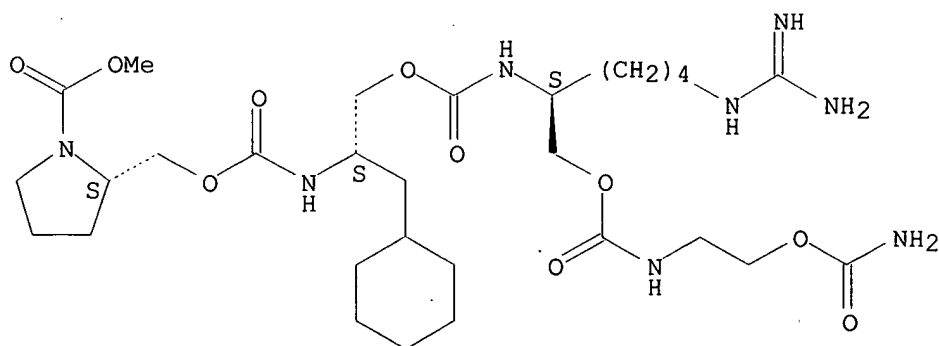
OMe



RN 213120-37-7 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(5S,10S)-18-amino-10-[4-[(aminoiminomethyl)amino]butyl]-5-(cyclohexylmethyl)-3,8,13,18-tetraoxo-2,7,12,17-tetraoxa-4,9,14-triazaoctadec-1-yl]-, methyl ester, (2S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

84

THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:436038 HCAPLUS
 DOCUMENT NUMBER: 127:91798
 TITLE: HIV-1 TAR RNA recognition by an unnatural biopolymer
 AUTHOR(S): Wang, Xilu; Hug, Ikramul; Rana, Tariq M.
 CORPORATE SOURCE: Department of Pharmacology Robert Wood Johnson
 (Rutgers) Medical School, University of Medicine
 Dentistry of New Jersey, Piscataway, NJ, 08854, USA
 SOURCE: Journal of the American Chemical Society (1997),
 119(27), 6444-6445
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB ~~Replication of human immunodeficiency virus type 1 (HIV-1) requires specific interactions of Tat protein with the trans-activation responsive region (TAR) RNA, a 59-base stem-loop structure located at the 5'-end of all HIV mRNAs. We synthesized an oligocarbamate contg. the basic-arginine rich region of Tat (47Tyr-Gly-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg57) by solid phase peptide synthesis methods, and tested for TAR RNA binding. This Tat protein-derived unnatural biopolymer can specifically bind TAR RNA with high affinities. Site-specific photocrosslinking expts. using a photoactive analog (4-thiouracil) contg. TAR RNA revealed that the unnatural biopolymer interacts with RNA in the major groove. The oligocarbamate-RNA complexes were stable to proteolytic digestion. RNA recognition by an unnatural biopolymer provides a new approach for the design of cell-permeable mols. for the control of cellular processes involving RNA-protein interactions in vivo.~~

IT 192193-77-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

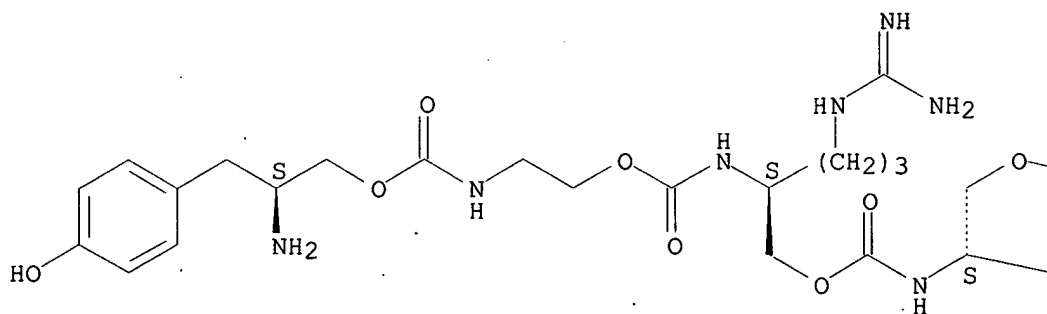
(TAR RNA binding by; HIV-1 TAR RNA recognition by an unnatural oligocarbamate biopolymer corresponding to basic arginine-rich region of Tat protein)

RN 192193-77-4 HCAPLUS

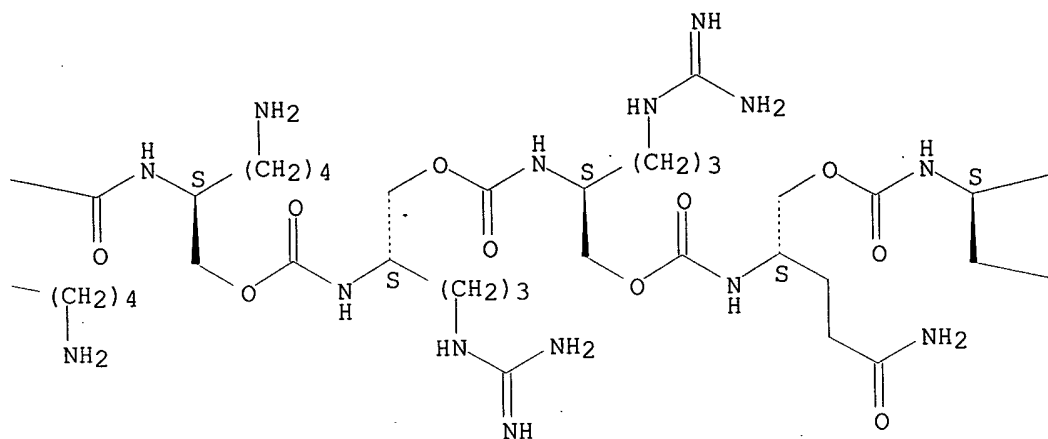
CN 2,7,12,17,22,27,32,37,42,47,52-Undeca-5,10,15,20,25,30,35,40,45,50-decaazapentapentacontanoic acid, 54-amino-34,39-bis(4-aminobutyl)-9,14,24,29,44-pentakis[3-[(aminoiminomethyl)amino]propyl]-19-(3-amino-3-oxopropyl)-55-(4-hydroxyphenyl)-6,11,16,21,26,31,36,41,46,51-decaoxo-, [4S-(4R*,9R*,14R*,19R*,24R*,29R*,34R*,39R*,44R*,54R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

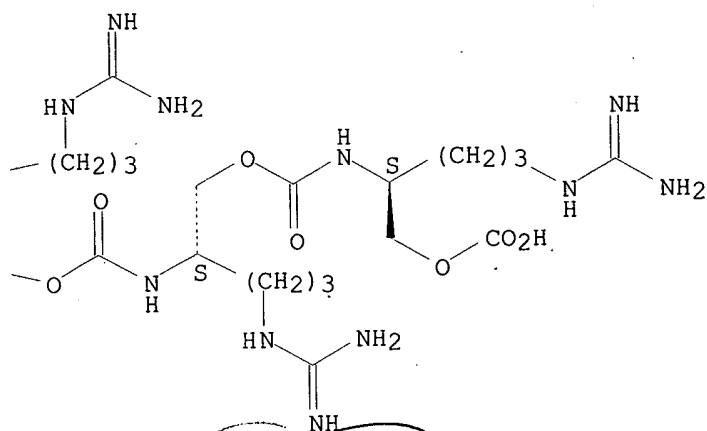
PAGE 1-A



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PAGE 1-C



L17 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:324507 HCAPLUS

DOCUMENT NUMBER: 122:106538

TITLE: Preparation of peptide urethane and urea derivativesthat induce cytokine production

INVENTOR(S): Ayrat-Kaloustian, Semiramis; Schow, Steven R.; Du, Mila T.; Gibbons, James J., Jr.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 25 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

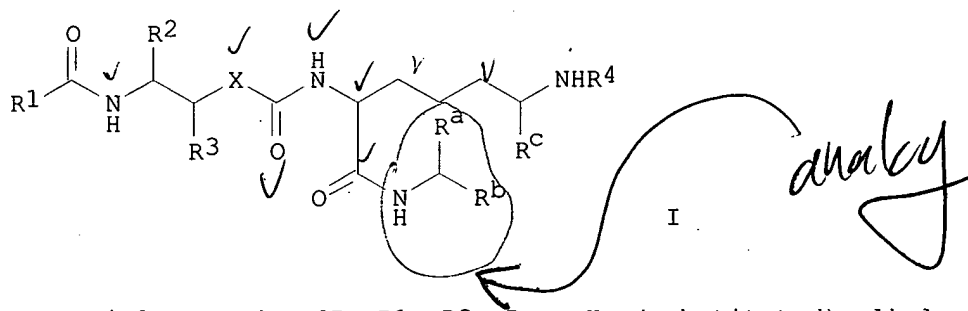
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GI



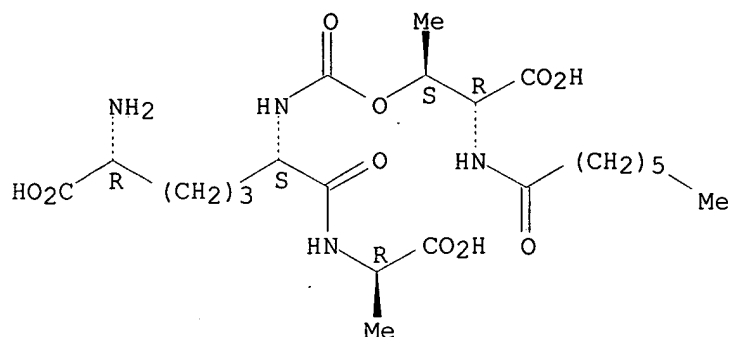
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	160579-16-8P	160579-17-9P	160579-18-0P
	160705-77-1P	160705-78-2P	160705-79-3P
	160705-81-7P	160705-82-8P	160705-83-9P
	160705-84-0P	160705-85-1P	160705-86-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for induction of cytokine prodn.)

RN 160578-69-8 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-D-threonine (9CI) (CA INDEX NAME)

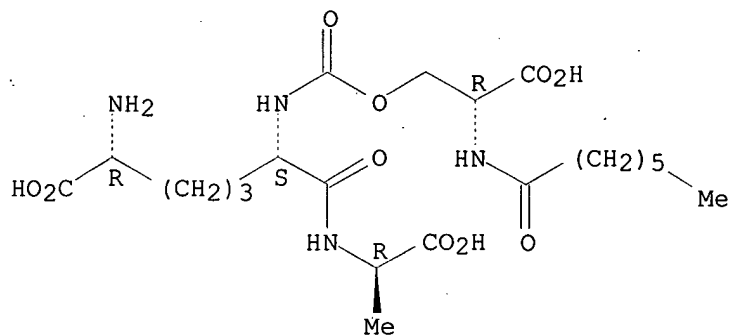
Absolute stereochemistry.



RN 160578-70-1 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-D-serine (9CI) (CA INDEX NAME)

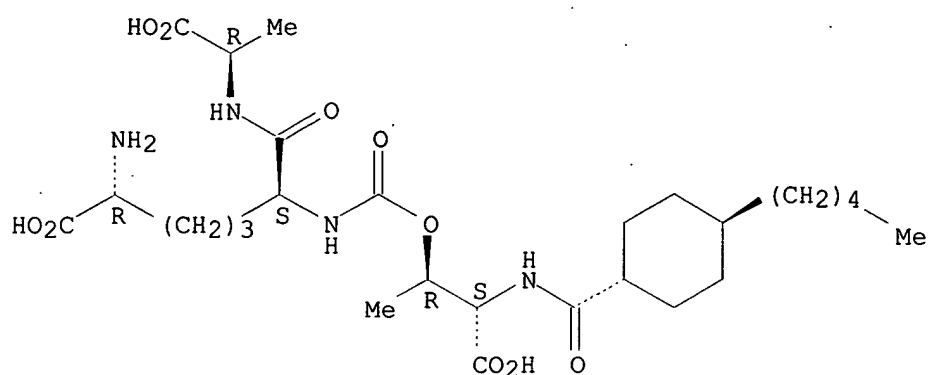
Absolute stereochemistry.



RN 160578-71-2 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-[(4-pentylcyclohexyl)carbonyl]-L-threonine, (trans)- (9CI) (CA INDEX
NAME)

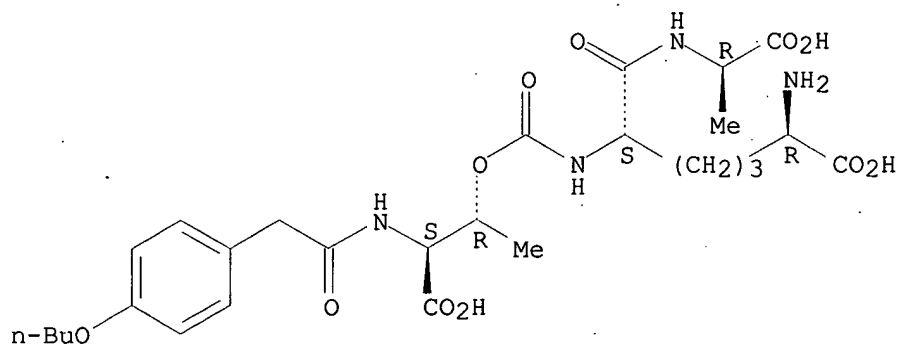
Absolute stereochemistry.



RN 160578-72-3 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-[(4-butoxyphenyl)acetyl]-L-threonine (9CI) (CA INDEX NAME)

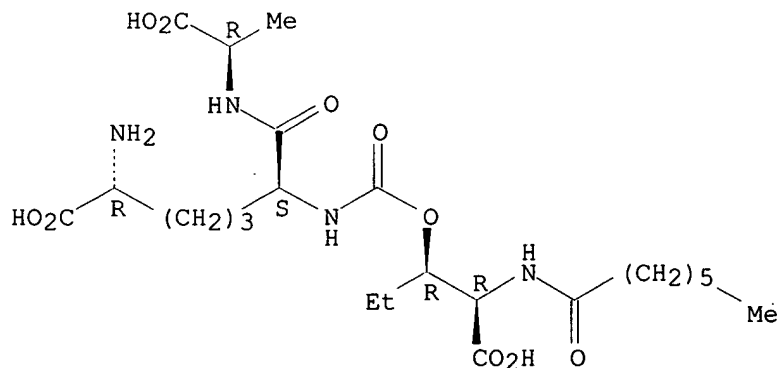
Absolute stereochemistry.



RN 160578-73-4 HCAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[[1-[carboxy[(1-oxoheptyl)amino]methyl]propoxy]carbonyl]-L-lysyl]-, [R-(R*,R*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

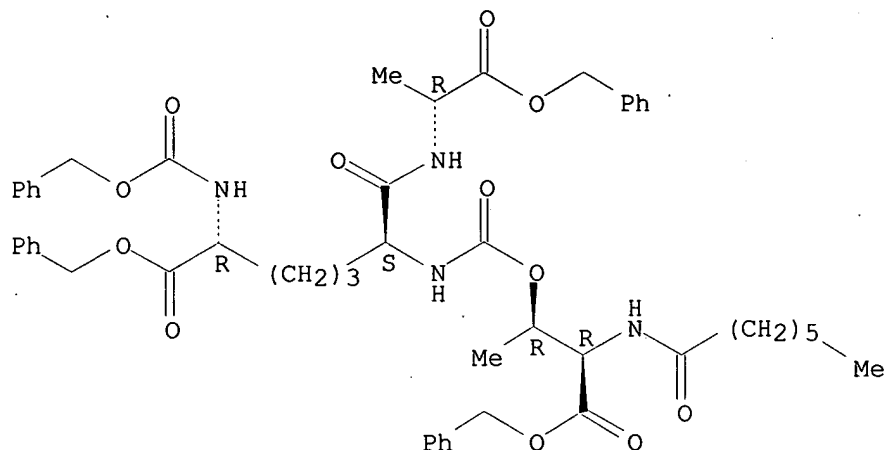


RN 160579-15-7 HCAPLUS

CN D-Allothreonine, N-(1-oxoheptyl)-, phenylmethyl ester,

[1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

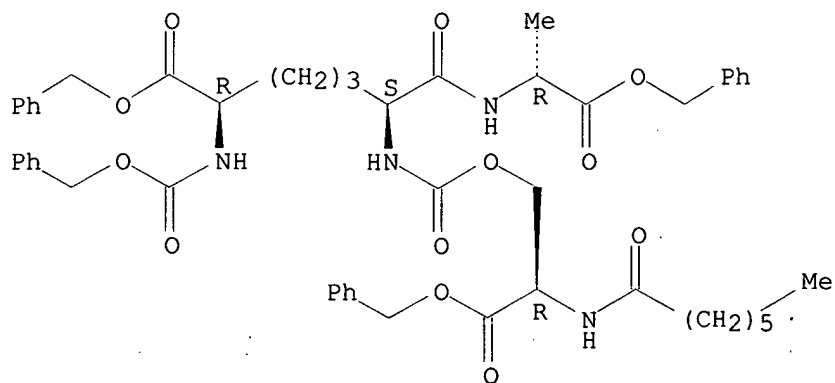
Absolute stereochemistry.



RN 160579-16-8 HCAPLUS

CN D-Serine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

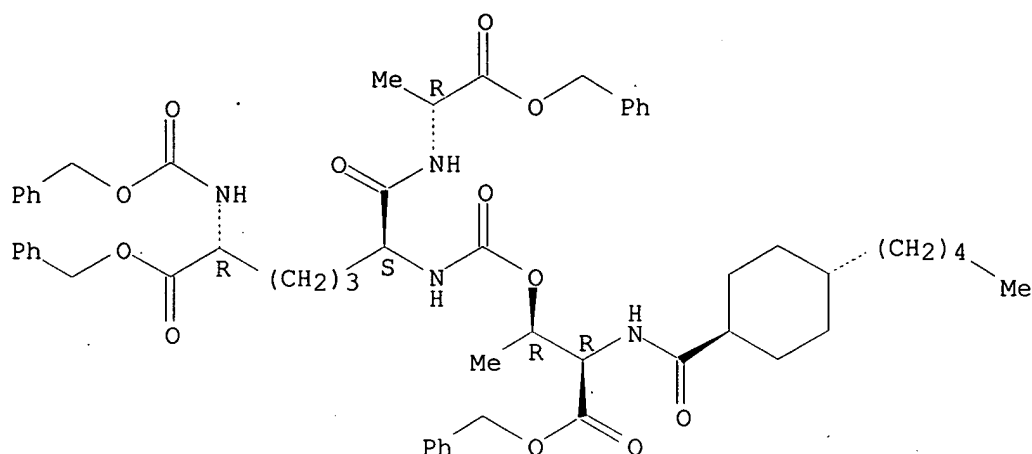
Absolute stereochemistry.



RN 160579-17-9 HCAPLUS

CN D-Allothreonine, N-[(4-pentylcyclohexyl)carbonyl]-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(trans),1(S*),5S*]]- (9CI) (CA INDEX NAME)

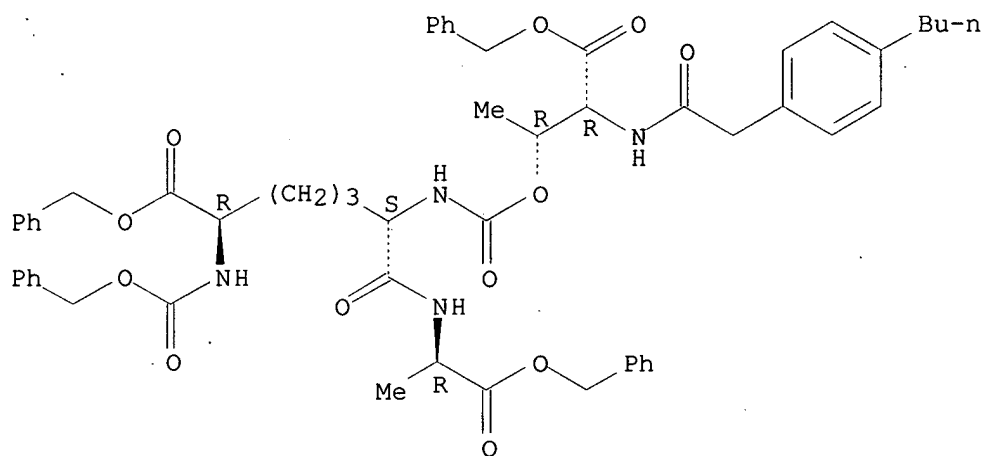
Absolute stereochemistry.



RN 160579-18-0 HCAPLUS

CN D-Allothreonine, N-[(4-butylphenyl)acetyl]-, phenylmethyl ester,
 [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-
 (phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
 [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

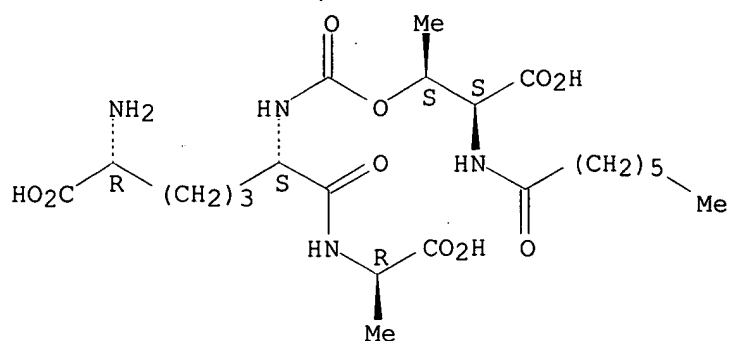
Absolute stereochemistry.



RN 160705-77-1 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
 N-(1-oxoheptyl)-L-allothreonine (9CI) (CA INDEX NAME)

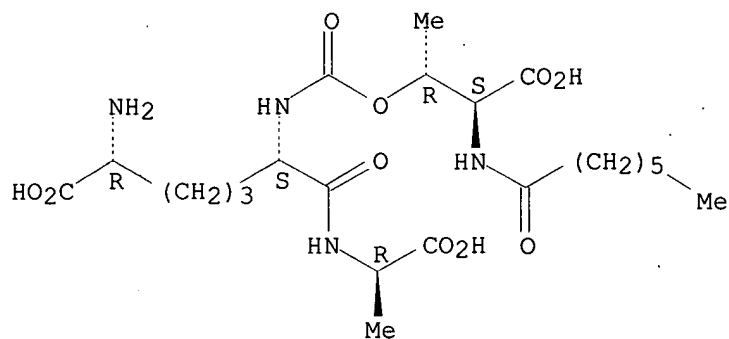
Absolute stereochemistry.



RN 160705-78-2 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-L-threonine (9CI) (CA INDEX NAME)

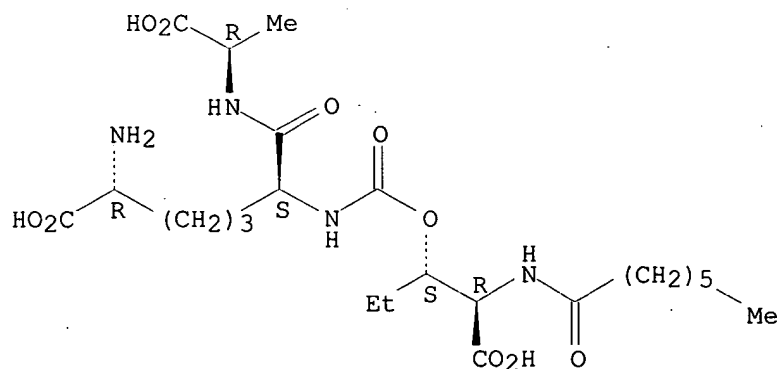
Absolute stereochemistry.



RN 160705-79-3 HCAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[[1-[carboxy-1-[(1-oxoheptyl)amino]methyl]propoxy]carbonyl]-L-lysyl]-, [S-(R*,S*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

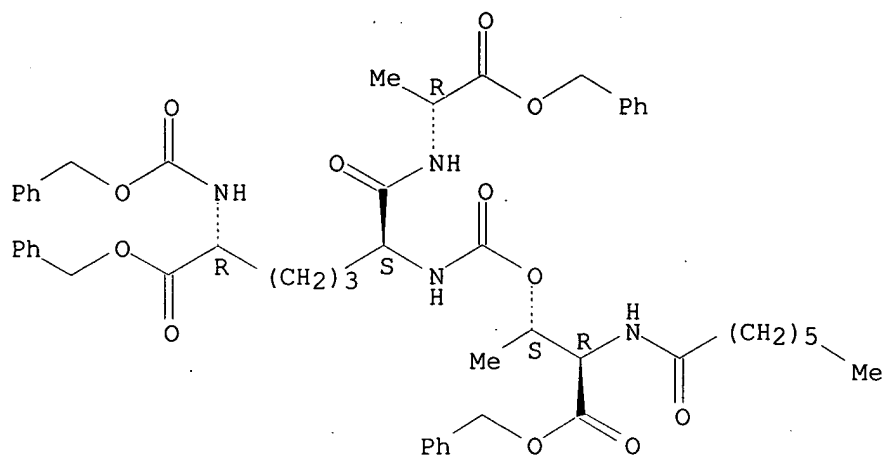


RN 160705-81-7 HCAPLUS

CN D-Threonine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-

[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
[1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

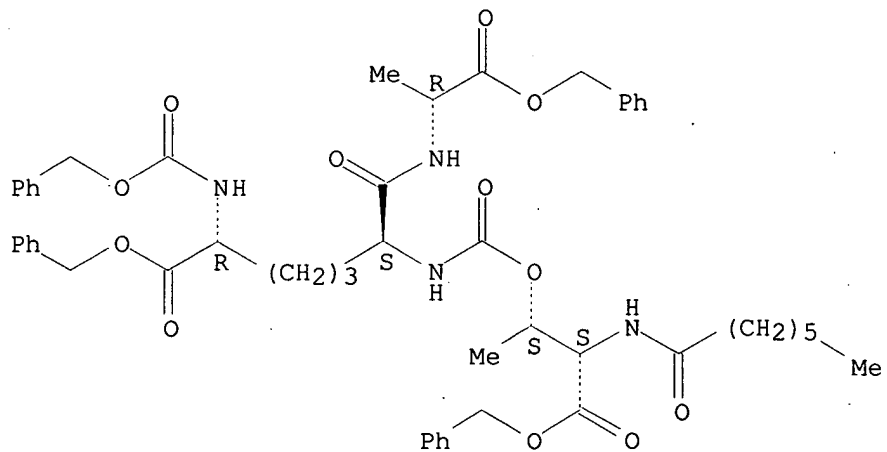
Absolute stereochemistry.



RN 160705-82-8 HCAPLUS

CN L-Allothreonine, N-(1-oxoheptyl)-, phenylmethyl ester,
[1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
[1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

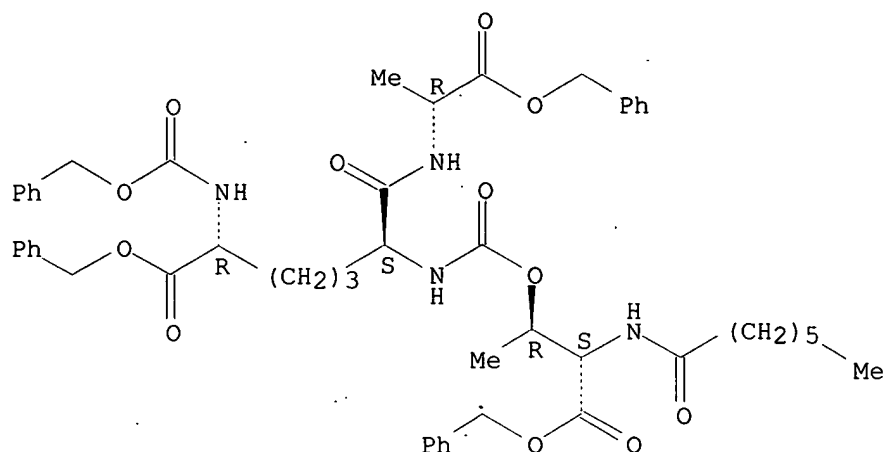
Absolute stereochemistry.



RN 160705-83-9 HCAPLUS

CN L-Threonine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
[1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

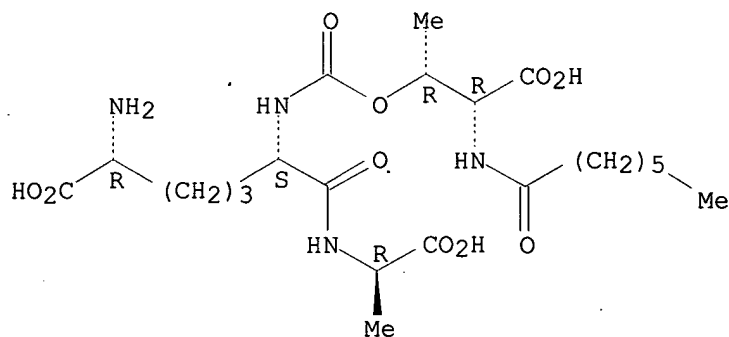
Absolute stereochemistry.



RN 160705-84-0 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-D-allothreonine (9CI) (CA INDEX NAME)

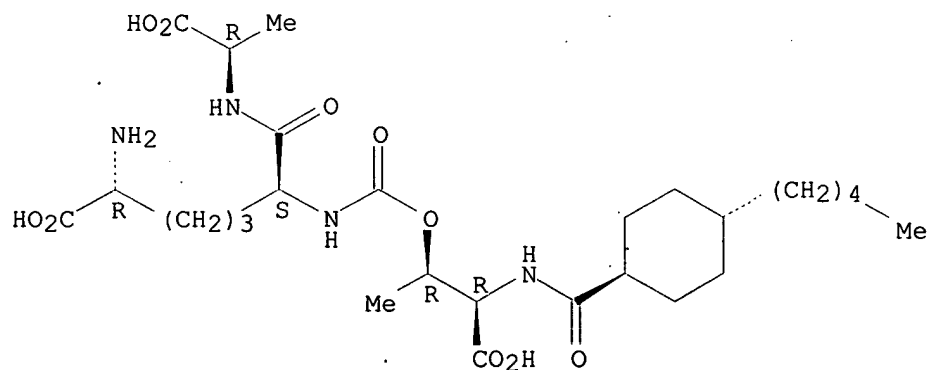
Absolute stereochemistry.



RN 160705-85-1 HCAPLUS

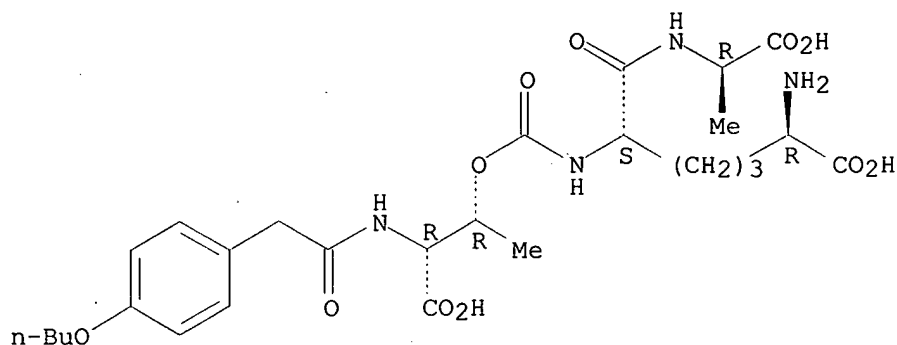
CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-[(4-pentylcyclohexyl)carbonyl]-D-allothreonine, trans- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 160705-86-2 HCAPLUS
 CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
 N-[(4-butoxyphenyl)acetyl]-D-allothreonine (9CI) (CA INDEX NAME)

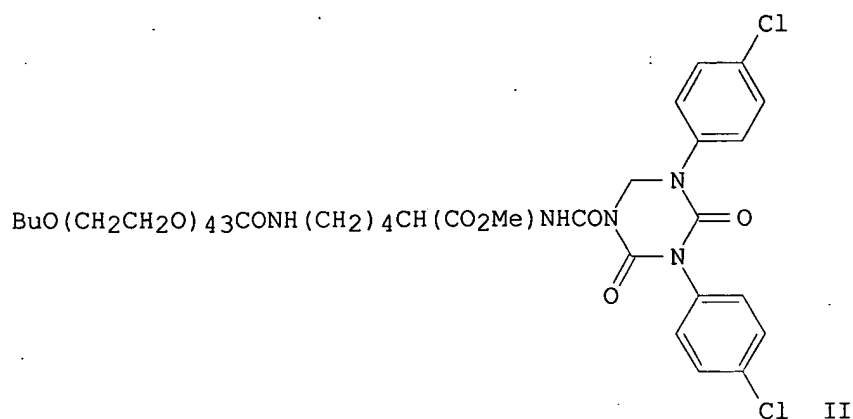
Absolute stereochemistry.



L17 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1981:66453 HCAPLUS
 DOCUMENT NUMBER: 94:66453
 TITLE: Improving the solubility of biologically active agents
 in water and in lower aliphatic alcohols, and
 compounds having an improved solubility
 INVENTOR(S): Moehring, Edgar; Mueller, Hanns Peter; Roessler,
 Peter; Wagner, Kuno; Tietz, Helmut
 PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 151 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 14263	A2	19800820	EP 1979-105407	19791231
EP 14263	A3	19800917		
EP 14263	B1	19820505		
R: BE, CH, DE, FR, GB, IT, NL				
DE 2901060	A1	19800724	DE 1979-2901060	19790112
DE 2910356	A1	19800925	DE 1979-2910356	19790316
US 4684728	A	19870804	US 1979-107976	19791228
IL 59099	A1	19840330	IL 1980-59099	19800109
DK 8000135	A	19800713	DK 1980-135	19800111
BR 8000192	A	19801021	BR 1980-192	19800111
PRIORITY APPLN. INFO.:			DE 1979-2901060	19790112
			DE 1979-2910356	19790316

GI



AB The soly. of biol. active materials (e.g. pesticides, herbicides, drugs) in water and lower alcs. is increased by treating such compds., contg. OH, NH, or NH₂ groups, with hydrophilic polyethers reactive with such groups and having water uptake .gtoreq.15%. Thus, 600 g Bu(OCH₂CH₂)₄3OH was heated at 120.degree. with 3 mL BzCl, cooled to 90.degree., and stirred 25 min with 63.7 g Me 2,6-diisocyanatohexanoate to give 662 g BuO(CH₂CH₂O)₄3CONH(CH₂)₄CH(CO₂Me)NCO (I) [75856-33-6]. A soln. of bis(4-chlorophenyl) isocyanurate [71809-41-1] in acetone was slowly added to 22.1 g I in PhMe at 40.degree., giving 25.5 g product (II) [75856-34-7] with high soly. in water and lower alcs.

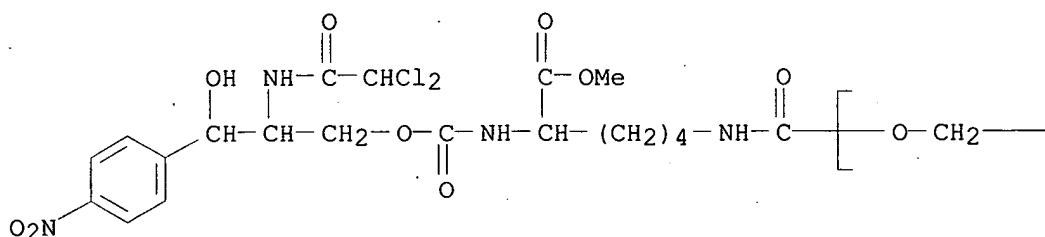
IT 75856-41-6P

RL: IMF (Industrial manufacture); PREP (Preparation)
(manuf. of, with improved water and alc. soly.)

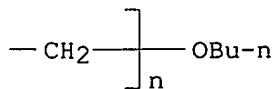
RN 75856-41-6 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-[[[5-[[[2-[(dichloroacetyl)amino]-3-hydroxy-3-(4-nitrophenyl)propoxy]carbonyl]amino]-6-methoxy-6-oxohexyl]amino]carbonyl]-.omega.-butoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



=> d his

(FILE 'HOME' ENTERED AT 17:01:24 ON 11 JUN 2003)

FILE 'REGISTRY' ENTERED AT 17:02:25 ON 11 JUN 2003

L1 STR
 L2 0 S L1
 L3 0 S L1 FUL
 L4 STR L1
 L5 0 S L4
 L6 0 S L4 FUL
 L7 STR L4
 L8 0 S L7
 L9 STR L7
 L10 0 S L9
 L11 0 S L9 FUL
 L12 STR L9
 L13 0 S L12
 L14 STR L12
 L15 2 S L14
 L16 80 S L14 FUL

80 compds from Registry - see "d que stat" for structure

FILE 'HCAPLUS' ENTERED AT 17:11:10 ON 11 JUN 2003

L17 15 S L16
 L18 1 S L17 AND ?BIORESPONS?(W)?MODIF? AND ?CHEMOTHER?

15 cit's from CA Plus, based on compds only (all cit's included because there are so few)

FILE 'MEDLINE, BIOSIS, EMBASE, JICST-EPLUS' ENTERED AT 17:12:38 ON 11 JUN 2003

L19 0 S L18

FILE 'HCAPLUS' ENTERED AT 17:13:05 ON 11 JUN 2003

L20 2 S L17 AND ?CHEMOTHER?
 L21 1 S L17 AND ?BIORESPONS?(W)?MODIF?
 L22 2 S L17 AND ?CYTOKINE?(W)?INDUC?
 L23 1 S L17 AND (?MICROTUB? OR (?MACROPHAG?(W)?ACTIVAT?)(W)AGENT)
 L24 3 S L20 OR L21 OR L22 OR L23

3 cit's when combined with 1st terms

FILE 'MEDLINE, BIOSIS, EMBASE, JICST-EPLUS' ENTERED AT 17:52:29 ON 11 JUN 2003

L25 0 S L24

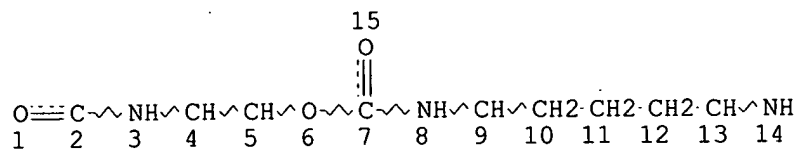
0 cit's from "other databases"

Heckfor, I didn't combine "method" terms since there were so few hits.

Mary Jane

OK

=> d que stat 117
 L14 STR.



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L16 80 SEA FILE=REGISTRY SSS FUL L14

L17 15 SEA FILE=HCAPLUS ABB=ON L16

=> d que stat 124

L14 STR

15

O

||

$$\text{O} \equiv \text{C} \sim \text{NH} \sim \text{CH} \sim \text{CH} \sim \text{O} \sim \text{C} \sim \text{NH} \sim \text{CH} \sim \text{CH}_2 \cdot \text{CH}_2 \cdot \text{CH}_2 \cdot \text{CH} \sim \text{NH}$$

1 2 3 4 5 6 7 8 9 10 11 12 13 14

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L16 80 SEA FILE=REGISTRY SSS FUL L14

L17 15 SEA FILE=HCAPLUS ABB=ON L16

L20 2 SEA FILE=HCAPLUS ABB=ON L17 AND ?CHEMOTHER?

L21 1 SEA FILE=HCAPLUS ABB=ON L17 AND ?BIORESPONS?(W)?MODIF?

L22 2 SEA FILE=HCAPLUS ABB=ON L17 AND ?CYTOKINE?(W)?INDUC?

 L23 1 SEA FILE=HCAPLUS ABB=ON L17 AND (?MICROTUB? OR (?MACROPHAG?(W)
 ?ACTIVAT?) (W)AGENT)

L24 3 SEA FILE=HCAPLUS ABB=ON L20 OR L21 OR L22 OR L23

=> d ibib abs hitstr 17 1-1

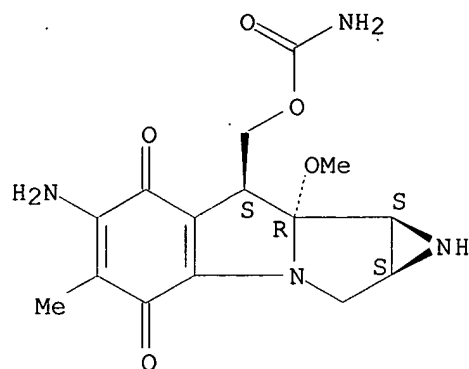
L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:208131 HCAPLUS
 DOCUMENT NUMBER: 134:231861
 TITLE: Method of potentiating chemotherapy and treating solid tumors
 INVENTOR(S): Gibbons, James Joseph, Jr.; Dukart, Gary; Lucas, Judy; Speicher, Lisa Anne
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019399	A2	20010322	WO 2000-US25008	20000912
WO 2001019399	A3	20011213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014001	A	20020521	BR 2000-14001	20000912
EP 1214092	A2	20020619	EP 2000-961841	20000912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509383	T2	20030311	JP 2001-523030	20000912
PRIORITY APPLN. INFO.: US 1999-396051 A 19990915				
WO 2000-US25008 W 20000912				

OTHER SOURCE(S): MARPAT 134:231861
 AB This invention provides a method of treating solid tumors which comprises administering an effective amt. of a combination of (1) a bioresponse modifier and (2) a chemotherapeutic agent. This invention also provides a method of potentiating the effects of a chemotherapeutic regimen in a mammal in need of treatment with such regimen which comprises administering a bioresponse modifier in addn. to a chemotherapeutic regimen. The potentiating effect of the bioresponse modifier [R-(R*,R*)]-N-[R-6-carboxy-N2-[[2-carboxy-1-methyl-2-[(1-oxoheptyl)amino]ethoxy]carbonyl]-L-lysyl]alanine and paclitaxel was demonstrated in mice.
 IT 50-07-7, Mitomycin c 57-22-7, Vincristine
 865-21-4, Vinblastine 11056-06-7, Bleomycin
 15663-27-1, Cisplatin 23214-92-8, Doxorubicin
 25316-40-9, Adriamycin 33069-62-4, Paclitaxel
 41575-94-4, Carboplatin 71486-22-1, Vinorelbine
 114977-28-5, Docetaxel 160705-84-0
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (potentiating chemotherapy and treating solid tumors)
 RN 50-07-7 HCAPLUS

CN Azirino[2',3':3,4]pyrrolo[1,2-a]indole-4,7-dione, 6-amino-8-
[[(aminocarbonyl)oxy)methyl]-1,1a,2,8,8a,8b-hexahydro-8a-methoxy-5-methyl-
, (1aS,8S,8aR,8bS)- (9CI) (CA INDEX NAME)

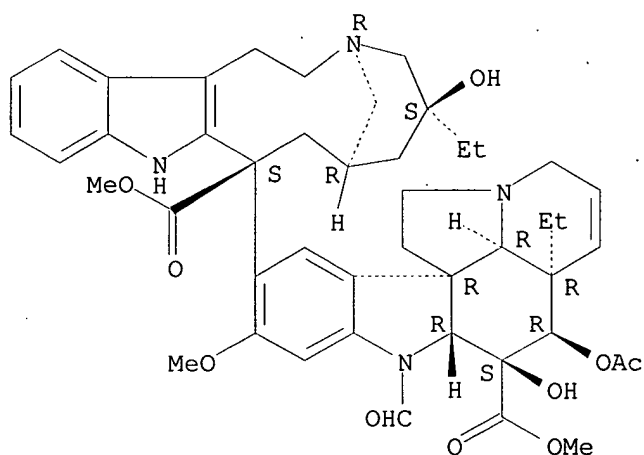
Absolute stereochemistry.



RN 57-22-7 HCAPLUS

CN Vincaleukoblastine, 22-oxo- (9CI) (CA INDEX NAME)

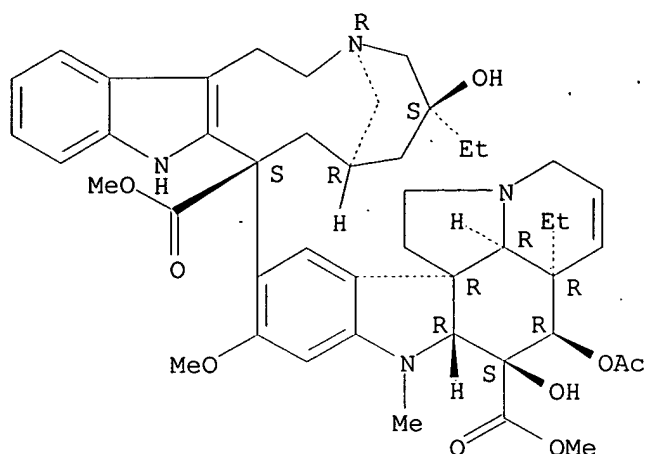
Absolute stereochemistry.



RN 865-21-4 HCAPLUS

CN Vincaleukoblastine (6CI, 8CI, 9CI) (CA INDEX NAME)

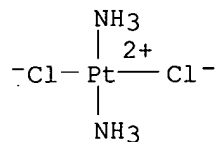
Absolute stereochemistry. Rotation (+).



RN 11056-06-7 HCAPLUS
CN Bleomycin (8CI, 9CI) (CA INDEX NAME)

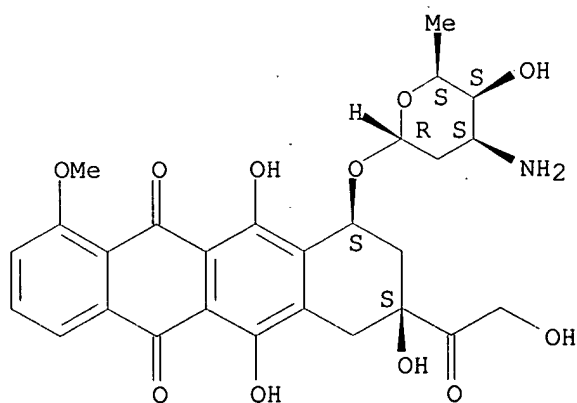
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 15663-27-1 HCAPLUS
CN Platinum, diamminedichloro-, (SP-4-2)- (9CI) (CA INDEX NAME)



RN 23214-92-8 HCAPLUS
CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

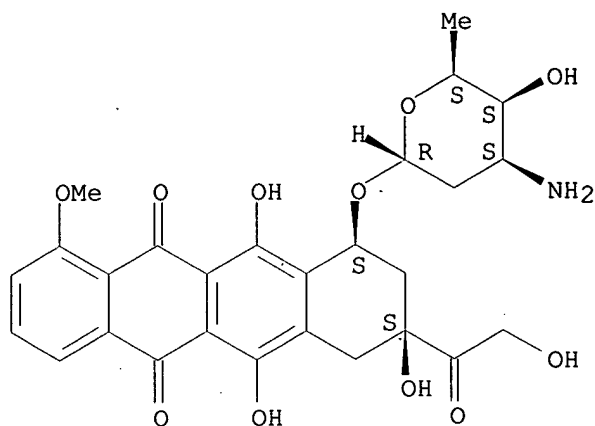
Absolute stereochemistry.



RN 25316-40-9 HCAPLUS
CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-

1-methoxy-, hydrochloride, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

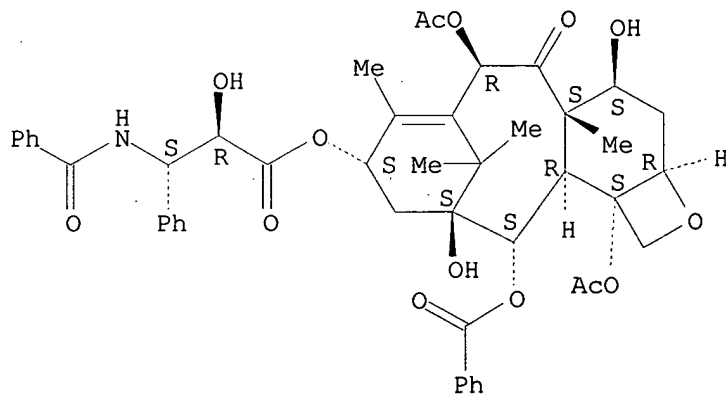


● HCl

RN 33069-62-4 HCAPLUS

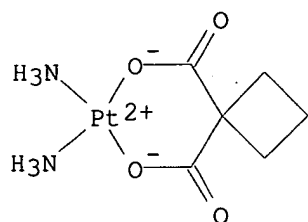
CN Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 41575-94-4 HCAPLUS

CN Platinum, diammine[1,1-cyclobutanedi(carboxylato-.kappa.O)(2-)]-, (SP-4-2)- (9CI) (CA INDEX NAME)

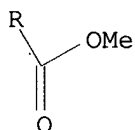
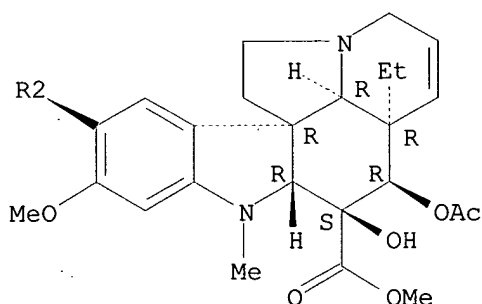


RN 71486-22-1 HCAPLUS

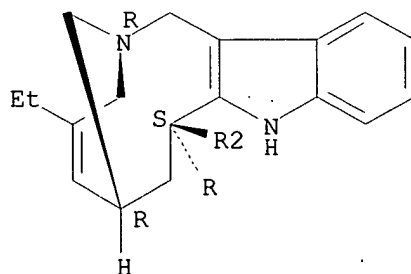
CN Aspidospermidine-3-carboxylic acid, 4-(acetyloxy)-6,7-didehydro-15-
[(2R,6R,8S)-4-ethyl-1,3,6,7,8,9-hexahydro-8-(methoxycarbonyl)-2,6-methano-
2H-azecino[4,3-b]indol-8-yl]-3-hydroxy-16-methoxy-1-methyl-, methyl ester,
(2.beta.,3.beta.,4.beta.,5.alpha.,12R,19.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

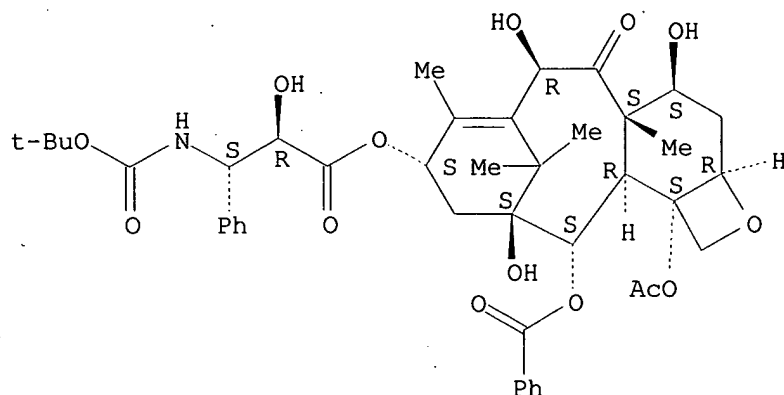


RN 114977-28-5 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[[(1,1-dimethylethoxy)carbonyl]amino]-
.alpha.-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-

(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

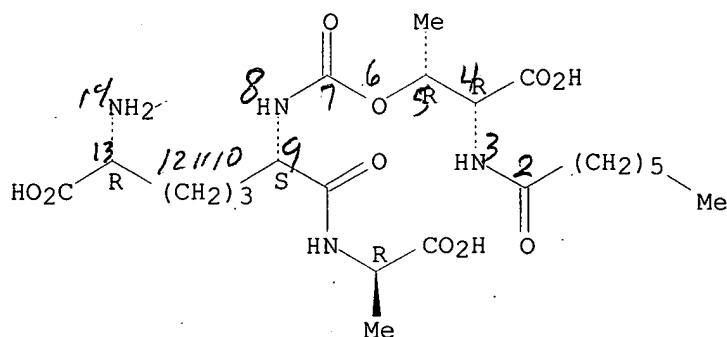
Absolute stereochemistry.



RN 160705-84-0 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with N-(1-oxoheptyl)-D-allothreonine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*3 cits when combined with text terms -
These are also among the 15 cits.*

Reyes 09/659,643

11/06/2003

=> d ibib abs hitstr 124 1-3

L24 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:675821 HCAPLUS

DOCUMENT NUMBER: 137:222033

TITLE: Compositions and methods for enhancing drug delivery across and into ocular tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha Vs; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): Cellgate, Inc., USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067917	A1	20020906	WO 2002-US5804	20020225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002127198	A1	20020912	US 2001-792480	20010223
PRIORITY APPLN. INFO.:			US 2001-792480	A 20010223
			US 1999-150510P	P 19990824
			US 2000-648400	A2 20000824

OTHER SOURCE(S): MARPAT 137:222033

AB Compns. and methods for enhancing delivery of drugs, diagnostic and other agents across epithelial tissues, including into and across ocular tissues and blood-brain barrier are provided. The compns. and methods employ a delivery enhancing transporter that has sufficient guanidino or amidino side chain moieties to enhance delivery of a compd. conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compd. The delivery-enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length. For example, a series of structural characteristics including sequence length, amino acid compn., and chirality that influence the ability of Tat49-57 to enter cells is identified. These characteristics provided the blueprint for the design of a series of novel peptoids, of which 17 members were synthesized and assayed for cellular uptake. This research established that the peptide backbone and hydrogen bonding along that backbone are not required for cellular uptake, that the guanidino head group is superior to other cationic subunits, and most significantly, that an extension of the alkyl chain between the backbone and the head group provides superior transporters. In addn. to better uptake performance, these novel peptoids offer several advantages over Tat49-57 including cost-effectiveness, ease of synthesis of analogs, and protease stability. These features along with their significant water soly. (>100 mg/mL) indicate that these novel peptoids could serve as effective transporters for the mol. delivery of drugs, drug candidates, and other agents into cells.

IT 455282-37-8P 455282-38-9P 455282-39-0P

455282-40-3P 455282-41-4P 455282-42-5P

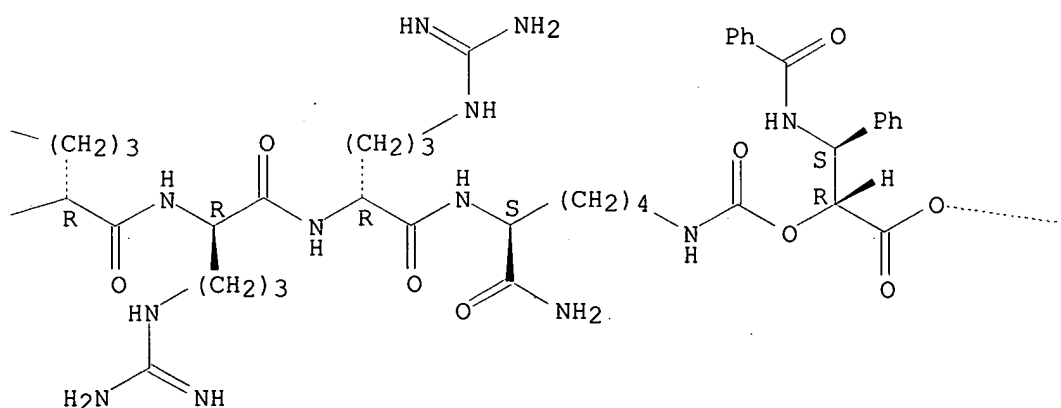
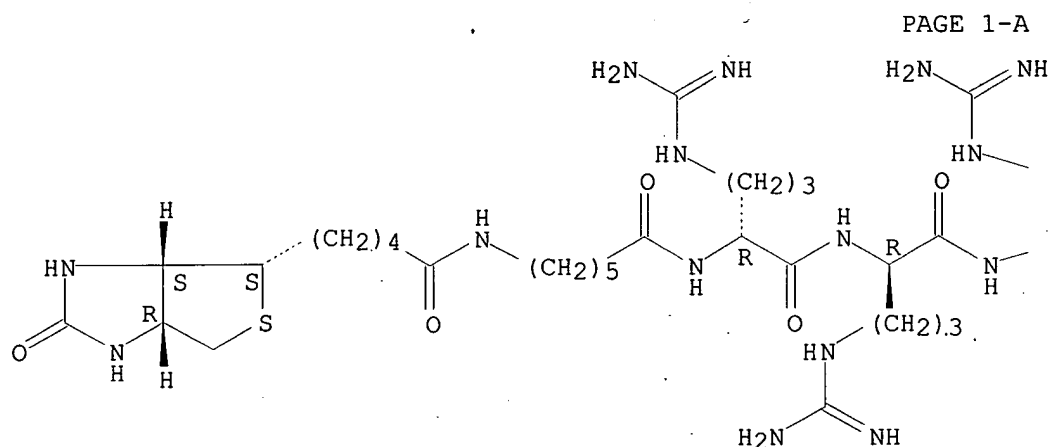
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug conjugates with peptide transporter contg. amidino or guanidino moieties for enhanced delivery across epithelium)

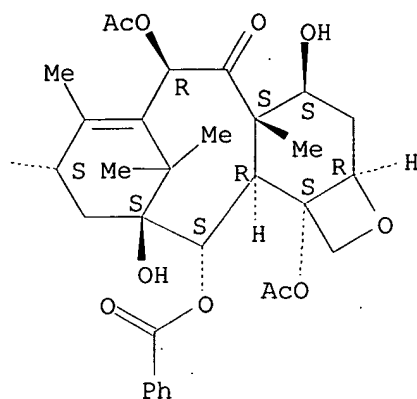
RN 455282-37-8 HCAPLUS

CN L-Lysinamide, N2-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-C

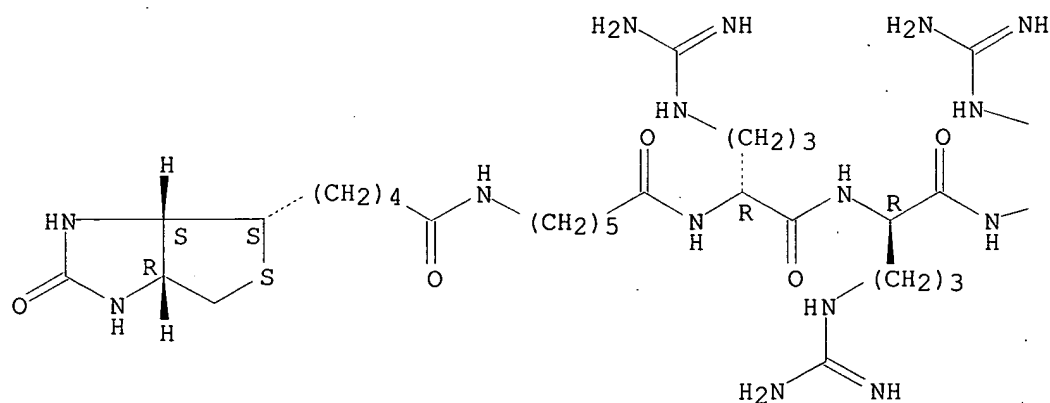


RN 455282-38-9 HCAPLUS

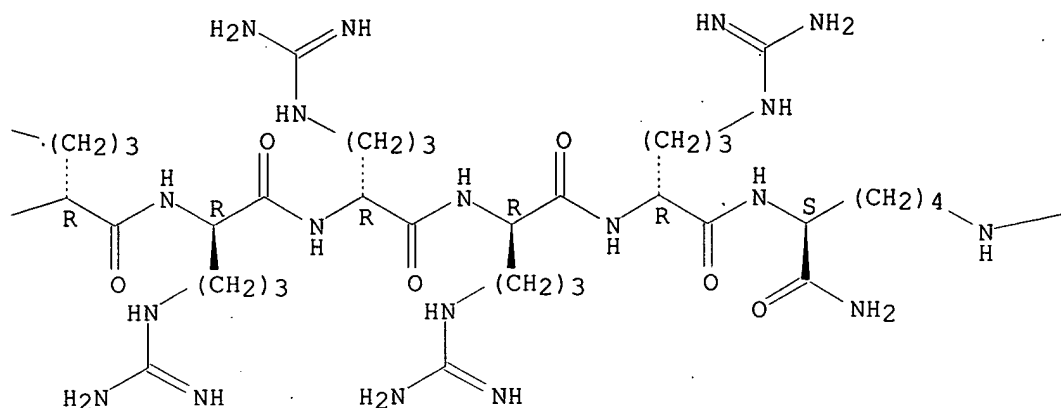
CN L-Lysinamide, N2-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

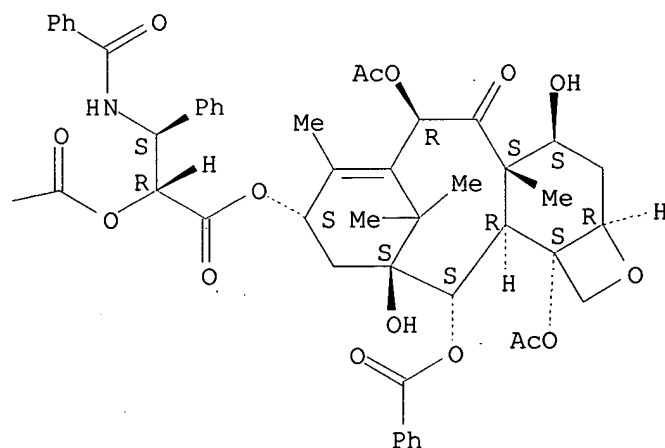
PAGE 1-A



PAGE 1-B



PAGE 1-C

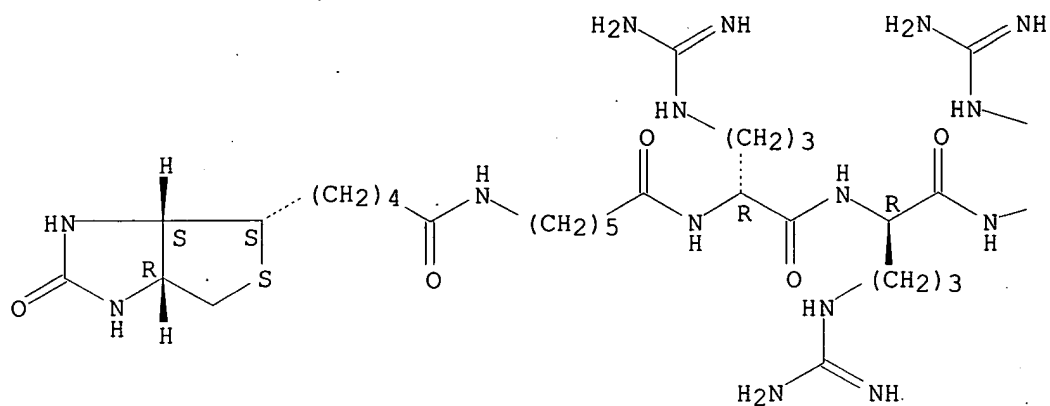


RN 455282-39-0 HCAPLUS

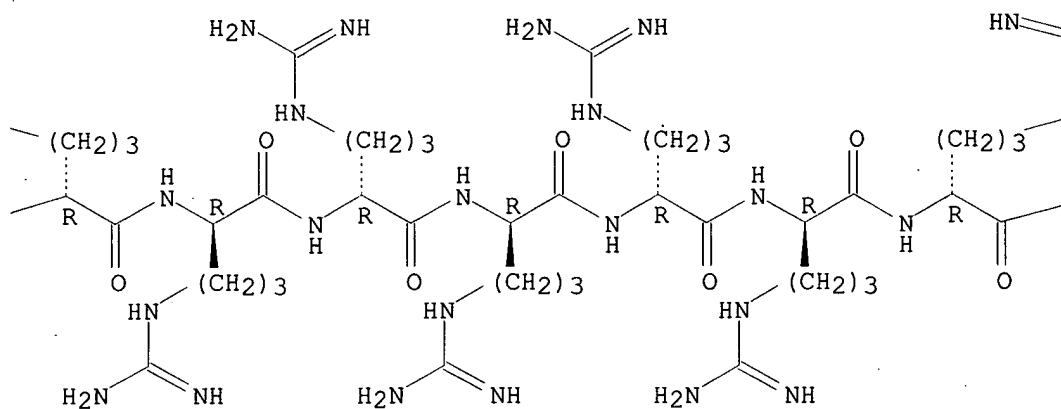
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Absolute stereochemistry.

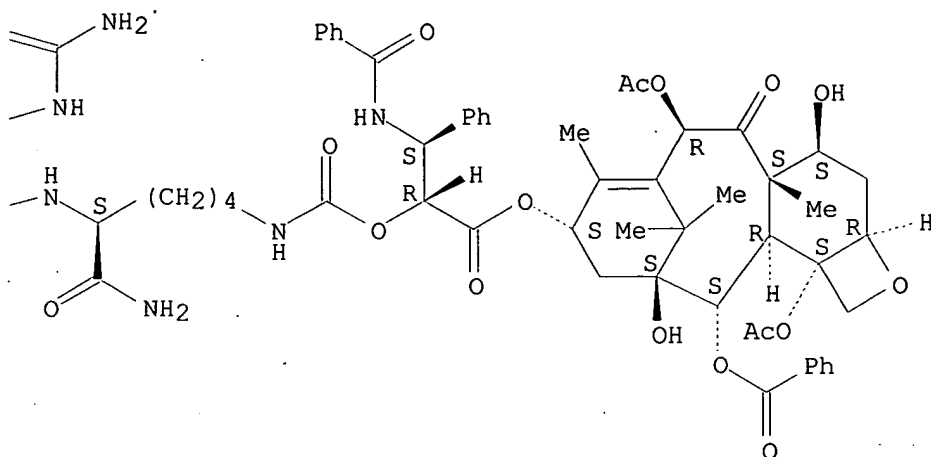
PAGE 1-A



PAGE 1-B



PAGE 1-C

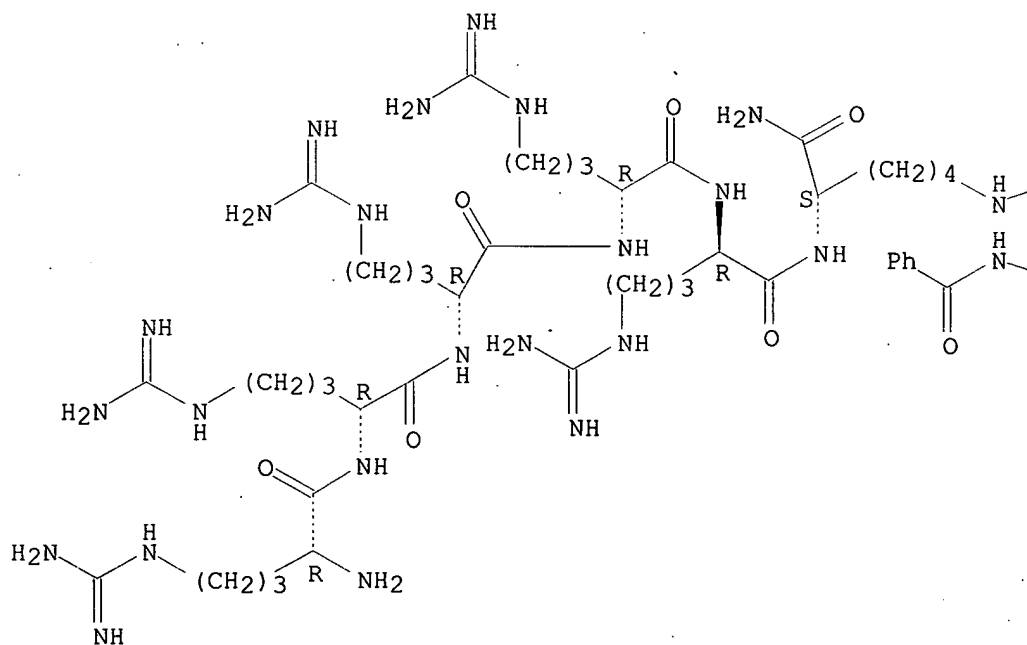


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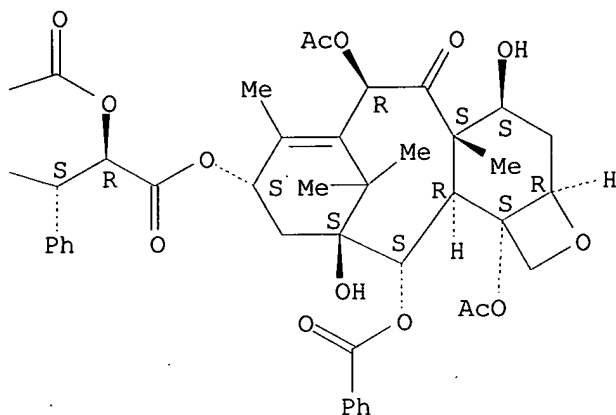
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 bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-
 dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-
 oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

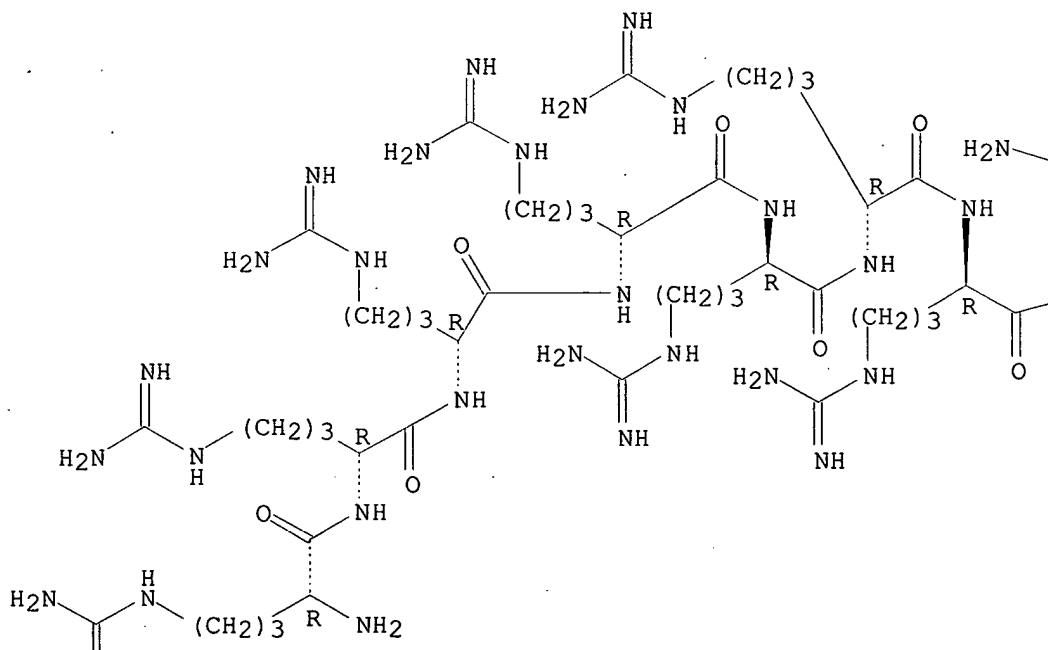


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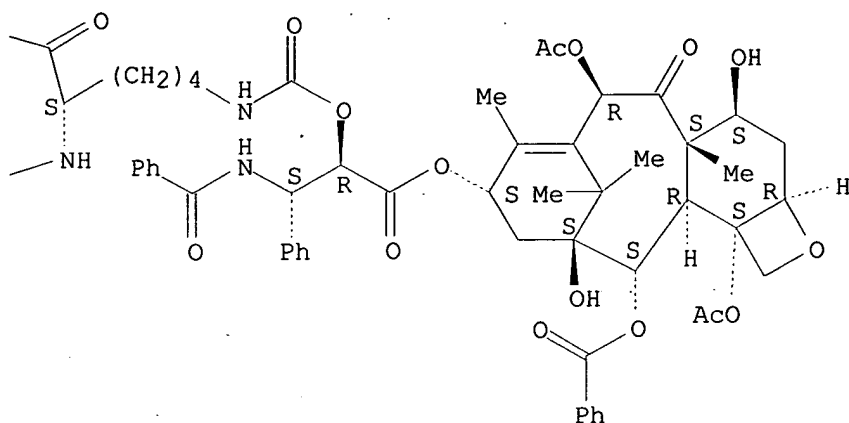
CN L-Lysinamide, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl-N6-[2-[(1R,2S)-2-(benzoylamino)-1-[[[(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl]oxy]carbonyl]-2-phenylethoxy]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-A

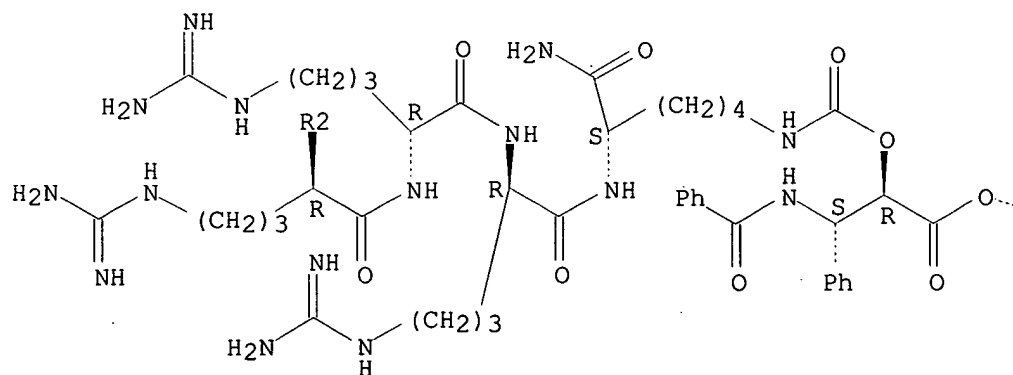


RN 455282-42-5 HCAPLUS

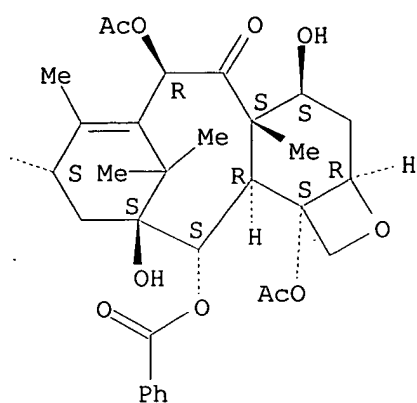
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Absolute stereochemistry.

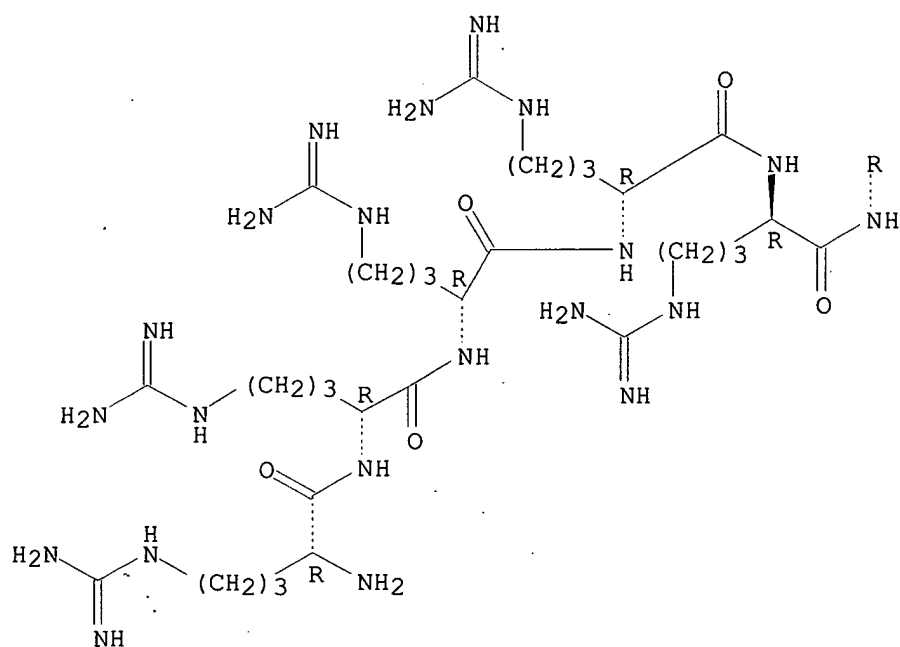
PAGE 1-A



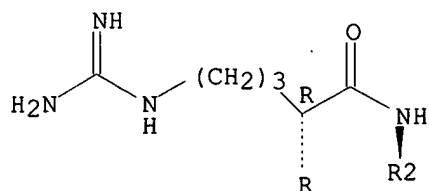
PAGE 1-B



PAGE 2-A



PAGE 3-A



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208131 HCAPLUS

DOCUMENT NUMBER: 134:231861

TITLE: Method of potentiating **chemotherapy** and treating solid tumors

INVENTOR(S): Gibbons, James Joseph, Jr.; Dukart, Gary; Lucas, Judy; ~~Speicher, Lisa Anne~~

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Applicant's copy

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019399	A2	20010322	WO 2000-US25008	20000912
WO 2001019399	A3	20011213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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JP 2003509383	T2	20030311	JP 2001-523030	20000912
PRIORITY APPLN. INFO.: US 1999-396051 A 19990915				
WO 2000-US25008 W 20000912				

OTHER SOURCE(S): MARPAT 134:231861

AB This invention provides a method of treating solid tumors which comprises administering an effective amt. of a combination of (1) a **bioresponse modifier** and (2) a **chemotherapeutic agent**. This invention also provides a method of potentiating the effects of a **chemotherapeutic** regimen in a mammal in need of treatment with such regimen which comprises administering a **bioresponse modifier** in addn. to a **chemotherapeutic** regimen. The potentiating effect of the **bioresponse modifier** [R-(R*,R*)]-N-[R-6-carboxy-N2-[[2-carboxy-1-methyl-2-[(1-oxoheptyl)amino]ethoxy]carbonyl]-L-lysyl]alanine and paclitaxel was demonstrated in mice.

IT 160705-84-0

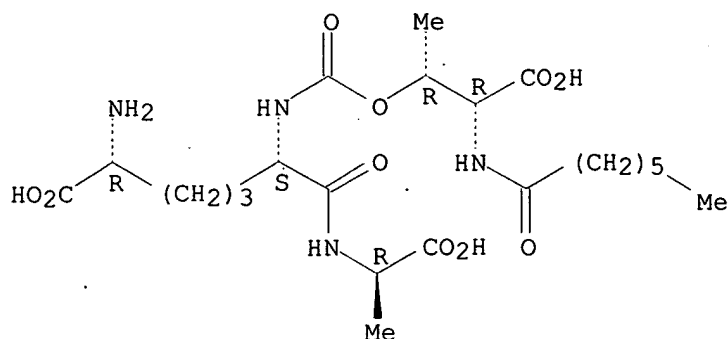
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potentiating **chemotherapy** and treating solid tumors)

RN 160705-84-0 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with N-(1-oxoheptyl)-D-allothreonine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:324507 HCAPLUS

DOCUMENT NUMBER: 122:106538

TITLE: Preparation of peptide urethane and urea derivatives that induce cytokine production

INVENTOR(S): Ayral-Kaloustian, Semiramis; Schow, Steven R.; Du, Mila T.; Gibbons, James J., Jr.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 25 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

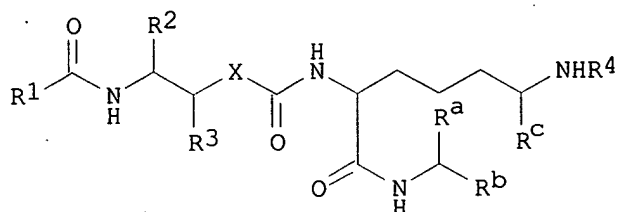
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: .

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5312831	A	19940517	US 1993-63174	19930512
US 5545662	A	19960813	US 1994-213303	19940314
EP 652228	A1	19950510	EP 1994-106123	19940420
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ES 2094004	T3	19970101	ES 1994-106123	19940420
CZ 290445	B6	20020717	CZ 1994-981	19940422
SK 281120	B6	20001211	SK 1994-491	19940428
HU 67038	A2	19950130	HU 1994-1444	19940506
HU 219768	B	20010730		
JP 07179414	A2	19950718	JP 1994-119532	19940509
IL 109602	A1	20000601	IL 1994-109602	19940509
CA 2123261	AA	19941113	CA 1994-2123261	19940510
FI 9402186	A	19941113	FI 1994-2186	19940511
NO 9401786	A	19941114	NO 1994-1786	19940511
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RU 2135515	C1	19990827	RU 1994-16389	19940511
PL 179984	B1	20001130	PL 1994-303396	19940511
CN 1100413	A	19950322	CN 1994-105671	19940512
CN 1094943	B	20021127		
TW 380129	B	20000121	TW 1994-83107431	19940813
US 5602275	A	19970211	US 1995-449878	19950525
US 5616612	A	19970401	US 1995-451099	19950525
US 5633280	A	19970527	US 1995-451085	19950525

US 5658945 A 19970819 US 1995-449968 19950525
 PRIORITY APPLN. INFO.: US 1993-63174 A3 19930512
 US 1994-213303 A3 19940314
 OTHER SOURCE(S): MARPAT 122:106538
 GI



I

AB Title compds. [I; R1, R3, Ra = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, vinyl, acetylene, amino, acylamino, aryl, aralkyl, aryloxy, heterocyclyl, etc.; R2, Rb, Rc = (protected) carboxy, carboxylalkyl, carboxamide; X = O, S; R4 = H, protecting group], were prepd. Thus, [R-(R*,R*)]-N-(R)-6-carboxy-N2-[[2-carboxy-1-methyl-2-[(1-oxoheptyl)amino]ethoxy]carbonyl]lysyl-D-alanine (soln. phase prepn. given) at 0.1 mg/kg s.c. in mice induced 4802 U/mL of IL-6. I may be useful in the treatment of cancer, AIDS, aplastic anemia, myelodysplastic syndrome, infectious disease, and in the enhancement of immune response.

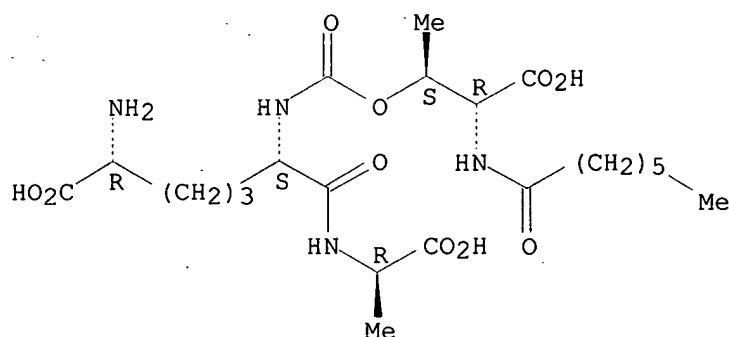
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RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, for induction of cytokine prodn.)

RN 160578-69-8 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
 N-(1-oxoheptyl)-D-threonine (9CI) (CA INDEX NAME)

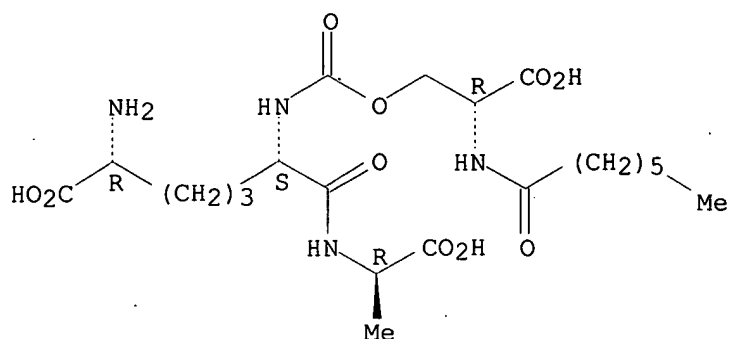
Absolute stereochemistry.



RN 160578-70-1 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
 N-(1-oxoheptyl)-D-serine (9CI) (CA INDEX NAME)

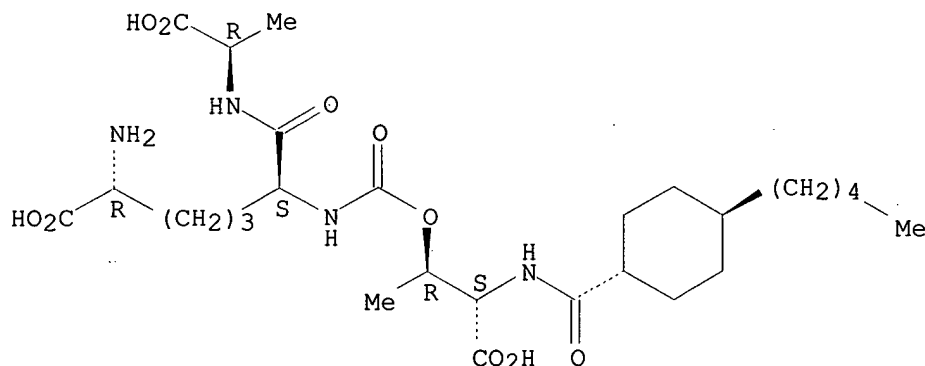
Absolute stereochemistry.



RN 160578-71-2 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-[(4-pentylcyclohexyl)carbonyl]-L-threonine, (trans)- (9CI) (CA INDEX
NAME)

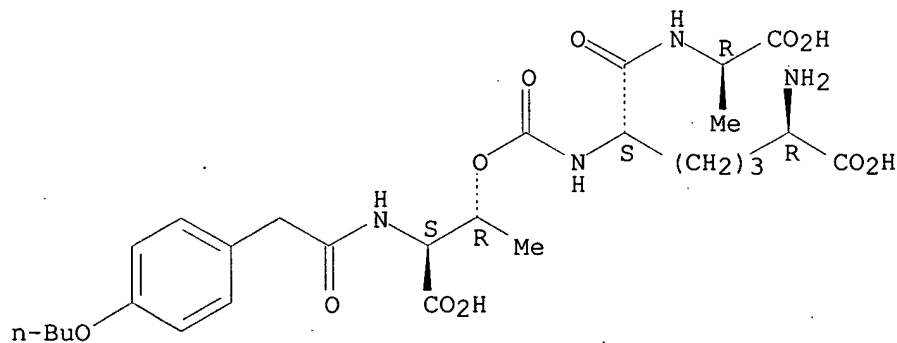
Absolute stereochemistry.



RN 160578-72-3 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-[(4-butoxyphenyl)acetyl]-L-threonine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

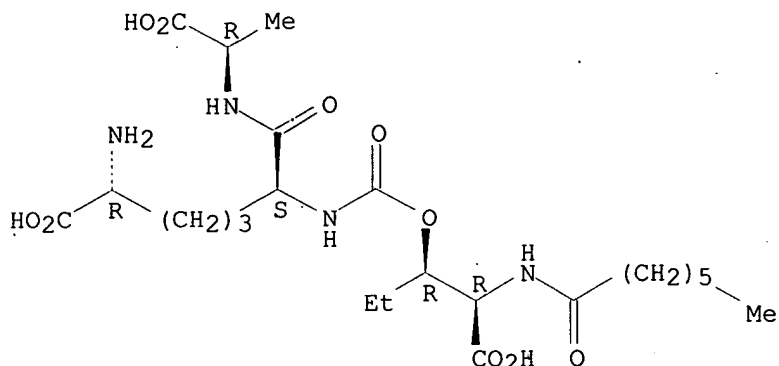


RN 160578-73-4 HCAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[[1-[carboxy[(1-oxoheptyl)amino]methyl]propoxy]carbonyl]-L-lysyl]-, [R-(R*,R*)]- (9CI)

(CA INDEX NAME)

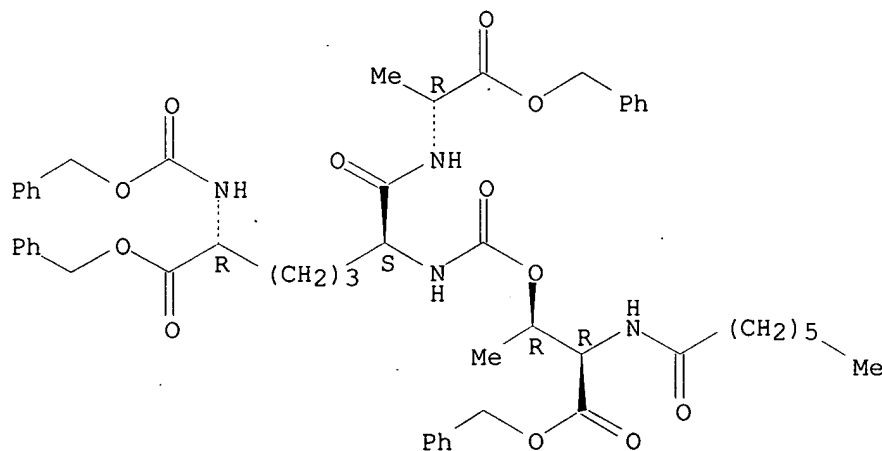
Absolute stereochemistry.



RN 160579-15-7 HCAPLUS

CN D-Allothreonine, N-(1-oxoheptyl)-, phenylmethyl ester,
 [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-
 (phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
 [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

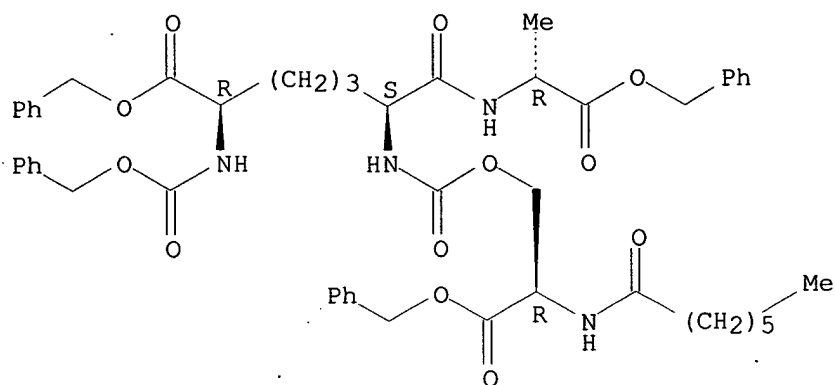
Absolute stereochemistry.



RN 160579-16-8 HCAPLUS

CN D-Serine, N-(1-oxoheptyl)-; phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-
 (phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-
 [[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester),
 [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

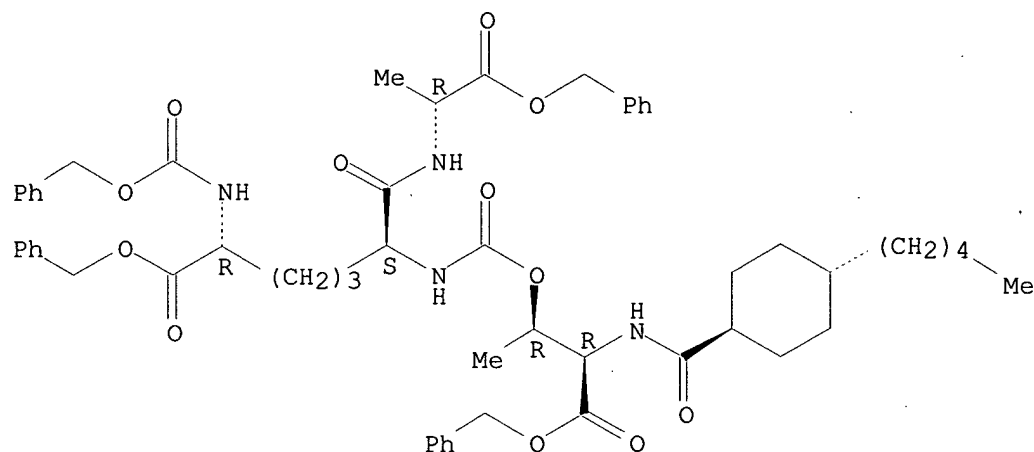
Absolute stereochemistry.



RN 160579-17-9 HCAPLUS

CN D-Allothreonine, N-[(4-pentylcyclohexyl)carbonyl]-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(trans),1(S*),5S*]]- (9CI) (CA INDEX NAME)

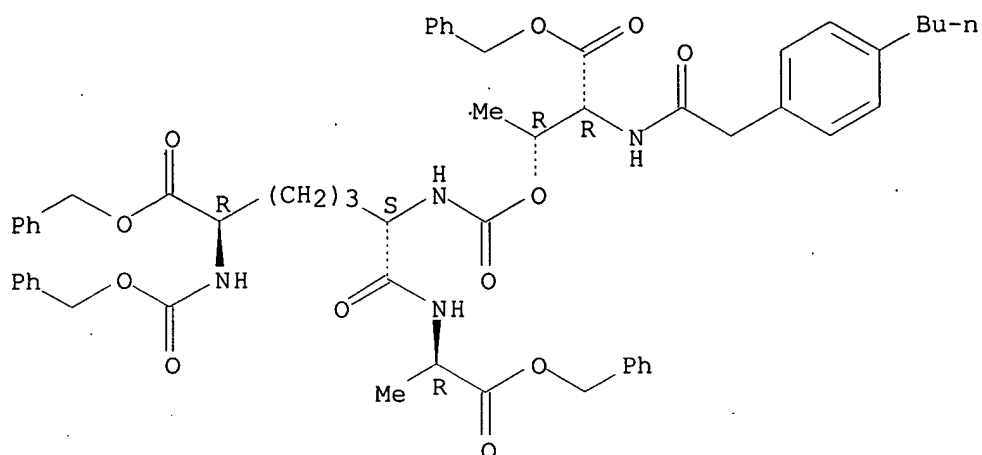
Absolute stereochemistry.



RN 160579-18-0 HCAPLUS

CN D-Allothreonine, N-[(4-butylphenyl)acetyl]-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

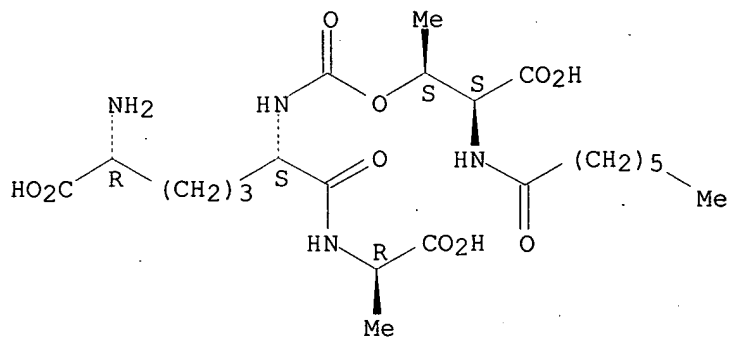
Absolute stereochemistry.



RN 160705-77-1 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-L-allothreonine (9CI) (CA INDEX NAME)

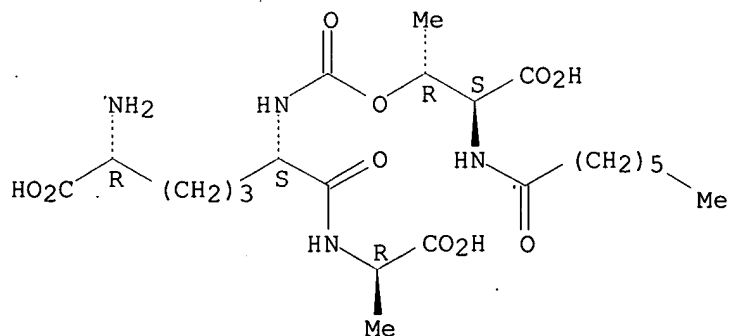
Absolute stereochemistry.



RN 160705-78-2 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with
N-(1-oxoheptyl)-L-threonine (9CI) (CA INDEX NAME)

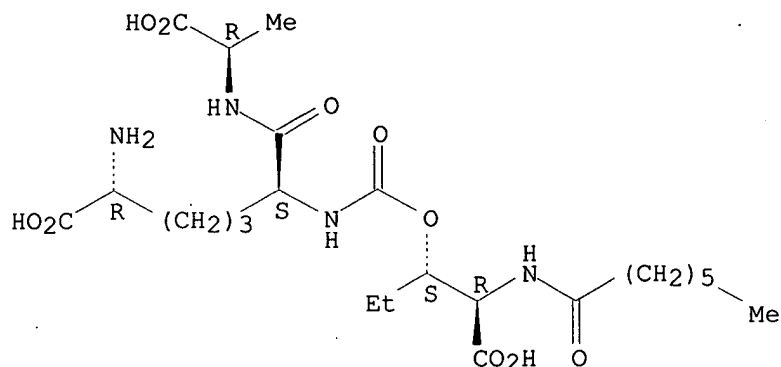
Absolute stereochemistry.



RN 160705-79-3 HCAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[[1-[carboxy-1-[(1-oxoheptyl)amino]methyl]propoxy]carbonyl]-L-lysyl]-, [S-(R*,S*)]- (9CI)
(CA INDEX NAME)

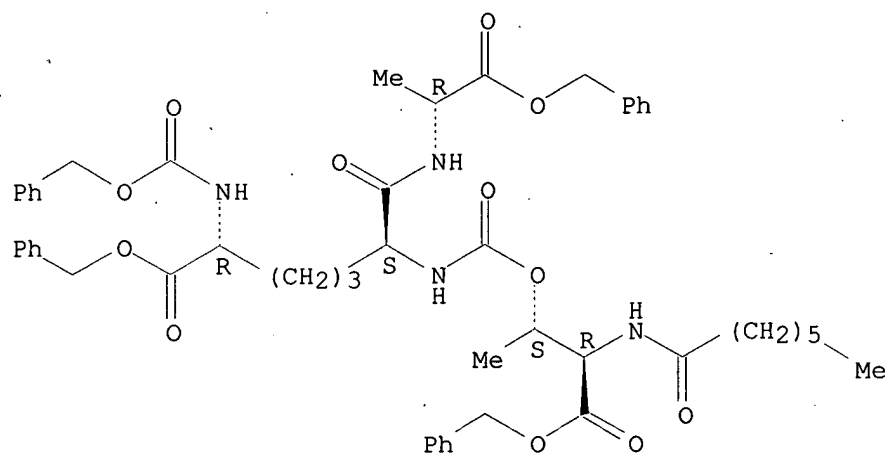
Absolute stereochemistry.



RN 160705-81-7 HCAPLUS

CN D-Threonine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

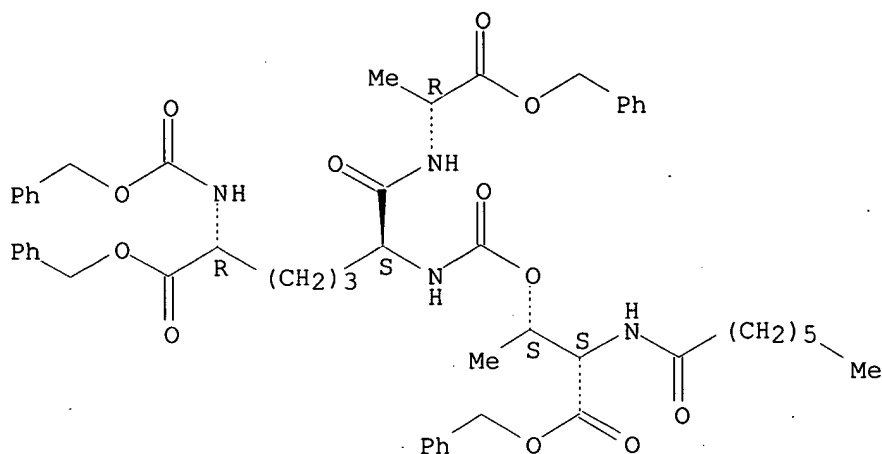
Absolute stereochemistry.



RN 160705-82-8 HCAPLUS

CN L-Allothreonine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

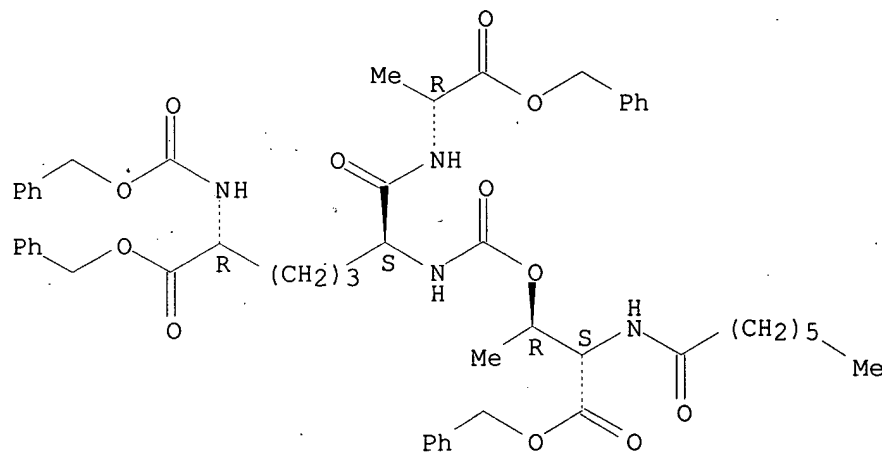
Absolute stereochemistry..



RN 160705-83-9 HCAPLUS

CN L-Threonine, N-(1-oxoheptyl)-, phenylmethyl ester, [1-[[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-6-oxo-6-(phenylmethoxy)-5-[[(phenylmethoxy)carbonyl]amino]hexyl]carbamate (ester), [1S-[1R*(S*),5S*]]- (9CI) (CA INDEX NAME)

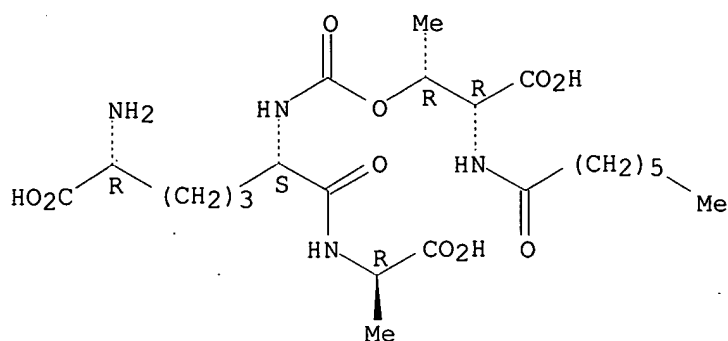
Absolute stereochemistry.



RN 160705-84-0 HCAPLUS

CN D-Alanine, N-[(R)-N2,6-dicarboxy-L-lysyl]-, N2-ester with N-(1-oxoheptyl)-D-allothreonine (9CI) (CA INDEX NAME)

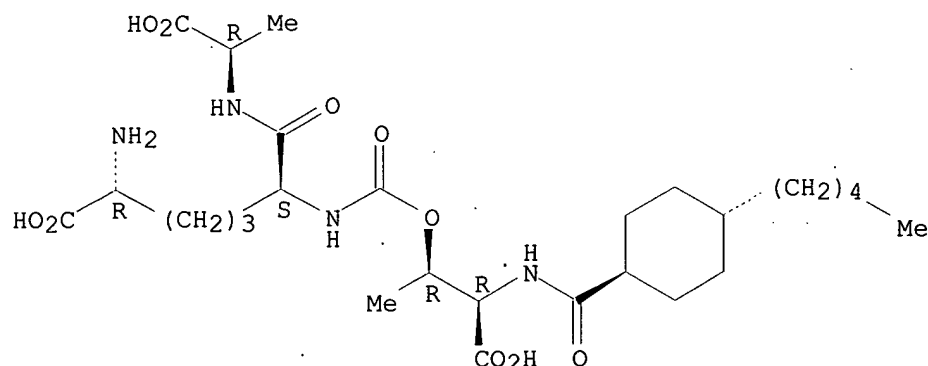
Absolute stereochemistry.



RN 160705-85-1 HCAPLUS

CN D-Alanine, N-[(R)-N₂,6-dicarboxy-L-lysyl]-, N₂-ester with
N-[(4-pentylcyclohexyl)carbonyl]-D-allothreonine, trans- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 160705-86-2 HCAPLUS

CN D-Alanine, N-[(R)-N₂,6-dicarboxy-L-lysyl]-, N₂-ester with
N-[(4-butoxyphenyl)acetyl]-D-allothreonine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

